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NEWS 2	JUL 02	LMEDLINE coverage updated
NEWS 3	JUL 02	SCISEARCH enhanced with complete author names
NEWS 4	JUL 02	CHEMCATS accession numbers revised
NEWS 5	JUL 02	CA/CAplus enhanced with utility model patents from China
NEWS 6	JUL 16	CAplus enhanced with French and German abstracts
NEWS 7	JUL 18	CA/CAplus patent coverage enhanced
NEWS 8	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 9	JUL 30	USGENE now available on STN
NEWS 10	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS 11	AUG 06	FSTA enhanced with new thesaurus edition
NEWS 12	AUG 13	CA/CAplus enhanced with additional kind codes for granted patents
NEWS 13	AUG 20	CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 14	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 15	AUG 27	USPATOLD now available on STN
NEWS 16	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 17	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 18	SEP 13	FORIS renamed to SOFIS
NEWS 19	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS 20	SEP 17	CA/CAplus enhanced with printed CA page images from 1967-1998
NEWS 21	SEP 17	CAplus coverage extended to include traditional medicine patents
NEWS 22	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 23	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 24	OCT 19	BEILSTEIN updated with new compounds
NEWS 25	NOV 15	Derwent Indian patent publication number format enhanced
NEWS 26	NOV 19	WPIX enhanced with XML display format
NEWS 27	NOV 30	ICSD reloaded with enhancements
NEWS 28	DEC 04	LINPADOCDB now available on STN

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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NEWS LOGIN	Welcome Banner and News Items
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FILE 'HOME' ENTERED AT 16:24:20 ON 07 DEC 2007

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 6 DEC 2007 HIGHEST RN 957014-20-9
DICTIONARY FILE UPDATES: 6 DEC 2007 HIGHEST RN 957014-20-9

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

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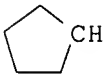
http://www.cas.org/support/stngen/stndoc/properties.html

=> s cyclopentyl/cn
L1 1 CYCLOPENTYL/CN

=> d L1 str cn rn

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN



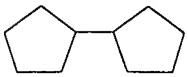
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

CN Cyclopentyl (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)
OTHER NAMES:
CN Cyclopentyl radical
RN 3889-74-5 REGISTRY

=> s bicyclopentyl/cn
L2 1 BICYCLOPENTYL/CN

=> d str cn rn

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

CN 1,1'-Bicyclopentyl (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Bicyclopentyl (6CI, 7CI, 8CI)
OTHER NAMES:
CN Cyclopentane, cyclopentyl-
CN Cyclopentylcyclopentane
CN Dicyclopentyl
CN NSC 38865
RN 1636-39-1 REGISTRY

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	14.70	14.91

FILE 'CAPLUS' ENTERED AT 16:25:51 ON 07 DEC 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 7 Dec 2007 VOL 147 ISS 25
FILE LAST UPDATED: 6 Dec 2007 (20071206/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 1636-39-1
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L4 132 L3

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=> dup rem L4
PROCESSING COMPLETED FOR L4
L5          132 DUP REM L4 (0 DUPLICATES REMOVED)

=> s cosmetic or pharmaceutical
         62494 COSMETIC
         67512 COSMETICS
         87025 COSMETIC
                 (COSMETIC OR COSMETICS)
        254538 PHARMACEUTICAL
         90676 PHARMACEUTICALS
        309090 PHARMACEUTICAL
                 (PHARMACEUTICAL OR PHARMACEUTICALS)
L6      383339 COSMETIC OR PHARMACEUTICAL

=> s L4 and L6
L7            1 L4 AND L6

=> d L7 ibib abs

L7   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         1991:478697  CAPLUS
DOCUMENT NUMBER:          115:78697
TITLE:                    Chemical constituents of "Lang-Du Dang-Gui" (Angelica
                          sp.)
AUTHOR(S):                Rao, Gaoxiong; Yu, Xuejian; Sun, Handong
CORPORATE SOURCE:         Kunming Inst. Bot., Acad. Sin., Kunming, 650204, Peop.
                          Rep. China
SOURCE:                   Yunnan Zhiwu Yanjiu (1991), 13(1), 85-8
                          CODEN: YCWCDP; ISSN: 0253-2700
DOCUMENT TYPE:            Journal
LANGUAGE:                 Chinese
AB   Oil of "Langdu Danggui" (Angelica sp.) from the Lang-Du Mountain (Yunnan
     Province) has been analyzed qual. and quant. by capillary GC/MS/DS on the
     Finnigan-4510, and 42 constituents, which made up 96.83% of the total oil,
     have been identified.  Ligustilide (73.98%) and cis-β-ocimene
     (12.18%) were the principal components of the essential oil.  In addition, 4
     known compds. that are lignoceric acid, β-sitosterol, umbelliferone,
     and sucrose have been isolated from the non-volatile part of the same
     sample.


=> s bicyclohexyl or dicyclohexyl
          1727 BICYCLOHEXYL
            32 BICYCLOHEXYLS
          1738 BICYCLOHEXYL
                 (BICYCLOHEXYL OR BICYCLOHEXYLS)
          7308 DICYCLOHEXYL
             8 DICYCLOHEXYLS
          7314 DICYCLOHEXYL
                 (DICYCLOHEXYL OR DICYCLOHEXYLS)
L8        8923 BICYCLOHEXYL OR DICYCLOHEXYL

=> s L6 and L8
L9          112 L6 AND L8

=> dup rem L9
PROCESSING COMPLETED FOR L9
L10         112 DUP REM L9 (0 DUPLICATES REMOVED)

=> s L9 and (AY<2003 or PY<2003 or PRY<2003)
       4468230 AY<2003
      22908457 PY<2003
       3947151 PRY<2003
L11         88 L9 AND (AY<2003 OR PY<2003 OR PRY<2003)
```

=> d 1-2 ibib abs L11

L11 ANSWER 1 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:126188 CAPLUS
DOCUMENT NUMBER: 146:435200
TITLE: Peptide derivatives of anticoagulant activity and pharmaceutical compositions containing them
INVENTOR(S): Bagdy, Daniel; Bajusz, Sandor; Barabas, Eva; Feher, Andras; Szabo, Gabriella; Szell, Gyoergyne; Veghelyi, Belane; Juhasz, Attila; Mohai, Laszlone; Makkne, Ocskay Klara; Szalkay, Gyoergyne; Szeker, Gaborne; Lango, Jozsef; Lavich, Janosne; Moravcsik, Imre; Pallagi, Istvan; Taschler, Istvan
PATENT ASSIGNEE(S): Gyogyszerkutato Intezet Kft., Hung.
SOURCE: Hung. Pat. Appl., 63pp.
CODEN: HUXXCV
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 9601528	A2	19981028	HU 1996-1528	19960605 <--
HU 9601528	A3	19990301		
HU 224427	B1	20050928		
PRIORITY APPLN. INFO.:			HU 1996-1528	19960605 <--

AB The subject of the invention is general formula new peptide derivs. Q-D-Xaa-Pro-Arg-H, where the meaning of Q is an acyl group with the formula Q'-O-CO, in which formula, the meaning of Q' is an alkyl group with 1-3 carbon atoms, the meaning of D-Xaa is D-amino acid radical with the formula NH-CH(R)-CO, where the meaning of R is an alkyl group with a straight or branching chain with 3-6 carbon atoms, a cycloalkyl group or cyclohexyl-Me group with 7-8 carbon atoms, the meaning of Pro is an L-proline-radical and the meaning of Arg is an L-arginine-radical and their acid addition salts formed with organic or inorg. acids, as well as the pharmaceutical products that contain the above chemical compds. These general formula compds. in the invention possess the property to prevent blood coagulation, the formation of thrombosis and inhibit the functions of the blood platelets.

L11 ANSWER 2 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:126187 CAPLUS
DOCUMENT NUMBER: 146:415093
TITLE: Peptidyl-arginine-aldehyde derivatives of thrombin- and Xa-factor-inhibiting activity and pharmaceutical compositions containing them
INVENTOR(S): Bajusz, Sandor; Bagdy, Daniel; Barabas, Eva; Feher, Andras; Szabo, Gabriella; Szell, Gyoergyne; Veghelyi, Belane Dr.; Juhasz, Attila; Mohai, Laszlone; Moravcsik, Imre; Szeker, Gaborne; Lango, Jozsef; Lavich, Janosne; Makkne, Ocskay Klara; Pallagi, Istvan; Szalkay, Gyoergyne; Taschler, Istvanne
PATENT ASSIGNEE(S): Gyogyszerkutato Intezet Kft., Hung.
SOURCE: Hung. Pat. Appl., 36pp.
CODEN: HUXXCV
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 9601525	A2	19981028	HU 1996-1525	19960605 <--

HU 9601525 A3 19990301
HU 224426 B1 20050928
PRIORITY APPLN. INFO.: HU 1996-1525 19960605 <--
AB The subject of the invention is general formula new peptidyl-arginine-aldehyde derivs. - Q-D-Xaa-Pro-Arg-H, where the meaning of Q is an acyl group with the formula Q'-O-CO, in which formula the meaning of Q' is an alkyl group with 1-3 carbon atoms, the meaning of D-Xaa is D-cyclohexylglycine- or D-cyclopentylglycine radical, the meaning of Pro is L-proline-radical and the meaning of Arg is L-arginine-radical and their acid additive salts formed with an organic or inorg. acid, as well as the pharmaceutical products containing the above chemical compds. The general formula compds. according to the invention have anticoagulant property.

=> d 3-5 ibib abs

L11 ANSWER 3 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:2171 CAPLUS
DOCUMENT NUMBER: 142:86627
TITLE: N,N-dicyclohexyl-(1S)-isoborneol-10-sulfonamide (MT103) and related compounds for the treatment of cancer
INVENTOR(S): Galvez, Jorge; Llompart, Javier; Pal, Kollol
PATENT ASSIGNEE(S): Spain
SOURCE: U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U.S. Ser. No. 251,616.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004266732	A1	20041230	US 2004-836638	20040430 <--
US 2004059000	A1	20040325	US 2002-251616	20020920 <--
US 6919376	B2	20050719		
CA 2561116	A1	20051013	CA 2005-2561116	20050324
WO 2005094554	A2	20051013	WO 2005-US9986	20050324
WO 2005094554	A3	20070426		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA				
EP 1740165	A2	20070110	EP 2005-741749	20050324
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				

PRIORITY APPLN. INFO.:

US 2002-251616	A2	20020920 <--
US 2004-556317P	P	20040325
US 2004-836638	A	20040430
WO 2005-US9986	W	20050324

OTHER SOURCE(S): MARPAT 142:86627
AB Compns. and uses associated with the MT103 family of compds. are disclosed. Particular structural features and properties of the compds. are described in detail. Uses include administering an MT103 family member to a patient for therapeutic purposes. Compns. include chems. belonging to the MT103

family and pharmaceuticals that contain such chems. Methods of treating cells are also described. Anticancer efficacy is included.

L11 ANSWER 4 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:919503 CAPLUS
DOCUMENT NUMBER: 142:162597
TITLE: Pharmaceutical composites of the suppository for fever and influenza containing Chinese medicines
INVENTOR(S): Shiu, Wu-ching; Geng, Shu-shian
PATENT ASSIGNEE(S): Taiwan
SOURCE: Taiwan., 10 pp.
CODEN: TWXXA5
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
TW 581686	B	20040401	TW 1998-87114128	19980827 <--

PRIORITY APPLN. INFO.: TW 1998-87114128 19980827 <--
AB The present invention relates to the pharmaceutical composites of the suppository for fever and influenza and their pharmaceutical methods, more particularly, to an anti-pyretic and anti-influenza suppository, which combines all the advantages of traditional Chinese medicine, western medicine, and phys. temperature reduction in an effort to expel all the weaknesses of traditional Chinese medicine, western medicine, and phys. temperature reduction, and which can rapidly bring down fever and relieve symptoms of influenza with fewer side effects suitable for both man and woman and people of all ages.

L11 ANSWER 5 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:610206 CAPLUS
DOCUMENT NUMBER: 139:164542
TITLE: Preparation of cycloalkyl inhibitors of potassium channel function for preventing/treating arrhythmia and IKur-associated conditions
INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Gross, Michael F.; Beaudoin, Serge
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.
SOURCE: PCT Int. Appl., 312 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

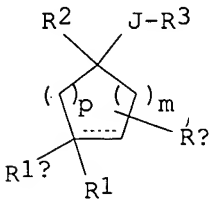
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003063797	A2	20030807	WO 2003-US3170	20030131 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2474451	A1	20030807	CA 2003-2474451	20030131 <--
US 2004072880	A1	20040415	US 2003-356158	20030131 <--
EP 1507504	A1	20050223	EP 2003-735126	20030131 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

CN 1732146	A	20060208	CN 2003-807570		20030131	<--
JP 2006508016	T	20060309	JP 2003-563493		20030131	<--
BR 2003007329	A	20060411	BR 2003-7329		20030131	<--
NZ 534098	A	20070427	NZ 2003-534098		20030131	<--
IN 2004DN02052	A	20050401	IN 2004-DN2052		20040716	<--
MX 2004PA07365	A	20050331	MX 2004-PA7365		20040729	<--
NO 2004003645	A	20040831	NO 2004-3645		20040831	<--
US 2005234106	A1	20051020	US 2004-997734		20041124	<--
US 7202253	B2	20070410				
ZA 200405905	A	20060531	ZA 2004-5905		20060313	<--
US 2007142333	A1	20070621	US 2007-670482		20070202	<--
PRIORITY APPLN. INFO.:			US 2002-353884P	P	20020201	<--
			US 2003-356158	B1	20030131	
			WO 2003-US3170	W	20030131	
			US 2004-997734	A3	20041124	

OTHER SOURCE(S): MARPAT 139:164542

GI



AB Claimed are novel cycloalkyl compds. (shown as I; variables defined below; e.g. cis- and trans-N-(4-hydroxy-1-thiophen-2-ylcyclohexylmethyl)-2-methoxybenzamide and trans-N-[[4-[N'-cyano-N''-ethyl-N-(furan-2-ylmethyl)guanidino]-1-phenylcyclohexyl]methyl]-2-methoxybenzamide) useful as inhibitors of K channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which was linked to the ultra-rapidly activating delayed rectifier K+ current IKur; no data), methods of using such compds. in the prevention and treatment of arrhythmia and IKur-associated conditions, and pharmaceutical compns. containing such compds. For I: dashed line = an optional double bond, provided that R1a is absent when a double bond is present; m and p = 0-3; R1 = H, NR8C(:W)NR6R7 (W = NR8a2, NCO2R8a2, NC(O)R8a2, NCN, NSO2R8a2), NR8SO2NR6R7, etc.; R1a = H, RX; or R1 and R1a together form oxo; or R1 and R1a together with the C atom to which they are attached combine to form an (un)substituted spiro-fused heterocyclo group; or R1 and R1a together combine to form :CR8R9. R2 is heteroaryl, (heteroaryl)alkyl, aryl, (aryl)alkyl, heterocyclo, (heterocyclo)alkyl, alkyl, alkenyl or cycloalkyl; J is a bond, C1-4 alkylene or C1-4 alkenylene; R3 = R5 (R5 = NR6aR7a, heteroaryl, (heteroaryl)alkyl, aryl, arylalkyl, alkyl, etc.), OR5, C(:Z1)R5, OC(:Z1)R5, C(:Z1)OR5, NR8a1C(:Z1)R5, etc.; RX is one or more optional substituents, attached to any available ring carbon atom; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, >600 example prepns. are included.

=> d 6-10 L11 ibib abs

L11 ANSWER 6 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:434325 CAPLUS
DOCUMENT NUMBER: 139:11876
TITLE: Coating for nail care having antimicrobial properties
INVENTOR(S): Beaurline, Daniel J.
PATENT ASSIGNEE(S): Almell, Ltd., USA
SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003045339	A2	20030605	WO 2002-US37141		20021119 <--
WO 2003045339	A3	20031016			

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002364898	A1	20030610	AU 2002-364898		20021119 <--
US 2005069504	A1	20050331	US 2004-496617		20041118 <--
PRIORITY APPLN. INFO.:			US 2001-334529P	P	20011130 <--
			WO 2002-US37141	W	20021119 <--

AB The present invention includes a nail coating composition substantially free to totally free of aromatic solvents, ketones, and formaldehyde-containing resins. Instead, the nail coating composition of the instant invention may include the following nitrocellulose, maleic-modified rosin based resin and polyester resin as film forming polymers; sucrose acetate isobutyrate, Bu benzyl phthalate, and glyceryl tribenzoate as plasticizers; at least one vitamin; at least one UV blocking agent; at least one protein; at least one moisturizer; at least one smoothing agent; at least one adhesion promoter; at least one antifungal/antimicrobial agent; and a mixture of solvents. The solvents in the nail coating composition are aliphatic solvents; cycloaliph. solvents or combinations. Exemplary solvents include C4-10 alkanes, C3-10 esters, C2-10 alkanols, C4-10 cycloalkanes, C4-10 cycloaliph. esters, C4-10 cycloalkanols, and mixts. Thus, a formulation contained EtOAc 41.70, BuOAc 28.38, BuOH 4.66, Lowlite-24 0.002, nitrocellulose 13.16, Uniplex 670P 6.44, BYK-301 0.26, Sant-160 5.41, and Irgasan DP300 5.41%.

L11 ANSWER 7 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:110866 CAPLUS
DOCUMENT NUMBER: 138:153931
TITLE: β-Alkylcarboxylic acid esters and process for manufacturing them
INVENTOR(S): Kikukawa, Tadashi
PATENT ASSIGNEE(S): Chemical Soft Kaihatsu Kenkyusho Y. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003040842	A	20030213	JP 2001-231664	20010731 <--
PRIORITY APPLN. INFO.:			JP 2001-231664	20010731 <--

OTHER SOURCE(S): MARPAT 138:153931

AB Claimed are R1R2C(OCOR4)CH2CO2R3 [R1, R2 = H, alkyl, etc.; or R1R2C = alicyclic hydrocarbon; R3 = alkyl; R4 = alkyl, etc.]. The title compds. are useful as materials for polymers and as intermediates for pharmaceuticals and agrochems. The process for preparing the title compds. is disclosed. Thus, 2-tert-butoxycarbonylmethyl-2-adamantyl methacrylate was prepared in 35% yield.

L11 ANSWER 8 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:748787 CAPLUS
DOCUMENT NUMBER: 137:242196
TITLE: NAALADase inhibitors useful for treatment of neurological and other diseases
INVENTOR(S): Jackson, Paul F.; MacLin, Keith M.; Wang, Eric; Slusher, Barbara S.; Lapidus, Rena S.; Majer, Pavel
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: U.S., 90 pp., Cont.-in-part of U. S. Ser. No. 228,391.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6458775	B1	20021001	US 1999-346711	19990702 <--
US 6395718	B1	20020528	US 1998-110262	19980706 <--
US 6265609	B1	20010724	US 1999-228391	19990112 <--
ZA 2001000055	A	20021105	ZA 2001-55	20010103 <--
US 2003064912	A1	20030403	US 2002-119828	20020411 <--
US 2003083374	A1	20030501	US 2002-164553	20020610 <--
PRIORITY APPLN. INFO.:			US 1998-110186 A2	19980706 <--
			US 1998-110262 A2	19980706 <--
			US 1999-228391 A2	19990112 <--
			US 1999-346711 A3	19990702 <--

AB The present invention relates to N-Acetylated α-Linked Acidic Dipeptidase (NAALADase) inhibitors enzyme activity, pharmaceutical compns. comprising such inhibitors, and methods of their use to inhibit NAALADase enzyme activity, thereby effecting neuronal activities, inhibiting angiogenesis, and treating glutamate abnormalities, compulsive disorders, prostate diseases, pain and diabetic neuropathy.
REFERENCE COUNT: 124 THERE ARE 124 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:10432 CAPLUS
DOCUMENT NUMBER: 136:85669
TITLE: Preparation of (e.g.) N-alkylaryl-N-aryl-N'-aryl ureas as glucagon antagonists/inverse agonists
INVENTOR(S): Jorgensen, Anker Steen; Christensen, Inge Thoger; Kodra, Janos Tibor; Madsen, Peter; Behrens, Carsten; Sams, Christian; Lau, Jesper
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000612	A1	20020103	WO 2001-DK435	20010621 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2411552	A1	20020103	CA 2001-2411552	20010621	<--
BR 2001011908	A	20030401	BR 2001-11908	20010621	<--
EP 1296942	A1	20030402	EP 2001-943189	20010621	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
HU 2003001501	A2	20030828	HU 2003-1501	20010621	<--
JP 2004501897	T	20040122	JP 2002-505360	20010621	<--
US 2002143186	A1	20021003	US 2001-888137	20010622	<--
US 6562807	B2	20030513			
ZA 2002009713	A	20030911	ZA 2002-9713	20021129	<--
MX 2002PA12273	A	20030425	MX 2002-PA12273	20021211	<--
NO 2002006149	A	20030221	NO 2002-6149	20021220	<--
IN 2002CN02119	A	20050225	IN 2002-CN2119	20021220	<--
US 2004024045	A1	20040205	US 2003-372536	20030224	<--
US 6953812	B2	20051011			

PRIORITY APPLN. INFO.:

DK 2000-984	A	20000623 <--
DK 2000-1734	A	20001117 <--
US 2000-215059P	P	20000629 <--
US 2000-252320P	P	20001120 <--
WO 2001-DK435	W	20010621 <--
US 2001-888137	A1	20010622 <--

OTHER SOURCE(S): MARPAT 136:85669

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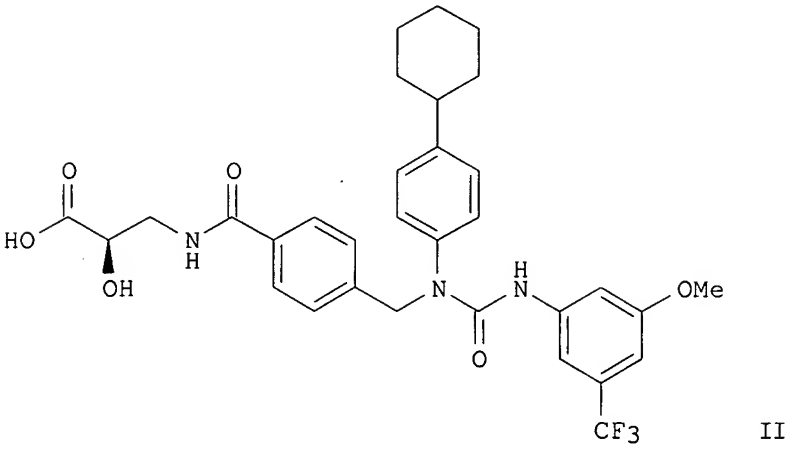


II

AB Title compds. R1OC(O)-A-CR2R3-N(R4)-C(O)-Z-CHR5-N(E)-X-D [R1-5 = H, alkyl; A = C(O), CH-alkoxy, CHF; Z = (un)substituted arylene or a divalent radical derived from a 5 or 6 membered heteroarom. ring containing 1 or 2 heteroatoms selected from N, O and S; X = alkyl, acyl, amido, etc.; D = (un)substituted Ph, naphthyl, pyridyl, benzothiophenyl, etc.; E = (un)substituted cyclohexyl, Ph, benzyl, phenethyl, etc.; I] were prepared Examples include data for 73 compds., two glucagon receptor binding assays and a glucose-dependent insulinotropic peptide (GIP) receptor binding assay. E.g., 4-cyclohexylaniline was reductively alkylated with 4-formyl benzoic acid Me ester (MeOH, HOAc, NaCNBH3) in 87% yield. The amine was added to an isocyanate derived from 5-methoxy-3-trifluoromethylaniline (preparation given; CH2Cl2, room temperature) to give a urea as an oil that was saponified (EtOH, NaOH, room temperature, 16 h) to give the solid carboxylic acid in 49% yield. The carboxylic acid was coupled to (R)-isoserine Et ester (DMF, HOBt, EDAC) followed by hydrolysis to give example compound II as a crystalline solid. In a glucagon receptor binding assay, compds. of the invention had IC50 < 1500 nM and many were below 250 nM. I are useful in the treatment or prevention of any diseases wherein a glucagon antagonistic action is beneficial, such as hyperglycemia, type 1 diabetes,

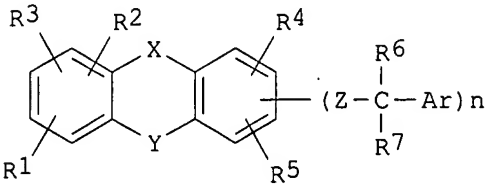
type 2 diabetes, disorders of lipid metabolism and obesity.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:526067 CAPLUS
DOCUMENT NUMBER: 135:107243
TITLE: Preparation of tricyclic heterocycles for pharmaceutical use as herpes antiviral agents
INVENTOR(S): Booth, Richard John; Josyula, Vara Prasad Venkata Nagendra; Meyer, Annette Lynn; Steinbaugh, Bruce Allan
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051479	A2	20010719	WO 2000-US32571	20001130 <--
WO 2001051479	A3	20020214		
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2396560	A1	20010719	CA 2000-2396560	20001130 <--
AU 200118085	A	20010724	AU 2001-18085	20001130 <--
EP 1248777	A2	20021016	EP 2000-980882	20001130 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000016937	A	20021231	BR 2000-16937	20001130 <--
JP 2003519693	T	20030624	JP 2001-551861	20001130 <--
MX 2002PA05485	A	20021129	MX 2002-PA5485	20020531 <--
US 2003229073	A1	20031211	US 2002-169590	20020705 <--
US 6800656	B2	20041005		
US 2005075332	A1	20050407	US 2004-901953	20040728 <--

PRIORITY APPLN. INFO.:	US 2000-174883P	P	20000107 <--
	WO 2000-US32571	W	20001130 <--
	US 2002-169590	A3	20020705 <--

OTHER SOURCE(S): MARPAT 135:107243
GI



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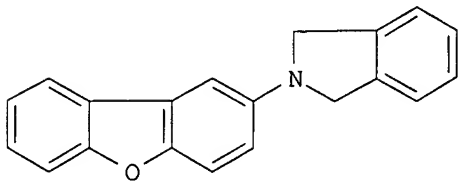

II

AB Tricyclic heterocycles, such as I [Ar = Ph, substituted Ph, benzoheterocyclyl, heterocyclyl; X, Y, Z = O, (CH2)m, S, SO, SO2, NH, NR8; R1-5 = H, OH, NH2, CN, NO2, CF3, OCF3, halogen, dialkylamino, alkoxy, aminoalkyl, aminoaryl, aryl, heterocyclyl; R6, R7 = H, CF3, alkyl, cycloalkyl, halogen, alkoxy, aminoalkyl, aminoaryl, heterocyclyl; R8 = H, Ph, alkyl, cycloalkyl, substituted Ph; m = 1-3, n = 0-2], having useful antiviral activity against viruses of the herpes family were prepared for pharmaceutical use. Thus, dibenzofuran II was prepared by cyclocondensation of 2-dibenzofuranamine and 1,2-bis(bromomethyl)benzene in CH2Cl2 using Et3N. The prepared heterocycles were tested for antiviral efficacy against HSV-1 using a yield reduction assay.

=> d 85-88 L11 ibib abs

L11 ANSWER 85 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1942:24856 CAPLUS
DOCUMENT NUMBER: 36:24856
ORIGINAL REFERENCE NO.: 36:3811d-e,3812a-b
TITLE: Phthalimide-4-sulfonamides
INVENTOR(S): Koberle, Karl; Braun, Willy; Hanusch, Fritz
PATENT ASSIGNEE(S): General Aniline & Film Corp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2273444		19420217	US 1939-299974	19391018 <--

AB A process is employed for producing a phthalimide-4-sulfonamide which comprises treating a 2-halobenzoic acid with chlorosulfonic acid, thereby converting it into the corresponding 5-sulfonyl chloride, then treating the sulfonyl chloride with NH3 or a primary or secondary alkylamine, aralkylamine, cycloalkylamine, arylamine, heterocyclic amine or secondary cyclic nitrogenous base to form the corresponding 5-sulfonamide, and heating this amide with cuprous cyanide. Details are given of the production of phthalimide-4-sulfonamide, m. about 275°, and the anilide, m. 199°, piperidide, m. 234-5°, methylphenylamide, diphenylamide, m. 248°, dicyclohexylamide, m. 327-8°, 1',2',3',4'-tetrahydroquinolylamide, m. 335°, methylamide, m. 213-14°, (N-ethyl-3'-carbazolyl)amide, m. 238°, and benzylamide, m. 237-9°, and a disulfonic acid dimethylamide of 2,3-naphthalenedicarboxylic acid imide, m. 300°. Various of the compds. formed may be used as intermediates or therapeutic agents.

L11 ANSWER 86 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1942:5487 CAPLUS
DOCUMENT NUMBER: 36:5487
ORIGINAL REFERENCE NO.: 36:911d-f
TITLE: Substituted dihydroxybiphenyls
INVENTOR(S): Britton, Edgar C.; Livak, John E.
PATENT ASSIGNEE(S): The Dow Chemical Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2260739		19411028	US 1939-280846	19390623 <--

AB 4,4'-Dihydroxybiphenyl compds. are produced having aralkyl groups in the 3,3'-positions. Such compds. are generally soluble in solvents such as acetone, petroleum ether, CCl4 and benzene, and may be used as intermediates in the preparation of dyes, etc., or as plasticizers, wetting

agents, pharmaceuticals, toxicants, etc. They may be prepared by forming the p-iodo derivative of the corresponding o-alkyl- or -cycloalkylphenol and thereafter condensing 2 mols. of such iodo derivative to form the desired dihydroxybiphenyl compound Since the free hydroxyl group of the phenol is reactive under the conditions employed for these reactions, it is necessary to protect the hydroxyl group, for example, by etherification, during the iodination and condensation reactions and thereafter regenerate the free phenol. Details are given of the production of the following 4,4'dihydroxybiphenyls: 3,3'-diisobutyl, m. 136-8°; 3,3'-dicyclohexyl, m. 209-213°; and 3,3'-dibenzyl, m. about 151-8°; and general mention is made of the possible similar production of other compds. such as 3,3'-di-tert-butyl, 3,3'-diheptyl, 3,3'-diisoamyl, 3,3'-di-tert-octyl, 3,3'-diphenethyl, 3,3'-dicyclopentyl, 3,3'-bis-3-phenylpropyl, 3,3'-didodecyl, etc.

L11 ANSWER 87 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1940:31045 CAPLUS
DOCUMENT NUMBER: 34:31045
ORIGINAL REFERENCE NO.: 34:4743c-d
TITLE: C-Cyclohexyldiphenylamines
INVENTOR(S): Smith, Frank B.; Moll, Harold W.
PATENT ASSIGNEE(S): Dow Chemical Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2194079		19400319	US 1938-205527	19380502 <--

AB By heating a mixture of diphenylamine and cyclohexene to about 150-250° in the presence of an acid-activated bleaching earth, C-cyclohexylated diphenylamines are produced suitable for use as plasticizing agents in cellulose acetate or ethylcellulose compns., etc., as rubber antioxidants, and as intermediates for the preparation of dyes and pharmaceutical compds., etc. By fractionation, sep. products such as 4-cyclohexyldiphenylamine, 4,4'-dicyclohexyldiphenylamine, etc., may be obtained.

L11 ANSWER 88 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1930:10602 CAPLUS
DOCUMENT NUMBER: 24:10602
ORIGINAL REFERENCE NO.: 24:1183h-i
TITLE: Therapeutic pyridine and piperidine derivatives
INVENTOR(S): Boehringhr, A.
PATENT ASSIGNEE(S): C. H. Boehringer Sohn
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 314019		19280621	GB	<--

AB Pyridine and piperidine derivs. containing the group CH2CO.R in the α-position or in the α,α'-positions are subjected to catalytic hydrogenation, by which one or both of the ketone groups is wholly or partially reduced, and by which the pyridine nucleus or one or both of the carboxylic residues (when R represents such) may be hydrogenated, according to reaction conditions. Examples are given of the production of α,α'-diphenylethylpyrldine and α,α'-dicyclohexylhydroxyethylpiperidine.

=> d 8-85 L11 ibib abs

L11 ANSWER 8 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:748787 CAPLUS
DOCUMENT NUMBER: 137:242196
TITLE: NAALADase inhibitors useful for treatment of neurological and other diseases
INVENTOR(S): Jackson, Paul F.; MacLin, Keith M.; Wang, Eric; Slusher, Barbara S.; Lapidus, Rena S.; Majer, Pavel
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: U.S., 90 pp., Cont.-in-part of U. S. Ser. No. 228,391.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6458775	B1	20021001	US 1999-346711	19990702 <--
US 6395718	B1	20020528	US 1998-110262	19980706 <--
US 6265609	B1	20010724	US 1999-228391	19990112 <--
ZA 2001000055	A	20021105	ZA 2001-55	20010103 <--
US 2003064912	A1	20030403	US 2002-119828	20020411 <--
US 2003083374	A1	20030501	US 2002-164553	20020610 <--
PRIORITY APPLN. INFO.:			US 1998-110186 A2	19980706 <--
			US 1998-110262 A2	19980706 <--
			US 1999-228391 A2	19990112 <--
			US 1999-346711 A3	19990702 <--

AB The present invention relates to N-Acetylated α-Linked Acidic Dipeptidase (NAALADase) inhibitors enzyme activity, pharmaceutical compns. comprising such inhibitors, and methods of their use to inhibit NAALADase enzyme activity, thereby effecting neuronal activities, inhibiting angiogenesis, and treating glutamate abnormalities, compulsive disorders, prostate diseases, pain and diabetic neuropathy.
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L11 ANSWER 9 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:10432 CAPLUS
DOCUMENT NUMBER: 136:85669
TITLE: Preparation of (e.g.) N-alkylaryl-N-aryl-N'-aryl ureas as glucagon antagonists/inverse agonists
INVENTOR(S): Jorgensen, Anker Steen; Christensen, Inge Thoger; Kodra, Janos Tibor; Madsen, Peter; Behrens, Carsten; Sams, Christian; Lau, Jesper
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000612	A1	20020103	WO 2001-DK435	20010621 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
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CA 2411552		A1	20020103	CA 2001-2411552	20010621 <--
BR 2001011908		A	20030401	BR 2001-11908	20010621 <--
EP 1296942		A1	20030402	EP 2001-943189	20010621 <--
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HU 2003001501		A2	20030828	HU 2003-1501	20010621 <--
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NO 2002006149		A	20030221	NO 2002-6149	20021220 <--
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US 6953812		B2	20051011		

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US 2000-215059P	P	20000629 <--
US 2000-252320P	P	20001120 <--
WO 2001-DK435	W	20010621 <--
US 2001-888137	A1	20010622 <--

OTHER SOURCE(S): MARPAT 136:85669

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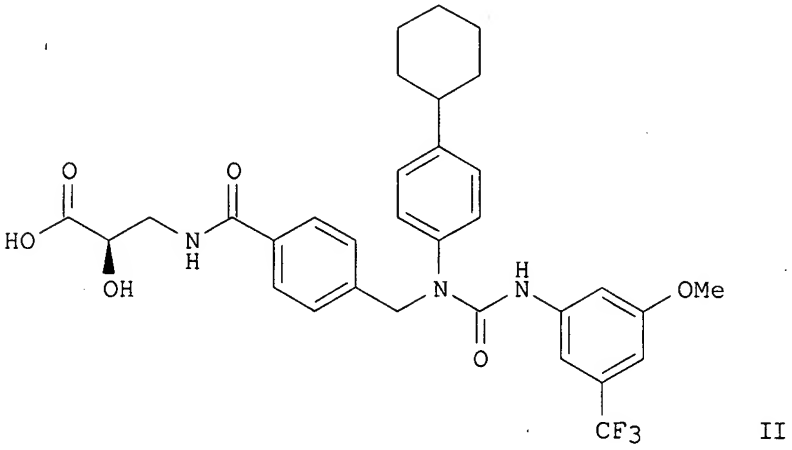

II

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DOCUMENT NUMBER: 135:107243
TITLE: Preparation of tricyclic heterocycles for pharmaceutical use as herpes antiviral agents
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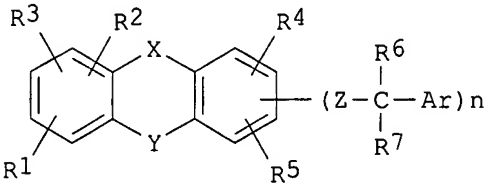
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051479	A2	20010719	WO 2000-US32571	20001130 <--
WO 2001051479	A3	20020214		
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2396560	A1	20010719	CA 2000-2396560	20001130 <--
AU 200118085	A	20010724	AU 2001-18085	20001130 <--
EP 1248777	A2	20021016	EP 2000-980882	20001130 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000016937	A	20021231	BR 2000-16937	20001130 <--
JP 2003519693	T	20030624	JP 2001-551861	20001130 <--
MX 2002PA05485	A	20021129	MX 2002-PA5485	20020531 <--
US 2003229073	A1	20031211	US 2002-169590	20020705 <--
US 6800656	B2	20041005		
US 2005075332	A1	20050407	US 2004-901953	20040728 <--

PRIORITY APPLN. INFO.:
US 2000-174883P P 20000107 <--
WO 2000-US32571 W 20001130 <--
US 2002-169590 A3 20020705 <--

OTHER SOURCE(S): MARPAT 135:107243
GI



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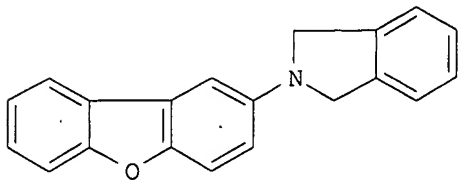


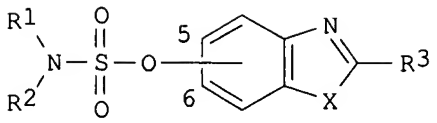
II

AB Tricyclic heterocycles, such as I [Ar = Ph, substituted Ph, benzoheterocyclyl, heterocyclyl; X, Y, Z = O, (CH2)m, S, SO, SO2, NH, NR8; R1-5 = H, OH, NH2, CN, NO2, CF3, OCF3, halogen, dialkylamino, alkoxy, aminoalkyl, aminoaryl, aryl, heterocyclyl; R6, R7 = H, CF3, alkyl, cycloalkyl, halogen, alkoxy, aminoalkyl, aminoaryl, heterocyclyl; R8 = H, Ph, alkyl, cycloalkyl, substituted Ph; m = 1-3, n = 0-2], having useful antiviral activity against viruses of the herpes family were prepared for pharmaceutical use. Thus, dibenzofuran II was prepared by cyclocondensation of 2-dibenzofuranamine and 1,2-bis(bromomethyl)benzene in CH2Cl2 using Et3N. The prepared heterocycles were tested for antiviral efficacy against HSV-1 using a yield reduction assay.

L11 ANSWER 11 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:380565 CAPLUS
DOCUMENT NUMBER: 134:366869
TITLE: Benzoxa- and benzthiazoles and their pharmaceutical compositions and use as steroid sulfatase inhibitors
INVENTOR(S): Billich, Andreas; Schreiner, Erwin Paul; Wolff-Winiski, Barbara
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001036398	A1	20010525	WO 2000-EP11475		20001117 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1230227	A1	20020814	EP 2000-981270		20001117 <--
EP 1230227	B1	20040623			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003514806	T	20030422	JP 2001-538887		20001117 <--
AT 269853	T	20040715	AT 2000-981270		20001117 <--
PT 1230227	T	20041029	PT 2000-981270		20001117 <--
ES 2223620	T3	20050301	ES 2000-981270		20001117 <--
US 6716865	B1	20040406	US 2002-130567		20020517 <--
HK 1049329	A1	20050324	HK 2002-109307		20021223 <--
PRIORITY APPLN. INFO.:			GB 1999-27439	A	19991119 <--
			GB 2000-7511	A	20000328 <--
			WO 2000-EP11475	W	20001117 <--

OTHER SOURCE(S): MARPAT 134:366869
GI



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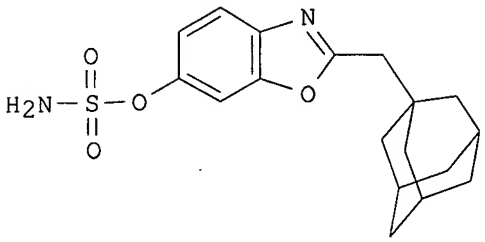


II

AB Benzoxazoles and benzothiazoles which are inhibitors of steroid sulfatase are disclosed. In particular, benzoxazoles and benzothiazoles which are substituted at the 2 position, and which carry a sulfamic acid ester group bound via oxygen to the Ph part of the ring structure, are claimed. The compds. especially include those of formula I [sulfamate ester bound at position 5 or 6 of benzazole ring; X = O, S; R1, R2 = H, alkyl; or one of R1 and R2 = H, and the other = acyl or alkoxycarbonyl; R3 = alk(en/yn)yl, cycloalk(en)yl, aryl, acyl, cycloalkyl(idene)(alk(en)yl), aralkyl, heteroaryl, etc.] in free or salt form. The compds. can be prepared by sulfamoylation of corresponding compds. carrying a hydroxy group on the Ph part of the ring structure, or by N-substitution. They are indicated for use as steroid sulfatase inhibitors in the prevention and treatment of illnesses responsive to steroid sulfatase inhibition, such as acne, seborrhea, androgenic alopecia, hirsutism, estrogen- and androgen-dependent cancer, inflammatory or autoimmune diseases, skin disorders, or decreased cognitive function. Approx. 60 examples are given. For instance, (adamantan-1-yl)acetic acid was amidated with 2,4-dihydroxyaniline-HCl, and the resultant 2-(adamantan-1-yl)-N-(2,4-dihydroxyphenyl)acetamide was cyclized by Mitsunobu reaction to give 2-(adamantan-1-ylmethyl)benzoxazol-6-ol. Reaction of this with H2NSO2Cl in the presence of 2,6-di-tert-butyl-4-methylpyridine gave title compound II. The analog of II with R3 = adamant-2-ylidenemethyl was deemed the most preferred agent of the invention. Compds. I had IC50 values comparable to those of estrone 3-O-sulfamate in two bioassays for inhibition of steroid sulfatase in vitro.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:31473 CAPLUS

DOCUMENT NUMBER: 134:100864

TITLE: Indazole compounds and pharmaceutical compositions for inhibiting protein kinases, and methods for their use

INVENTOR(S): Kania, Robert Steven; Bender, Steven Lee; Borchardt, Allen J.; Braganza, John F.; Cripps, Stephan James; Hua, Ye; Johnson, Michael David; Johnson, Theodore Otto, Jr.; Luu, Hiep The; Palmer, Cynthia Louise; Reich, Siegfried Heinz; Tempczyk-russell, Anna Maria; Teng, Min; Thomas, Christine; Varney, Michael David; Wallace, Michael Brennan

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

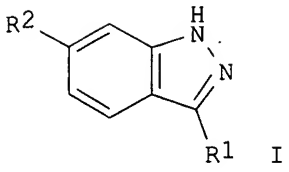
SOURCE: PCT Int. Appl., 439 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002369	A2	20010111	WO 2000-US18263	20000630 <--
WO 2001002369	A3	20020425		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, MZ, SZ, BE, CY, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383630	A1	20010111	CA 2000-2383630	20000630 <--
BR 2000012352	A	20020514	BR 2000-12352	20000630 <--
EP 1218348	A2	20020703	EP 2000-943375	20000630 <--
EP 1218348	B1	20071024		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
HU 2002002490	A2	20021128	HU 2002-2490	20000630 <--
JP 2003503481	T	20030128	JP 2001-507809	20000630 <--
JP 3878849	B2	20070207		
NZ 516676	A	20030926	NZ 2000-516676	20000630 <--
CN 1495171	A	20040512	CN 2003-154858	20000630 <--
AU 777701	B2	20041028	AU 2000-57852	20000630 <--
AP 1486	A	20051231	AP 2002-2392	20000630 <--
W: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW				
EP 1614683	A1	20060111	EP 2005-15902	20000630 <--
EP 1614683	B1	20071121		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2001005797	A	20020301	NO 2001-5797	20011128 <--
NO 322507	B1	20061016		
ZA 2001010061	A	20030206	ZA 2001-10061	20011206 <--
MX 2001PA12795	A	20020902	MX 2001-PA12795	20011211 <--
BG 106380	A	20020930	BG 2002-106380	20020201 <--
HK 1048813	A1	20041210	HK 2003-101000	20030212 <--
HK 1065037	A1	20060825	HK 2004-107797	20030212 <--
US 2004171634	A1	20040902	US 2003-326755	20030213 <--
US 6884890	B2	20050426		
NO 2006000596	A	20020301	NO 2006-596	20060206 <--
JP 2006348043	A	20061228	JP 2006-232927	20060830 <--
JP 3969669	B2	20070905		
IN 2007DN04518	A	20070831	IN 2007-DN4518	20070613 <--

PRIORITY APPLN. INFO.:			
US 1999-142130P	P	19990702	<--
EP 2000-943375	A3	20000630	<--
JP 2001-507809	A3	20000630	<--
US 2000-609335	B3	20000630	<--
WO 2000-US18263	W	20000630	<--
US 2001-983786	A3	20011025	<--
IN 2001-1148	A3	20011212	<--
HK 2003-101000	A	20030212	

OTHER SOURCE(S): MARPAT 134:100864
GI



I

AB Indazole compds. I [R1 = substituted or unsubstituted aryl or heteroaryl, R3CH:CH, R3N:CH; R2 = substituted or unsubstituted aryl, heteroaryl, Y-X; R3 = substituted or unsubstituted alkyl alkenyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; Y = O, S, C(:CH2), CO, SO, SO2, alkylidene, NH, N(C1-C8 alkyl); X = substituted or unsubstituted aryl, heteroaryl, NH(alkyl), NH(cycloalkyl), NH(heterocycloalkyl), NH(aryl), NH(heteroaryl), NH(alkoxy), NH(dialkylamide)] and their pharmaceutically acceptable prodrugs, active metabolites, and salts are disclosed. The compds. modulate and/or inhibit the activity of certain protein kinases. In particular, I and pharmaceutical compns. containing them are capable of mediating tyrosine kinase signal transduction, and thereby modulate and/or inhibit unwanted cell proliferation. The invention is also directed to the therapeutic or prophylactic use of pharmaceutical compns. containing such compds., and to methods of treating cancer and other disease states associated with unwanted angiogenesis and/or cellular proliferation, such as diabetic retinopathy, neovascular glaucoma, rheumatoid arthritis, and psoriasis, by administering effective amts. of such compds. E.g., I [R1 = (E)-3,4-(MeO)2C6H3CH:CH; R2 = 4-HO-3-MeOC6H3] (II) was prepared from 6-aminoindazole by diazotization and substitution with iodide, protection of the indazole nitrogen with 2,4,6-Me3C6H2SO2Cl, coupling of the regioisomeric mixture with 4-(methoxymethoxy)-3-methoxybenzeneboronic acid in the presence of dichlorobis(triphenylphosphine)palladium, and deprotection of the indazole moiety and iodination at the 3-position of the indazole. Treatment of the 3-indazolyl iodide with sec-butyllithium, phenyllithium, and DMF, regioselective protection of the indazole with 2,4,6-Me3C6H2SO2Cl, olefination with 3,4-dimethoxybenzyltriphenylphosphonium bromide, deprotection of the indazole, deprotection of the methoxymethyl group, and equilibration of the double bond with iodine gave II. Biol. data on protein kinase inhibition, cell proliferation inhibition, neovascularization inhibition, and i.p. and oral bioavailability, are given.

L11 ANSWER 13 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:321456 CAPLUS
DOCUMENT NUMBER: 132:352791
TITLE: Pharmaceutical suppository composites for fever and influenza and method of producing the composites
INVENTOR(S): Hsu, Wu-ching; Keng, Su-hsien
PATENT ASSIGNEE(S): Taiwan
SOURCE: U.S., 17 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6063383	A	20000516	US 1999-238744	19990128 <--

PRIORITY APPLN. INFO.: US 1999-238744 19990128 <--

AB Pharmaceutical suppository composites for fever and influenza and a method of producing them are disclosed. More particularly, the composites combine all the advantages of traditional Chinese medicine,

Western medicine, and phys. temperature reduction to relieve symptoms of influenza.
Poisonous side effects can be avoided by using the disclosed suppositories. The pharmaceutical suppository composites comprise 2750-3250 g radix bupleuri scorzonerifolium wild, 1750-2250 g flos lonicerae japonicae, 1950-2450 g fructus forsythiae, 1650-2150 g fructus arctii, 2550-3050 g herba schizonepetae, 50-550 g calculus bovis, and 870-1370 g of excipients.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:319704 CAPLUS
DOCUMENT NUMBER: 132:352000
TITLE: Identification of difficultly degradable nitrogen compounds in communal wastewater
AUTHOR(S): Mohle, Edda
CORPORATE SOURCE: Forschungs- und Entwicklungsinstitut fur Industrie- und Siedlungswasserwirtschaft sowie Abfallwirtschaft e.V., Stuttgart, Germany
SOURCE: Stuttgarter Berichte zur Siedlungswasserwirtschaft (2000), 155, 1-3, 5-174
CODEN: SBSWBO; ISSN: 0585-7953
PUBLISHER: R. Oldenbourg Verlag
DOCUMENT TYPE: Journal
LANGUAGE: German
AB Methods for the determination of total and dissolved organic N (DON) in municipal wastewater, including the identification of heavily degradable single compds., were developed. A measurement apparatus for the determination of the total-N content after high-temperature disintegration and chemiluminescence detection is presented. The sum parameter for DON was determined directly with the help of the high-temperature disintegration for standardized solns. due to the effective separation of the inorg. N with ion exchangers. Therefore, the determination of real case DON was carried out with the difference method measuring an average value of 1.89 mg/L in the outlet of 9 communal wastewater treatment plants. A new developed GC-MS screening method allowed to identify 47 low-mol., polar and semipolar compds. including various pharmaceuticals and their metabolites. Several expts. were carried out in the μg/L range online with HPLC-MS-MS to test the aerobic degradability of the identified substances in an activated sludge process. For the most substances a significant reduction occurred in the first 15 min. that indicated an adsorption by the activated sludge. An addnl. decrease of some substances to <1% during several hours was interpreted as primary decomposition On the basis of known metabolites the decomposition schemes were investigated. Acetaminophenolglucuronide is presented as example for the decomposition of a pharmaceutical substance and hydrocodon was identified as metabolite of dihydrocodeine.
REFERENCE COUNT: 108 THERE ARE 108 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:34855 CAPLUS
DOCUMENT NUMBER: 132:88185
TITLE: NAALADase inhibitors useful for treatment of neurological and other diseases
INVENTOR(S): Jackson, Paul F.; Maclin, Keith M.; Wang, Eric; Slusher, Barbara S.; Lapidus, Rena S.; Majer, Pavel
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 234 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2000001668	A2	20000113	WO 1999-US15128		19990702	<--
WO 2000001668	A3	20000921				
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW						
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG						
US 6395718	B1	20020528	US 1998-110262		19980706	<--
US 6265609	B1	20010724	US 1999-228391		19990112	<--
CA 2337797	A1	20000113	CA 1999-2337797		19990702	<--
AU 9948583	A	20000124	AU 1999-48583		19990702	<--
AU 770258	B2	20040219				
EP 1093453	A1	20010425	EP 1999-932229		19990702	<--
EP 1093453	B1	20050928				
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI						
BR 9912516	A	20010918	BR 1999-12516		19990702	<--
JP 2002519408	T	20020702	JP 2000-558073		19990702	<--
NZ 508978	A	20050324	NZ 1999-508978		19990702	<--
AT 305449	T	20051015	AT 1999-932229		19990702	<--
RU 2268881	C2	20060127	RU 2001-103136		19990702	<--
ZA 2001000055	A	20021105	ZA 2001-55		20010103	<--
NO 2001000052	A	20010302	NO 2001-52		20010104	<--
MX 2001PA00144	A	20021017	MX 2001-PA144		20010108	<--
IN 2001KN00030	A	20050311	IN 2001-KN30		20010108	<--
HK 1036617	A1	20060203	HK 2001-107357		20011019	<--
US 2003064912	A1	20030403	US 2002-119828		20020411	<--
PRIORITY APPLN. INFO.:			US 1998-110186	A	19980706	<--
			US 1998-110262	A	19980706	<--
			US 1999-228391	A	19990112	<--
			WO 1999-US15128	W	19990702	<--

OTHER SOURCE(S): MARPAT 132:88185

AB The present invention relates to N-Acetylated α-Linked Acidic Dipeptidase (NAALADase) inhibitors enzyme activity, pharmaceutical compns. comprising such inhibitors, and methods of their use to inhibit NAALADase enzyme activity, thereby effecting neuronal activities, inhibiting angiogenesis, and treating glutamate abnormalities, compulsive disorders, prostate diseases, pain and diabetic neuropathy.

L11 ANSWER 16 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:618765 CAPLUS
DOCUMENT NUMBER: 127:264327
TITLE: Fluorine-containing polymers prepared by using fluorine-containing azo initiators
INVENTOR(S): Shiraki, Kazuo; Shimamura, Nobutaka
PATENT ASSIGNEE(S): Wako Pure Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 09241307	A	19970916	JP 1996-84776	19960313 <--
PRIORITY APPLN. INFO.:			JP 1996-84776	19960313 <--

AB Title polymers, useful for antifouling coatings and hair cosmetics with excellent elasticity and setting power, comprise F-containing segments derived from fluorine-containing azo compds., and segments derived from monomers. The polymers are prepared by polymerizing the monomers in the presence of F-containing azo compds. Thus, reacting 10.1 g 2-perfluorooctylethanol with 3.0 g 4,4'-azobis(4-cyanopentanoic acid) at 20-25° in MeCN in the presence of dicyclohexyl carbodiimide and 4-dimethylaminopyridine gave a F-containing azo compound, 1.0 g of which was heated with 100 g Me methacrylate at 70° in PhMe to give a F-containing copolymer (number- and weight-average mol. weight 85,900 and 139,000, resp.) providing film of water contact angle 96.6°.

L11 ANSWER 17 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:366022 CAPLUS
DOCUMENT NUMBER: 127:17391
TITLE: Preparation of ethers by hydrogenation of carbonyl compounds
INVENTOR(S): Fujii, Yasuyuki; Furugaki, Kuwa; Kita, Katsuki
PATENT ASSIGNEE(S): Kao Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09095461	A	19970408	JP 1996-133204	19960528 <--
PRIORITY APPLN. INFO.:			JP 1995-191582 A	19950727 <--

OTHER SOURCE(S): CASREACT 127:17391; MARPAT 127:17391

AB R1R2CHOCHR1R2 (R1-2 = H, C1-20 linear or branched alkyl, alkenyl; CR1R2 may be a ring), useful as solvents and for cosmetics, lubricants, detergents, etc., are prepared by treatment of R1R2CO with catalysts, preferably Pd, Pd(OH)2, or Pd oxides supported on C, Al2O3, SiO2-Al2O3, or SiO2, under a H atmospheric PrCHO was autoclaved with Pd/C under 60 kg/cm2 H at 150° under stirring for 8 h to give 90% Bu2O.

L11 ANSWER 18 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:145195 CAPLUS
DOCUMENT NUMBER: 126:143919
TITLE: Process for producing ethers
INVENTOR(S): Fujii, Yasuyuki; Furugaki, Hisakazu; Kita, Katsumi; Uno, Mitsuru; Tamura, Eiko; Matsumoto, Hiromasa
PATENT ASSIGNEE(S): Kao Corporation, Japan
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 753500	A2	19970115	EP 1996-111314	19960712 <--
EP 753500	A3	19970402		
EP 753500	B1	20070307		
R: DE, FR, GB				
JP 09202743	A	19970805	JP 1996-138231	19960531 <--

JP 3025754	B2	20000327			
US 5914430	A	19990622	US 1996-675923		19960705 <--
CN 1148584	A	19970430	CN 1996-112106		19960712 <--
CN 1066706	B	20010606			
PRIORITY APPLN. INFO.:			JP 1995-176089	A	19950712 <--
			JP 1995-301150	A	19951120 <--

OTHER SOURCE(S): MARPAT 126:143919

AB Ethers (e.g., dicyclohexyl ether), useful as solvents, cosmetics, detergents, lubricants, emulsifiers, etc., are produced by reacting: (a) a hydroxy compound (e.g., cyclohexanol) with a carbonyl compound (e.g., cyclohexanone), or (b) a carbonyl compound under H2 in the presence of a catalyst. The reaction is carried out while removing produced water by using a drying agent (e.g., silica gel) during the reaction, by distilling off the water, or by blowing gases (e.g., H2) through the reaction system.

L11 ANSWER 19 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:699889 CAPLUS
DOCUMENT NUMBER: 126:16407
TITLE: Photocured polymers in ion-selective electrode membranes. Part 6: Photopolymerized lithium sensitive ion-selective electrodes for flow injection potentiometry
AUTHOR(S): Farrell, J. R.; Iles, P. J.; Dimitrakopoulos, T.
CORPORATE SOURCE: Department of Applied Chemistry, Royal Melbourne Institute of Technology, Melbourne, Victoria, 3001, Australia
SOURCE: Analytica Chimica Acta (1996), 335(1-2), 111-116
CODEN: ACACAM; ISSN: 0003-2670
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A photocured lithium ion-selective electrode, based on the ionophore N,N-dicyclohexyl-N',N'-diisobutyl-cis-cyclohexane-1,2-dicarboxamide (ETH 1810), was developed and evaluated. The robust nature of the photocured membrane made it ideally suitable for measurements in flow injection potentiometry within a linear range 0.1-10-3M, detection limit of 5+10-4M, and sample throughput of 150h-1. The electrode was used successfully to determine lithium levels in pharmaceutical lithium carbonate tablets.

L11 ANSWER 20 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:693822 CAPLUS
DOCUMENT NUMBER: 125:308714
TITLE: Cosmetics containing aqueous polymer emulsions and film-forming agents
INVENTOR(S): Tsutsumi, Takehiro; Hidaka, Yoshiki; Kuwabara, Kazuo; Sugawara, Susumu; Saito, Mizue
PATENT ASSIGNEE(S): Kao Corp, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08231332	A	19960910	JP 1995-38152	19950227 <--
PRIORITY APPLN. INFO.:			JP 1995-38152	19950227 <--

AB Cosmetics, which show luster, water resistance, and film-forming property, contain aqueous polymer emulsions, prepared by polymerizing double bond-containing monomers in the presence of solid plasticizers, and film-forming agents. Me methacrylate 55, Bu acrylate 33, styrene 10, and

acrylic acid 2 parts by weight were polymerized in the presence of Na dodecylbenzenesulfonate, K2S2O8, sucrose octaacetate, and n-dodecylmercaptan in H2O at 70° for 3 h and mixed with 8 % by weight Et Carbitol to prepare a polymer emulsion. An eye shadow was prepared from microcryst. wax 3.0, stearic acid 3.0, liquid paraffin 8.5, lanolin 1.0, sorbitan monostearate 1.5, glycerin 5.5, triethanolamine 1.5, Me cellulose 0.5, the emulsion 10.0, pearl pigment 10.0, ultramarine 2.0, perfume, antiseptic, and H2O to 100 weight%.

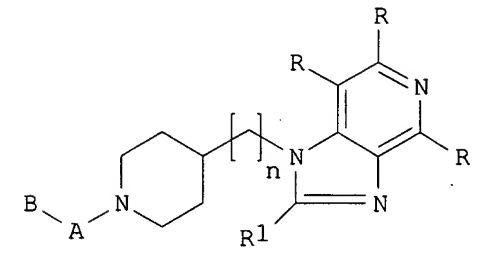
L11 ANSWER 21 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:686478 CAPLUS
DOCUMENT NUMBER: 125:339214
TITLE: Fluorimetric determination of potassium from pharmaceutical products featuring crown ethers
AUTHOR(S): Mutihac, Lucia; Popescu, Daniela Oana
CORPORATE SOURCE: Dep. Analytical Chem., Univ. Bucharest, Bucharest, Rom.
SOURCE: Revue Roumaine de Chimie (1996), 41(5-6), 433-436
CODEN: RRCHAX; ISSN: 0035-3930
PUBLISHER: Editura Academiei Romane
DOCUMENT TYPE: Journal
LANGUAGE: English
AB K+ concentration was determined by liquid/liquid extraction using supramol. host-guest compds.
of K+ with 18-crown-6 (18C6), dicyclohexyl 18-crown-6 (DC18C6) and dibenzo 18-crown-6 (DB18C6) in the presence of Eosine (tetrabromofluoresceine) as anion. The expts. were carried out in organic nonpolar media, such as CH2Cl2 and CH2Cl2:C6H5CH3 (1:4) featuring fluorimetric determination

L11 ANSWER 22 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:462315 CAPLUS
DOCUMENT NUMBER: 125:114623
TITLE: Novel piperidine-imidazopyridine derivatives with PAF antagonist activity
INVENTOR(S): Carceller, Elena; Jimenez, Pere J.; Recasens, Nuria; Salas, Jordi; Almansa, Carmen; Bartroli, Javier
PATENT ASSIGNEE(S): J Uriach y Cia. S.A., Spain
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

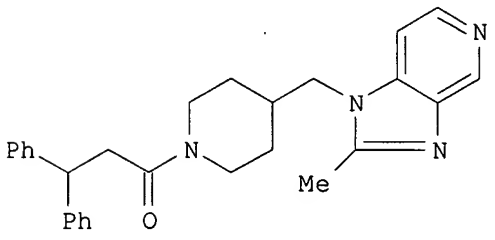
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9614317	A1	19960517	WO 1995-EP3487	19950905 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ES 2087038	A1	19960701	ES 1994-2291	19941107 <--
ES 2087038	B1	19970316		
CA 2180660	A1	19960517	CA 1995-2180660	19950905 <--
CA 2180660	C	20070403		
AU 9535636	A	19960531	AU 1995-35636	19950905 <--
EP 738269	A1	19961023	EP 1995-932668	19950905 <--
EP 738269	B1	20000426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09507862	T	19970812	JP 1996-514972	19950905 <--

JP 4018137	B2	20071205			
AT 192152	T	20000515	AT 1995-932668		19950905 <--
PT 738269	T	20000831	PT 1995-932668		19950905 <--
ES 2147616	T3	20000916	ES 1995-932668		19950905 <--
NO 9602855	A	19960705	NO 1996-2855		19960705 <--
NO 306345	B1	19991025			
US 5705504	A	19980106	US 1996-669440		19961022 <--
GR 3033528	T3	20000929	GR 2000-401219		20000529 <--
PRIORITY APPLN. INFO.:			ES 1994-2291	A	19941107 <--
			WO 1995-EP3487	W	19950905 <--

OTHER SOURCE(S): MARPAT 125:114623
GI



I



II

AB Title compds. I [m = 0-2; R = (independently) H, alkyl; R1 = alkyl, cycloalkyl; A = CO, SO2, NHCO, OCO; B = various functionalized or unsatd. sidechains] and their salts and solvates are platelet activating factor (PAF) antagonists, useful in the treatment of various diseases or disorders mediated by PAF. Pharmaceutical compns. including the compds., and processes for their preparation, are also provided. Examples include 76 prepns. of I, 28 precursor prepns., 6 formulations, and 2 pharmacol. tests. For instance, 4-(aminomethyl)piperidine was converted to the 1-BOC derivative, condensed with 4-chloro-3-nitropyridine (64%), hydrogenated to an amino compound (96%), cyclized with MeC(:NH)OEt.HCl to an imidazopyridine (95%), and deprotected (98%), to give 1-[(4-piperidyl)methyl]-1H-2-methylimidazo[4,5-c]pyridine. Amidation of this with Ph2CHCH2CO2H using DCC and HOBt in DMF gave 63% title compound II. In a test for inhibition of PAF-induced aggregation of rabbit platelets in vitro, II had IC50 of 0.0076 μM. It also inhibited PAF-induced hypertension in rats with ID50 of 0.0086 mg/kg.

L11 ANSWER 23 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1996:425622 CAPLUS
DOCUMENT NUMBER:	125:123702
TITLE:	Dense star polymer conjugates
INVENTOR(S):	Tomalia, Donald A.; Wilson, Larry R.; Hedstrand, David M.; Tomlinson, Ian A.; Fazio, Michael J.; Kruper, William J. Jr.; Kaplan, Donald A.; Cheng, Roberta C.; Edwards, David S.; Jung, Chu W.
PATENT ASSIGNEE(S):	The Dow Chemical Company, USA
SOURCE:	U.S., 49 pp., Cont.-in-part of U.S. 5,338,532. CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5527524	A	19960618	US 1993-43198	19930405 <--
BR 8707431	A	19881101	BR 1987-7431	19870419 <--
AT 89743	T	19930615	AT 1987-307266	19870817 <--
JP 63501878	T	19880728	JP 1987-505282	19870818 <--
JP 07002840	B	19950118		
JP 63502350	T	19880908	JP 1987-505084	19870818 <--
JP 07057735	B	19950621		
BR 8707433	A	19881101	BR 1987-7433	19870818 <--
FI 8801768	A	19880415	FI 1988-1768	19880415 <--
FI 103410	B1	19990630		
US 5338532	A	19940816	US 1991-654851	19910213 <--
WO 9524221	A1	19950914	WO 1995-US3045	19950307 <--
W: AU, BR, CA, CN, CZ, EE, FI, GE, HU, JP, KR, LT, LV, MX, NO, NZ, PL, PT, RU, SI, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5714166	A	19980203	US 1995-400203	19950307 <--
FI 9801807	A	19980824	FI 1998-1807	19980824 <--
FI 105693	B1	20000929		
AU 200229312	A	20020523	AU 2002-29312	20020328 <--
AU 768662	B2	20031218		

PRIORITY APPLN. INFO.:		
US 1986-897455	B2	19860818 <--
US 1987-87266	B2	19870818 <--
US 1989-386049	B2	19890726 <--
US 1991-654851	A2	19910213 <--
EP 1987-307266	A	19870817 <--
WO 1987-US2075	W	19870818 <--
WO 1987-US2076	A	19870818 <--
US 1993-43198	A2	19930405 <--
US 1994-207494	A2	19940307 <--
US 1994-316536	A2	19940930 <--
AU 1999-64440	A3	19991210 <--

AB Dense star polymer conjugates which are composed of at least one dendrimer in association with at least one unit of a carried agricultural, pharmaceutical, or other material have been prepared These conjugates have particularly advantageous properties due to the unique characteristics of the dendrimer. Incorporation of aspirin into Starburst dendrimers was presented as an example.

L11 ANSWER 24 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:367750 CAPLUS
DOCUMENT NUMBER: 122:142507
TITLE: Conjugates of AZT and dextran for inhibiting the replication of human immunodeficiency virus
INVENTOR(S): Usher, Thomas C.; Patel, Natu; Tele, Chhagan; Wolk, I. Louis
PATENT ASSIGNEE(S): Dextran Products Ltd., Can.
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9500177	A1	19950105	WO 1994-CA343	19940617 <--
W: BG, CA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRIORITY APPLN. INFO.: US 1993-77511 A 19930617 <--
US 1993-108813 A 19930819 <--

AB A pharmaceutical preparation and a method for inhibiting in vivo the reverse transcriptase enzyme and the replication of human immunodeficiency virus (HIV) is disclosed. The pharmaceutical preparation is a conjugate of dextran, modified dextran, dextran sulfate or polysaccharides and 3'-azido-2',3'-didesoxythymidine (AZT) which may be administered via different routes in appropriate dosage forms to patients suffering from a viral disease such as AIDS and its related disorders. This conjugate represent a novel structure which functions as a structural unit which combines the known additive and synergistic properties of dextran or dextran sulfate with AZT and at the same time appears to ameliorate the toxic effects of AZT. Dextran was reacted with chloroacetic acid and the product thus obtained was purified and reacted with AZT in presence of N-dicyclohexyl carbodiimide to obtain dextran-AZT conjugate.

L11 ANSWER 25 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:555913 CAPLUS
DOCUMENT NUMBER: 121:155913
TITLE: Chlorophyll and bacteriochlorophyll derivatives and pharmaceutical compositions containing them
INVENTOR(S): Scherz, Avigdor; Salomon, Yoram; Fiedor, Leszek
PATENT ASSIGNEE(S): Israel
SOURCE: Can. Pat. Appl., 63 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2101227	A1	19940127	CA 1993-2101227	19930723 <--
CA 2101227	C	20021112		
IL 102645	A	19980222	IL 1992-102645	19920726 <--
AU 9342148	A	19940127	AU 1993-42148	19930722 <--
AU 674315	B2	19961219		
ZA 9305310	A	19940211	ZA 1993-5310	19930722 <--
HU 64949	A2	19940328	HU 1993-2149	19930723 <--
HU 221186	B1	20020828		
CN 1088210	A	19940622	CN 1993-116862	19930726 <--
CN 1040212	B	19981014		
JP 07033772	A	19950203	JP 1993-226328	19930726 <--
JP 3612343	B2	20050119		
PL 173150	B1	19980130	PL 1993-299803	19930726 <--
PL 173128	B1	19980130	PL 1993-319910	19930726 <--
AT 196850	T	20001015	AT 1993-111942	19930726 <--
ES 2153367	T3	20010301	ES 1993-111942	19930726 <--
PT 584552	T	20010430	PT 1993-111942	19930726 <--
US 5955585	A	19990921	US 1995-461243	19950605 <--
US 5650292	A	19970722	US 1995-463950	19950731 <--
GR 3035195	T3	20010430	GR 2001-400013	20010110 <--

PRIORITY APPLN. INFO.: IL 1992-102645 A 19920726 <--
US 1993-71645 A3 19930603 <--
US 1993-97384 A3 19930726 <--

OTHER SOURCE(S): MARPAT 121:155913

AB Conjugates of chlorophyll (Chl) and bacteriochlorophyll (Bchl) derivs. with amino acids, peptides and proteins are provided by the invention. The amino acid, peptide or protein residue is linked to the 17-propionic acid group of a Chl or Bchl residue directly or through a chain. The conjugates are for use as photosensitizers in photodynamic therapy and in diagnostics of tumors. Conjugation with cell-specific ligands, such as hormones, growth factors or tumor-specific antibodies, will target the Chl or Bchl moiety to the tumor site. Thus, conjugates with melanocyte stimulating hormones are suitable for photodynamic therapy of melanoma

tumors.

L11 ANSWER 26 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:191149 CAPLUS
DOCUMENT NUMBER: 120:191149
TITLE: Noncorrosive method of producing N-hydroxycarbamates from hydroxylamine and carbonate esters
INVENTOR(S): Nishihira, Keigo; Tanaka, Shuji; Mizutare, Katsuhiko; Kondo, Masahiro
PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 577167	A2	19940105	EP 1993-201479	19930525 <--
EP 577167	A3	19940126		
EP 577167	B1	19960703		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 06041047	A	19940215	JP 1992-317125	19921126 <--
JP 2798164	B2	19980917		
US 5315032	A	19940524	US 1993-67551	19930526 <--
PRIORITY APPLN. INFO.:			JP 1992-189803 A	19920526 <--
			JP 1992-317125 A	19921126 <--

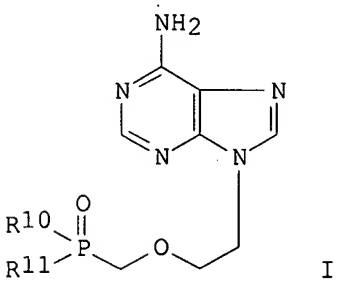
OTHER SOURCE(S): CASREACT 120:191149; MARPAT 120:191149
AB N-hydroxycarbamates, RO2CNHOH (R = C1-8 alkyl, C3-12 cycloalkyl, aryl, aralkyl) (e.g., MeO2CNHOH), useful as intermediates in the production of pharmaceuticals and agrochems., are prepared in high yield and selectivity, without the use of toxic and corrosive chloroformate esters, by amidating a carbonic ester, RO2COR, with H2NOH in the presence of a base (e.g., alkali metal hydroxides or alkoxides).

L11 ANSWER 27 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:198316 CAPLUS
DOCUMENT NUMBER: 118:198316
TITLE: Determination of amines on the basis of competitive "host-guest" complexation
AUTHOR(S): Pletnev, I. V.; Pasekova, N. A.; Fedotov, P. S.; Zolotov, Yu. A.
CORPORATE SOURCE: Mosk. Gos. Univ., Moscow, Russia
SOURCE: Doklady Akademii Nauk (1992), 326(1), 109-12 [Chem.]
CODEN: DAKNEQ; ISSN: 0869-5652
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB A method is described for the selective (1-10 μM) determination of amines with the crown ether, dicyclohexyl-18-crown-6, under conditions of extraction, with the counterion bering picrate. The "guest" was benzylamine, a component of several pharmacol. prepns. and the near analogs of a number of amine drugs. Later a method was developed also for determining pharmaceutical primary amine, noradrenaline. The determination of benzylamine and noradrenaline by using the radioactive indicator 90Sr is discussed. In addition, the determination of benzylamine by atomic-emission (with indicators of Sr and Ba) is described, using inductively coupled plasma-detection. The developed method for determining amines is rather selective: neither secondary amines nor even a 10,000-fold amount of Li and Na; nor 100-fold amts. of K, NH4, methylammonium, and butylammonium; nor 50-fold Ca interfere in the determination The selectivity is notably higher than in the direct extraction-photometric determination

L11 ANSWER 28 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:551291 CAPLUS
DOCUMENT NUMBER: 117:151291
TITLE: Preparation of nucleotide phosphorate ester and amide derivatives as virucides and neoplasm inhibitor prodrugs
INVENTOR(S): Starrett, John Edward, Jr.; Mansuri, Muzammil M.; Martin, John C.; Tortolani, David R.; Bronson, Joanne J.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 481214	A1	19920422	EP 1991-115312	19910910 <--
EP 481214	B1	19980624		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 167679	T	19980715	AT 1991-115312	19910910 <--
ES 2118069	T3	19980916	ES 1991-115312	19910910 <--
CA 2051239	A1	19920315	CA 1991-2051239	19910912 <--
CA 2051239	C	20030325		
JP 04230694	A	19920819	JP 1991-233337	19910912 <--
JP 3164385	B2	20010508		
US 5663159	A	19970902	US 1994-320632	19941011 <--
US 5792756	A	19980811	US 1995-481715	19950607 <--
PRIORITY APPLN. INFO.:			US 1990-583906 A	19900914 <--
			US 1993-153556 B1	19931116 <--
			US 1994-320632 A3	19941011 <--

OTHER SOURCE(S): MARPAT 117:151291
GI



I

AB R1R2P(O)CH2OXB [B = adenine, cytosine, guanine, thymine, uracil, 2,6-diaminopurine, hypoxanthine, etc. residue; R1, R2 = OR4, NH2, NHR5 N(R5)2; R1R2, R1X = atoms to form a cyclic group; X = (substituted) alkylene; R4 = physiol. hydrolyzable ester group; R5 = (substituted) alkyl, aryl, arylalkyl], were prepared Thus, I (R10 = R11 = OH) (II) was stirred 5 days with N,N'-dicyclohexyl-4-morpholine carboxyamidine and chloromethyl isobutyrate in DMF to give 9% I (R10 = R11 = isobutyryloxymethyl) (III). III showed ID50 < 0.1 μg/mL against HSU-2 (G stain) vs 39 μg/mL for II. III showed absolute bioavailability in rats of 14.6, vs. 7.8 for II.

L11 ANSWER 29 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:526237 CAPLUS
DOCUMENT NUMBER: 117:126237

TITLE: Genotoxic potential of crown ethers in mammalian cells: induction of sister-chromatid exchanges
AUTHOR(S): Arenaz, P.; Bitticks, L.; Pannell, K. H.; Garcia, S.
CORPORATE SOURCE: Dep, Biol., Univ. Texas, El Paso, TX, 79968-0519, USA
SOURCE: Mutation Research, Genetic Toxicology Testing (1992), 280(2), 109-15
CODEN: MRGTE4; ISSN: 0165-1218
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Crown ethers are macrocyclic polyethers which possess ionophoric properties. These compds. have been studied for potential use as pharmaceutical agents as well as antibacterials. Though crown ethers have been shown to be highly toxic in prokaryotes, there have been few investigations into the potential genotoxicity of these compds. When sister-chromatid exchanges (SCEs) were quantitated after exposure to crown ethers, the results reflected no significant genotoxic effects on Chinese hamster V-79 cells at any of the crown ether concns. utilized. One crown ether, dicyclohexyl 21-crown-7, did appear to possess antigenotoxic activity. The data on the induction of SCEs by crown ethers reported herein suggest that these compds. are not genotoxic in mammalian cells despite their cytotoxicity.

L11 ANSWER 30 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:201112 CAPLUS
DOCUMENT NUMBER: 116:201112
TITLE: Polyalkylene oxide-amino acid copolymers as drug carriers and charged copolymers based thereon
INVENTOR(S): Zalipsky, Samual; Bolikal, Durgadas; Nathan, Aruna; Kohn, Joachim Benjamin
PATENT ASSIGNEE(S): Enzon, Inc., USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9200748	A1	19920123	WO 1991-US4797		19910708 <--
W: AU, CA, HU, JP, SU					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE					
JP 05508879	T	19931209	JP 1991-512668		19910708 <--
PRIORITY APPLN. INFO.:			US 1990-549494	A	19900706 <--
			US 1991-726301	A	19910705 <--
			WO 1991-US4797	W	19910708 <--

AB Copolymers of polyalkylene oxides and amino acids or peptide sequences are disclosed, which amino acids or peptide sequences have pendant functional groups that are capable of being conjugated with pharmaceutically active compds. for drug delivery systems and crosslinked to form polymer matrixes as hydrogel membranes. The copolymers can also be formed into conductive materials by combination with electrolyte salts. Thus, polyethylene glycol-lysine copolymer was treated with N-hydroxysuccinimide and dicyclohexyl carbodiimide. Cephradine dissolved in a water-dioxane mixture was reacted with the derivatized polyethylene glycol-lysine copolymer to prepare a conjugate.

L11 ANSWER 31 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:478697 CAPLUS
DOCUMENT NUMBER: 115:78697
TITLE: Chemical constituents of "Lang-Du Dang-Gui" (Angelica sp.)
AUTHOR(S): Rao, Gaoxiong; Yu, Xuejian; Sun, Handong
CORPORATE SOURCE: Kunming Inst. Bot., Acad. Sin., Kunming, 650204, Peop. Rep. China

SOURCE: Yunnan Zhiwu Yanjiu (1991), 13(1), 85-8
CODEN: YCWCDP; ISSN: 0253-2700
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB Oil of "Langdu Danggui" (Angelica sp.) from the Lang-Du Mountain (Yunnan Province) has been analyzed qual. and quant. by capillary GC/MS/DS on the Finnigan-4510, and 42 constituents, which made up 96.83% of the total oil, have been identified. Ligustilide (73.98%) and cis-β-ocimene (12.18%) were the principal components of the essential oil. In addition, 4 known compds. that are lignoceric acid, β-sitosterol, umbelliferone, and sucrose have been isolated from the non-volatile part of the same sample.

L11 ANSWER 32 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:446273 CAPLUS
DOCUMENT NUMBER: 113:46273
TITLE: Sustained-release prodrugs comprising inflammation inhibitors linked to polysaccharides
INVENTOR(S): Larsen, Claus Selch; Johansen, Marianne; Harboe, Elis; Kurtzhals, Peter; Olesen, Henning Peter
PATENT ASSIGNEE(S): Den.
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 331471	A1	19890906	EP 1989-302051		19890301 <--
EP 331471	B1	19921216			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
WO 8908119	A1	19890908	WO 1989-DK47		19890301 <--
W: JP, US					
JP 04505334	T	19920917	JP 1989-503409		19890301 <--
AT 83383	T	19930115	AT 1989-302051		19890301 <--
PRIORITY APPLN. INFO.:			DK 1988-1101	A	19880302 <--
			EP 1989-302051	A	19890301 <--
			WO 1989-DK47	W	19890301 <--

OTHER SOURCE(S): MARPAT 113:46273
AB Prodrugs (Markush given) consists of an antiinflammatory agent linked covalently with a biodegradable saccharide, such as dextran, starch, alginate, glycogen, pullulan, agarose, cellulose, chitin and carrageenan. After parenteral administration of the prodrug, the active ingredient is slowly released at the site of administration. After oral administration, release occurs in the terminal ileum and colon. A solution of 1 g naproxen in 20 mL formamide-pyridine mixt (1:1) was treated with 990 mg N,N'-dicyclohexyl carbodiimide, 54 g 4-dimethylaminopyridine and a solution of 1 g dextran T-70 in 20 mL formamide-pyridine (1:1), to give O-[(+)-6-methoxy-α-methyl-2-naphthalenacetyl]dextrane T-70 (I), with a 6.9 degree of substitution. Degradation of I in aqueous solution at pH 6.54 and 37° showed a pseudo-first-order rate constant of 4.34 + 10-4 h-1.

L11 ANSWER 33 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:425929 CAPLUS
DOCUMENT NUMBER: 113:25929
TITLE: Manufacture of alkynyl group-containing fatty acids
INVENTOR(S): Rubin, David
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 4 pp. Cont.-in-part of U.S. Ser. No. 276,467.
CODEN: USXXAM
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4908162	A	19900313	US 1989-310205	19890214 <--
PRIORITY APPLN. INFO.:			US 1988-276467 A2	19881122 <--

AB The title compds., potentially useful in pharmaceuticals, are prepared by halogenating unsatd. fatty acids, dehydrohalogenating the products with dicyclohexylcarbodiimide (I) and strong bases, and acidifying the salts. Thus, 5,8,11,14,17-eicosapentaenoic acid was brominated and the decabromo derivative was dehydrobrominated with KOH and I to give K 5,8,11,14,17-eicosapentaynoate, which was acidified with 5% AcOH to give the free acid.

L11 ANSWER 34 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:580705 CAPLUS
DOCUMENT NUMBER: 111:180705
TITLE: Pharmaceutical gels or highly viscous masses containing lecithins or lecithin-like materials and organic solvents
INVENTOR(S): Luisi, Pier Luigi
PATENT ASSIGNEE(S): Switz.
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8900077	A1	19890112	WO 1988-CH114	19880627 <--
W: US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
CH 681427	A5	19930331	CH 1987-2472	19870701 <--
EP 323494	A1	19890712	EP 1988-905345	19880627 <--
EP 323494	B1	19940119		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 100351	T	19940215	AT 1988-905345	19880627 <--
PRIORITY APPLN. INFO.:			CH 1987-2472 A	19870701 <--
			EP 1988-905345 A	19880627 <--
			WO 1988-CH114 A	19880627 <--

AB Gels, i.e. highly viscous masses, are manufactured by mixing lecithin or a lecithin-containing material with an organic solvent; the suspensions thus formed are maintained at 50° until ≥50% of lecithin or lecithin-containing material has dissolved, and then H2O is added in small amts. until the solution solidifies. Com. soy or egg lecithin was purified by chromatog. over silica gel using CH2Cl2 as eluent. A solution contained 0.456 g soy lecithin and 3 mL Et myristate; 32.1 mg nifedipine/3 mL was added to the solution and it was stirred until after 30 min a clear yellow solution containing solubilized nifedipine was obtained. With the stepwise addition of H2O a gel was obtained with a H2O/lecithin mol ratio of 5; the gelation of the solution was effected in a sudden manner via the addition of H2O after the critical requirement for H2O content was exceeded. Gels containing soy lecithin and n-octane or n-hexadecane are described and a number of other suitable organic solvents are listed. The gel structures were not elucidated.

L11 ANSWER 35 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:484098 CAPLUS
DOCUMENT NUMBER: 111:84098

TITLE: Transdermal pharmaceuticals containing indomethacin or diazepam with absorption accelerators
INVENTOR(S): Hori, Mitsuhiko; Muraoka, Takamitsu; Watanabe, Shigeyuki; Sato, Susumu; Maruyama, Koji
PATENT ASSIGNEE(S): Nitto Denko Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63225316	A	19880920	JP 1987-1329	19870107 <--
PRIORITY APPLN. INFO.:			JP 1986-251127 A1	19861021 <--

AB Topical pharmaceutical compns. consist of the following 3 components: (1) indomethacin or diazepam, (2) at least one compound selected from the group consisting of 1-nonene, p-menthane, α-terpinene, butylcyclohexane, etc., and (3) at least one compound selected from lower alcs., glycols, and pyrrolidones. Indomethacin or diazepam is effectively absorbed through the skin from these compns. Indomethacin 1, Pr alc. 89, and pinane 10% by weight were mixed and applied to an isolated rat skin, and 4 h later the amount of indomethacin transported through the skin and dissolved in a saline solution set underneath the skin was measured by high performance liquid chromatog. The drug permeation acceleration rate was 32.9%.

L11 ANSWER 36 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:149966 CAPLUS
DOCUMENT NUMBER: 108:149966
TITLE: A process for preparation and purification of dicylohexyl disulfide by treatment with metal powders
INVENTOR(S): Yamamoto, Yoshikimi; Sako, Taizo; Shioda, Yutaka; Kawada, Hideaki
PATENT ASSIGNEE(S): Ouchi Shinko Chemical Industrial Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62145057	A	19870629	JP 1985-284305	19851219 <--
JP 03017829	B	19910311		
PRIORITY APPLN. INFO.:			JP 1985-284305	19851219 <--

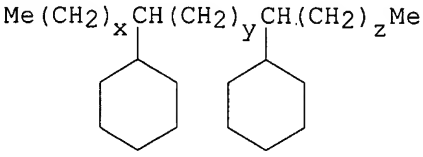
AB Dicyclohexyl disulfide (I), useful as intermediate for agrochems. and drugs, was purified by heating a mixture containing I in the presence of metal powders. Chlorocyclohexane (1.0 mol) was added dropwise to a homogeneous mixture of 0.75 Na2S, 0.75 mol S and 100 mL H2O at 80° in 30 min and the mixture was refluxed at 95° for 15 h. An oil layer was separated, washed with 10% aqueous NaCl and was concentrated at 100° and 40 mm Hg. Cu powder (10 g) was added to 100 g of the concentrate, and the mixture was heated at 100° for 4 h to give, after simple distillation, 87.2 g I (70.4% yield) with 92.9% purity.

L11 ANSWER 37 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:578209 CAPLUS
DOCUMENT NUMBER: 105:178209
TITLE: Dicyclohexylalkanes
INVENTOR(S): Segnitz, Adolph; Oppenlaender, Knut; Naegele, Paul
PATENT ASSIGNEE(S): BASF A.-G. , Fed. Rep. Ger.

SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3507175	A1	19860904	DE 1985-3507175	19850301 <--
US 4784843	A	19881115	US 1986-831451	19860220 <--
JP 61200929	A	19860905	JP 1986-38435	19860225 <--
EP 193884	A2	19860910	EP 1986-102601	19860228 <--
EP 193884	A3	19870930		
EP 193884	B1	19890802		
R: DE, FR, GB, IT				

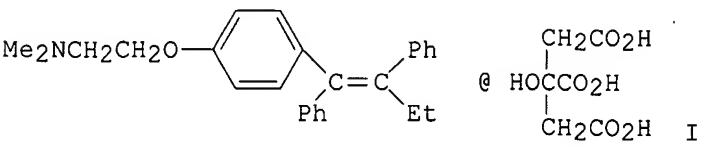
PRIORITY APPLN. INFO.: DE 1985-3507175 A 19850301 <--
GI



I

AB The dicyclohexylalkanes I (x, y, Z = 0-24; x + y + Z = 24) are prepared as oily components for cosmetics and phamaceuticals, by hydrogenation of the corresponding diphenylalkanes, at 90-200 bar and 150-350°, in the presence of a catalyst, such as Raney Ni or Ni-Mo. The hydrogenation can be continuous or discontinuous. I are odorless, with a 0.01 extinction at 275 nm. Thus, a hand cream contained ethoxylated C16-18 fatty alcs. 4, I 9, cetyl alc. 5, glycerol monostearate 5, Siliconol 350 1, poly(vinylpyrrolidone) 1, glycerol 10, preservative 0.5, perfume 0.2 and water 64.3 parts by weight

L11 ANSWER 38 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:566231 CAPLUS
DOCUMENT NUMBER: 103:166231
TITLE: Quantitative gas chromatographic determination of tamoxifen citrate in pharmaceuticals
AUTHOR(S): Sane, R. T.; Desai, S. V.; Sonawne, K. K.; Nayak, V. G.
CORPORATE SOURCE: Dep. Chem., Ramnarain Ruia Coll., Bombay, 400 019, India
SOURCE: Journal of Chromatography (1985), 331(2), 432-6
CODEN: JOCRAM; ISSN: 0021-9673
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Tamoxifen citrate (I) [54965-24-1] was determined in pharmaceuticals

by gas chromatog. with dual flame ionization detectors and a stainless steel column packed with 3% Dexisil 300 on Chromosorb WHP (100-120 mesh). The amount of I found was 15.31 mg/tablet compared with the labeled claim of 15.2 mg/tablet. The recovery was 101.33%. The relative standard deviation was 1.21-2.70%. Dicyclohexyl phthalate was used as the internal standard There was no interference from tablet excipients. The method is precise and reproducible and does not require derivatization.

L11 ANSWER 39 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:443595 CAPLUS
DOCUMENT NUMBER: 103:43595
TITLE: Ferric ion sequestering agents. 12. Gallium and indium imaging agents. 4. Lipophilic enterobactin analogs. Stabilities of the gallium and ferric ion complexes of terminally N-substituted catechoylamines
AUTHOR(S): Kappel, Mary J.; Pecoraro, Vincent L.; Raymond, Kenneth N.
CORPORATE SOURCE: Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
SOURCE: Inorganic Chemistry (1985), 24(15), 2447-52
CODEN: INOCAJ; ISSN: 0020-1669
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The formation consts. and metal-complex protonation behaviors of 4 lipophilic N-substituted tricatechoylamide analog of enterobactin with Fe3+ and Ga3+ were evaluated. The ligands (1) N,N''-diisopropyl-N,N',N''-tris(5-sulfonato-2,3-dihydroxybenzoyl)-1,5,10-triazadecane (DiP-3,4-LICAMS), (2) N,N''-dibenzyl-N,N',N''-tris(5-sulfonato-2,3-dihydroxybenzoyl)-1,5,10-triazadecane (DB-3,4-LICAMS), (3) N,N''-dicyclohexyl-N,N',N''-tris(5-sulfonato-2,3-dihydroxybenzoyl)-1,5,10-triazadecane (DC-3,4-LICAM), and (4) N,N',N''-triisopropyl-N,N',N''-tris(5-sulfonato-2,3-dihydroxybenzoyl)-1,3,5-tris(aminoethyl)benzene (TiP-MECAMS) all form tris(catecholato) Fe3+ and Ga3+ complexes. Comparison of the metal complex stabilities of the N-substituted ligands to those of the nonlipophilic 3,4-LICAMS and MECAMS indicates that the ferric complexes are of similar stability and that the Ga complexes are significantly less stable.

L11 ANSWER 40 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:451309 CAPLUS
DOCUMENT NUMBER: 101:51309
TITLE: Unsymmetrical fluorescein derivatives
INVENTOR(S): Khanna, Pyare; Colvin, Warren
PATENT ASSIGNEE(S): Syva Co., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4439356	A	19840327	US 1981-240031	19810303 <--
US 4652531	A	19870324	US 1984-587085	19840307 <--
PRIORITY APPLN. INFO.:			US 1981-240031	A3 19810303 <--

OTHER SOURCE(S): MARPAT 101:51309
AB Unsym. fluorescein derivs. were prepared, particularly 1,8-unsubstituted-9-substituted-6-hydroxy-3H-xanthen-3-ones, having 1 aliphatic substituent at any of the remaining positions, where the aliphatic substituent is separated from the annular C atom by 0-1 O atom. These fluorescent compds. have absorption maximum in 0.5M phosphate buffer pH 8 usually at least .apprx.500 nm, and they can be used to reduce background fluorescence interference occurred in chemical anal. They are potentially useful for detection or determination of proteins, polysaccharides, nucleic acids, drugs, metabolites and

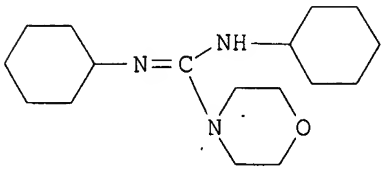
others by competitive protein binding assays, e.g., immunoassay.

L11 ANSWER 41 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:609756 CAPLUS
DOCUMENT NUMBER: 95:209756
ORIGINAL REFERENCE NO.: 95:34957a,34960a
TITLE: Colorimetric determination of mebendazole in pharmaceutical formulation
AUTHOR(S): Rana, N. G.; Dave, Rita V.; Patel, M. R.
CORPORATE SOURCE: Res. Dev. Div., Cadila Lab., Ahmedabad, 380 008, India
SOURCE: Indian Drugs (1981), 18(9), 333-4
CODEN: INDRBA; ISSN: 0019-462X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Mebendazole [31431-39-7] anthelmintic was determined in tablets and suspensions by adding H2NOH-HCl, dicyclohexyl carbodiimide, and FeCl3 to a tablet solution or suspension dilution in iso-PrOH and HCO2H, and measuring the absorbance at 520 nm. The calibration curve was linear for 0.4-2.0 μg mebendazole/mL.

L11 ANSWER 42 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1976:483235 CAPLUS
DOCUMENT NUMBER: 85:83235
ORIGINAL REFERENCE NO.: 85:13299a,13302a
TITLE: Pharmaceutical composition based on guanidine derivatives
INVENTOR(S): Du Charme, Donald W.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: Fr. Demande, 31 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
FR 2272645	A1	19751226	FR 1975-4133		19750210	<--
FR 2272645	B1	19800111				
US 3961056	A	19760601	US 1974-441399		19740211	<--
GB 1490015	A	19771026	GB 1975-4846		19750205	<--
PRIORITY APPLN. INFO.:			US 1974-441399	A	19740211	<--

GI



HCl

I

AB The synthesis and pharmaceutical formulation and compounding of antiarrhythmic and diuretic guanidine derivs. R1N:C(NHR2)NR3R4 is described. N,N'-dicyclohexyl-4-morpholinocarboxamidine-HCl (I) [59995-77-6], for example, is prepared from morpholine [110-91-8] and N,N'-dicyclohexylcarbodiimide [538-75-0] which is obtained by reacting N,N'-dicyclohexylthiourea [1212-29-9], Ph3P, CCl4, and Et3N in CH2Cl2. Tablets of I are prepared with di-Ca phosphate, methylcellulose, talc, corn starch, and Mg stearate.

L11 ANSWER 43 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1974:83052 CAPLUS

DOCUMENT NUMBER: 80:83052
ORIGINAL REFERENCE NO.: 80:13373a,13376a
TITLE: Pharmaceutical 2-(hydroxymethyl)-3-phenyl-4(3H)-quinazolinone
INVENTOR(S): Inoue, Michiro; Ishikawa, Masayuki; Tsuchiya, Takashi; Shimamoto, Takio
SOURCE: Ger. Offen., 25 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2329815	A1	19740103	DE 1973-2329815	19730612 <--
DE 2329815	B2	19760226		
DE 2329815	C3	19761007		
JP 49014482	A	19740207	JP 1972-57631	19720612 <--
JP 54042991	B	19791217		
CA 998339	A1	19761012	CA 1973-173223	19730605 <--
ZA 7303834	A	19740424	ZA 1973-3834	19730606 <--
BE 800595	A1	19731001	BE 1973-131994	19730607 <--
NL 7308045	A	19731214	NL 1973-8045	19730608 <--
AU 7356717	A	19741212	AU 1973-56717	19730608 <--
HU 165940	B	19741228	HU 1973-IO201	19730611 <--
ES 415794	A1	19760201	ES 1973-415794	19730611 <--
GB 1443829	A	19760728	GB 1973-27718	19730611 <--
SU 563915	A3	19770630	SU 1973-1930852	19730611 <--
CS 184815	B2	19780915	CS 1973-4198	19730611 <--
FR 2187353	A1	19740118	FR 1973-21301	19730612 <--
AT 7305144	A	19750915	AT 1973-5144	19730612 <--
AT 330187	B	19760625		
CH 582160	A5	19761130	CH 1973-8457	19730612 <--

PRIORITY APPLN. INFO.: JP 1972-57631 A 19720612 <--
GI For diagram(s), see printed CA Issue.
AB The quinazolinone (I) and its acetylsalicylate, hydrobromide, hydrochloride, maleate, nicotinate, oxalate, and tartrate were prepared and used in the treatment of arteriosclerosis, hemorrhage, and thrombosis. Thus, I was prepared by refluxing the acetate II in EtOH containing 10% HCl.
II
was prepared a) by reaction of 2-AcOCH2CONHC6H4CO2H with PhNH2 in PhMe in the presence of PCl3, b) by reaction of 2-ClCH2CONHC6H4CO2H with PhNH2 in PhMe in the presence of PCl3 and reaction of the resulting chloride III with AcONa, c) by cyclization of 2-AcOCH2CONHC6H4CONHPh in the presence of dicyclohexyl-carbodiimide, or d) by reduction of the aldehyde IV.

L11 ANSWER 44 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1972:103835 CAPLUS
DOCUMENT NUMBER: 76:103835
ORIGINAL REFERENCE NO.: 76:16693a,16696a
TITLE: Quality of pharmaceutical preparations. III. Determination of naphazoline and other components in drugs by gas chromatography
AUTHOR(S): Minamikawa, Tsutanori; Yamagishi, Noriaki
CORPORATE SOURCE: Hokuriku Pharm. Co., Ltd., Katsuyama, Japan
SOURCE: Eisei Kagaku (1971), 17(5), 341-6
CODEN: ESKGA2; ISSN: 0013-273X
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
AB N-Acylation of naphazoline (I) afforded a rapid simultaneous gas chromatog. determination of I, T-caine (II) and chlorpheniramine maleate (III) in
eye solution If II was absent, the residue from evaporation of a CHCl3 or CCl4 extract of the sample was dissolved in CHCl3 containing 0.2 dioctyl phthalate as

internal standard, treated with Ac2O, and extracted into CHCl3. Dicyclohexyl phthalate and n-butyric anhydride, resp., were used if II was present. A standard solution of I was similarly treated. Calibration curves of peak height ratio (sample/standard) were linear for 5-20 mg/ml of I, II, and III. Synthetic prepns. containing I, II, III, homosulfamine, and NaCl gave 99.9, 99.8, and 99.0 recovery (coefficient of variation 0.59, 0.87, and 0.83) for I, II, and III, resp. Decomposition products of I did not interfere.

L11 ANSWER 45 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1970:41870 CAPLUS
DOCUMENT NUMBER: 72:41870
TITLE: Food additives. Vinyl chloride-propylene copolymers
AUTHOR(S): Anon.
SOURCE: Federal Register (1969), 34(221), 18382-4, 18 Nov 1969
CODEN: FEREAC; ISSN: 0097-6326
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The use of the title copolymers (I) as a polymer modifier is extended to semi-rigid and rigid vinyl chloride plastic food-contact articles under the U.S. Federal Food, Drug, and Cosmetic Act. The following addnl. substances may be used as adjuvants in I: dicyclohexyl and diphenyl phthalates as plasticizers; chlorinated polyethylene as a modifier; NH4 salt of epoxidized oleic acid as a polymerization emulsifier; tetrahydrofuran as a solvent in the casting of film; N,N'-diphenylthiourea, hydrogenated 4,4'-isopropylidenediphenol phosphite ester resins, 2-(2-hydroxy-5-methylphenyl)benzotriazole, Mg and Zn salicylates, pentaerythritol and its stearate ester, and tris-(2-methyl-4-hydroxy-5-tert-butylphenyl)butance as antioxidants and (or) stabilizers; octyltin stabilizers; and polyhydric alc. diesters of oxidatively refined (Gersthoffen process) montan wax acids.

L11 ANSWER 46 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1969:512900 CAPLUS
DOCUMENT NUMBER: 71:112900
ORIGINAL REFERENCE NO.: 71:21015a,21018a
TITLE: Cleavage of aminals and 1,3-dialkylimidazolidines with heterocumulenes
AUTHOR(S): Boehme, Horst; Pasche, W.
CORPORATE SOURCE: Pharm.-Chem. Inst., Philipps Univ. Marburg/L., Marburg/L., Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie und Berichte der Deutschen Pharmazeutischen Gesellschaft (1969), 302(2), 81-90
CODEN: APBDAJ; ISSN: 0376-0367
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 71:112900
AB Bispiperidinomethane (I) mixed with PhNCO (II) (ethereal solns.) gave, under exothermic reaction, 96% N-phenyl-N-(piperidinomethyl)-carbamic acid piperidide, m. 66° (ether). On attempted crystallization from EtOH N-phenylcarbamic acid piperidide was obtained. With PhNCS and I (ethereal solns.), 84% N-phenyl-N-(piperidinomethyl)thiocarbamic acid piperidide, decompose 80-2°, was formed. I and 3,4-dichlorophenyl isocyanate (III) gave 95% N-(3,4-dichlorophenyl)-N-(piperidinomethyl)carbamic acid piperidide (IV), m. 92-4°(ether). Gentle heating of IV in Me2CO gave piperidinocarboxylic acid 3,4-dichloroanilide. N,N'-Benzylidenebismorpholine mixed with II and warmed to 60° (C6H6 solns.) gave 96% N-phenyl-N-(1-morpholinobenzyl)carbamic acid morpholide (V), m. 116-19°. Attempted crystallization of V from EtOH gave morpholinocarboxylic acid anilide. BzNCO (VI) was allowed to react with I (ethereal solns.), and the reaction product was recrystd. from EtOH to give N-benzoylcarbamic acid piperidide, m. 175°. VI treated in the same way with dimorpholinomethane gave N-benzoylcarbamic acid morpholide,

m. 137-8°. VI reacted with dipyrrolidinomethane to give, after recrystn. from MeOH, benzoylcarbamic acid pyrrolidide, m. 140-1°. 1,3-Disubstituted imidazolidines reacted with isocyanates to give hexahydro-1,3,5-triazepin-2-ones by ring enlargement. Thus, solns. of the isocyanate and the 1,3-disubstituted imidazolidine in C6H6 or toluene were mixed dropwise and refluxed for 1-2 hrs. in N. II gave with dibutylimdazolidine (VII) 58% 1,5-dibutyl-3-phenylhexahydro-1,3,5-triazepin-2-one b. 62-4°/10-2 torr. II with dicyclohexylimidazolidine (VIII) gave 85% 1,5-dicyclohexyl -3-phenylhexahydro-1,3,5-triazepin-2-one (VIIIa), m. 110-11° (Me2CO) (hydrochloride m. 166-8°), and with 1,5-dibenzylimidazolidine (IX), 86% 1,5-dibenzyl-3-phenylhexahydro-1,3,5-triazepin-2-one, m. 113° (Me2CO). Treatment of a solution of VIIIa in toluene with COCl2 gave 63% 1,5-dicyclohexyl-2-chloro-3-phenylhexahydro-1,3,5-triazepinium chloride, m. 124-6° (Me2CO). III with VII gave 50% 1,5-dibutyl-3-(3,4-dichlorophenyl)hexahydro-1,3,5-triazepin-2-one, b. 150/10-1torr; with VIII, 82% 1,5-dicyclohexyl -3-(3,4-dichlorophenyl)hexahydro-1,3,5-triazepin-2-one, m. 134-6° (Me2CO); and with IX, 51% 1,5-dibenzyl-3-(3,4-dichlorophenyl)hexahydro-1,3,5-triazepin-2-one, m. 84-6° (ether). 1,3-Diphenylimidazoline or 1-phenyl-3-alkylimidazolidines do not react with II. 1-Phenyl-3-butylimidazoline (X), b.p. 130°/1.5 torr, n21D 1.5493, was synthesized in 84% yield by condensation of the molar amount of CH2O with N-phenyl-N'-butylethylenediamine in C6H6; the latter was obtained by heating PhNHCHCH2OSO3H with BuNH2 for 12 hrs. in an autoclave at 170°, b. 125°/1.5 torr, n20D 1.5370, yield 40%. VI with VIII gave 75% 1,5-dicyclohexyl-3-benzoylhexahydro-1,3,5-triazepin-2-one, m. 155-7° (Me2CO); and with IX, 90% 1,5-dibenzyl-3-benzoylhexahydro-1,3,5-triazepin-2-one, m. 90° (EtOAc). 4-Methylbenzoyl isocyanate gave with VIII, 63% 1,5-dicyclohexyl-3-(4-methylbenzoyl)hexahydro-1,3,5-triazepin-2-one, m. 167° (EtOH); with IX, 92% 1,5-dibenzyl-3-(4-methylbenzoyl)hexahydro-1,3,5-triazepin-2- one, m. 143° (EtOH); and with X, 78% N-phenyl-N'-butyl-N'-(β- anilinoethyl)thiourea, m. 112° (EtOH). 4-Chlorobenzoyl isocyanate gave with VIII 66% 1,5-dicyclohexyl-3-(4-chlorobenzoyl)hexahydro-1,3,5-triazepin-2-one, decompose 206° (EtOH); and with IX, 59% 1,5-dibenzyl-3-(4-chlorobenzoyl)hexahydro-1,3,5-triazepin-2-one, m. 128° (EtOH). Also were prepared 48% 1,5-dicyclohexyl-3-(p-tolylsulfonyl)hexahydro-1,3,5-triazepin-2-one, m. 138-41° (EtOAc); 42% 1,3,5-tributylhexahydro-1,3,5-triazepin-2-one, b. 114-15°/10-2 torr; 92% 1,5-dibutyl-3-phenylhexahydro-1,3,5-triazepine-2-thione, m. 77-8° (MeOH); 56% 1,5-dicyclohexyl-3-phenylhexahydro-1,3,5-triazepine-2-thione, decompose 230-2° (EtOAc); 55% 1,5-dibenzyl-3-phenylhexahydro-1,3,5-triazepine-2-thione, m. 151° (Me2CO); 96% 1,5-dibenzyl-3-benzoylhexahydro-1,3,5-triazepine-2-thione, m. 155-6° (EtOAc); and 65% 1,5-dibenzyl - 3 - (4-methylbenzoyl)hexahydro - 1,3,5 - triazepine-2-thione, m. 148-50° (EtOAc); the ketene (XI) was allowed to pass through an ethereal solution of VIII in the presence of ZnCl2 at 0-5° and the mixture kept for 20 hrs. at ambient temperature to give 29% 1,4-dicyclohexylhexahydro-1,4-diazepin-5-one, m. 116-18°. IX was allowed to react with XI in the same way to give 41% 1,4-dibenzylhexahydro-1,4-diazepin-5-one, m. 56-8° (petroleum ether). 1,5 - Dibenzyl - 3 - phenyl - 2 - (diethoxycarbonylmethylene)hexahydro-1,3,5-triazepine, m. 144-6°, was formed in 33% yield by reaction of PhN:C:C(CO2Et)2 (XII) with IX in toluene at 60-80°. An ethereal solution of XII added dropwise to CH2(NEt2)2 in boiling ether gave 75% 1-anilino-1-diethylamino-2,2-bis(ethoxycarbonyl)ethylene, m. 135-7° (iso-PrOH). Pharmacol. testing of the described compds. did not show promise of pharmaceutical use.

L11 ANSWER 47 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1966:482177 CAPLUS

DOCUMENT NUMBER: 65:82177
ORIGINAL REFERENCE NO.: 65:15342a-h,15343a-h,15344a-e
TITLE: Cycloaliphatic amines
PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: 61 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6513784		19660427	NL 1965-13784	19651025 <--
PRIORITY APPLN. INFO.:			US	19641026 <--

AB Described is the preparation of the title compds. which are useful as pharmaceuticals and as veterinary products. The compds. are prepared by the condensation of cycloaliph. ketones with NH3 or an amine or by reaction of cycloaliph. ketones with organic metal compds. or by conversion of the substituent in substituted cycloaliph. ketones into an amino group, followed by further substitution, replacement, or conversion. Thus, 81 g. 2-phenylacetyl pyridine was added with stirring to 7.6 g. Na in 900 mL. EtOH under N, 60 g. benzalacetone added, and the solution stirred 30 min. and filtered to give pure 3,5-diphenyl-3-hydroxy-4-(2-pyridyl)cyclohexanone (I), m. 247-50° (C6H6). Recrystn. of the mother liquid yielded 1,3-diphenyl-2-(2-pyridyl)-1,5-hexanedione, m. 168-70° (C6H6). A mixture of 30 mL. 85% H3PO4 and 10 g. I was heated 1 h. under N, poured into H2O, treated with NH3, and extracted with CH2Cl2, the organic extract dried and concentrated, and the residue heated with cyclohexane to give a mixture of threo and erythro isomers of 3,5-diphenyl-4(2-pyridyl)-2-cyclohexen-1-one (II), m. 122-5° (cyclohexane). II (11.9 g.) in 300 mL. EtOAc was hydrogenated 2 days over 4 g. 10% Pd-C at 3.5 atmospheric to give pure 3,5-diphenyl-4-(2-pyridyl)cyclohexanone (III), m. 240°. Pyridine (1.52 g.) and 3.5 g. III in 100 mL. C6H6 was heated 6 h. under N, H2O and C6H6 were removed, the enamine obtained was hydrogenated in 125 mL. EtOH over 1 g. 10% Pd-C, the catalyst removed, the solvent evaporated, and the residue treated with Et2O to give 3,5-diphenyl-4-(2-pyridyl)-1-(1-pyrrolidinyl)cyclohexane (IV), m. 107-9° (n-hexane). Equivalent amts. of 3,5-diphenyl-3-hydroxy-4-(2-pyridyl)cyclohexanone (V) instead of III and condensation with pyrrolidine followed by hydrogenation of the enamine formed gave 3,5-di-Ph 3-hydroxy-4-(2-pyridyl)-1-(1-pyrrolidinyl)cyclohexane (VI), m. 163-4° (cyclohexane). Hydrogenation of 3.43 g. I, 0.5 g. PtO2, and 0.88 g. N,N-dimethylethylenediamine in 250 mL. EtOH, and treatment of the product with 2.2 g. maleic acid in Me2CO yielded 1-(2-dimethylaminoethylamino)-3,5-diphenyl-3-hydroxy-4-(2-pyridyl)cyclohexane dimaleate, m. 185-7° (MeOH). Similarly was prepd.the dimaleate of 1-(2-dimethylaminoethylamino)-3,4,5-triphenylcyclohexane, m. 145-6°, from the product of maleic acid with the hydrogenation product of 3,4,5-triphenyl-2-cyclohexen-1-one (VII) and N,N-dimethylethylenediamane over PtO2 in EtOH. Heating 1 g. VII, 1 g. NH2OH.HCl, 5 mL. pyridine, and 5 mL. anhydrous EtOH, 4 h. removal of the solvent, and treatment of the residue with H2O gave pure VII oxime (VIII), m. 221-3° (95% EtOH). To 0.34 g. VIII in 60 mL. glacial HOAc was added 2 mL. concentrated HCl, the mixture hydrogenated and filtered, the filtrate concentrated and dissolved in 95% EtOH, and the solution treated with HCl in EtOH to give 3,4,5-triphenylcyclohexylamine-HCl (IX), m. >260°. IX in H2O was treated with NH4OH to give 3,4,5-triphenylcyclohexylamine, m. 170.5-72° (n-hexane). A solution of 0.48 g. 3,4,5-triphenylcyclohexyl p-toluenesulfonate (X) and 0.71 g. pyridine in 25 mL. dioxane was heated 6 days and filtered, the filtrate evaporated, and the residue dissolved in CH2Cl2, washed with 5% aqueous Na2CO3, dried, and concentrated to give 1-(1-pyrrolidinyl)-3,4,5-triphenylcyclohexane. X, m. 180-80.5° was prepared by the hydrogenation of VII in EtOAc to yield 3,4,5-

triphenylcyclohexanol, m. 156-9°, which was heated with p-MeC6H4SO2Cl in pyridine 75 min. and worked up with EtOH. Hydrogenation of 2.7 g. 3β,5β-diphenyl-4α-(2-pyridyl)cyclohexanone (XI), 1.4 g. piperidine, a crystal of p-MeC6H4SO3H, and 100 mL. C6H6 (previously heated together 22 h. under N) gave 3β,5β-diphenyl-1ξ-piperidino-4α-(2-pyridyl)cyclohexane, (XII), m. 129-40° (n-hexane). To 3.2 g. Na in 300 mL. EtOH was added with stirring under N 22.1 g. 2-phenylacetylpyridine and 20.1 g. benzalacetone and the precipitate formed treated with hot EtOH, cooled, filtered off, and treated with C6H6 to give I, m. 246-8° (EtOH-CH2Cl2). I heated with 85% H3PO4 at 100° 1 h. yielded after workup a mixture of 3α- and 3β,5βdiphenyl-4ξ-(2-pyridyl)-2-cyclohexen-1-ones, m. 122.5-5°. Separation gave the 4α-epimer (trans), m. 140-1°, and the 4β-epimer (cis), m. 170-1.5°. Hydrogenation of the 4α-epimer in EtOAc gave XI, m. 241-2° (C6H6), while the mother liquor gave the 3α,4α,5β epimer, m. 157-8° (elution with 1:9 CH2Cl2-C6H6, recrystn. from cyclohexane). Hydrogenation of 3.27 g. III and 5.7 g. anhydrous Me2NH in 250 mL. EtOH gave a 1:1 mixture of the epimeric 1ξ-dimethylamino-3,5-diphenyl-4-(2-pyridyl)cyclohexanes, m. 80-115°. Sepn gave 2 epimers, m. 125-6°, and 134-6°. VII (9.72 g.), 2.34 g. pyrrolidine, and 175 mL. C6H6 containing p-MeC6H4SO3H acid was heated under N, H2O removed, the product in 175 mL. EtOH and 5 mL. pyrrolidine hydrogenated over Pd-C 31 h., the mixture filtered, the precipitate dissolved in Et2O and treated with HCl gas, the residue dissolved in CH2Cl2, and the solution diluted with EtOAc, boiled, cooled, and filtered to give the high-m. epimer of 1ξ-(1-pyrrolidinyl)-3,4,5-triphenylcyclohexane-HCl, m. 246-8°. The mother liquid treated with NH3 and chromatographed (C6H6, AlCl3) gave the low-melting epimer, m. 127-30° (MeCN). Hydrogenation of VI in 500 mL. 95% EtOH at 3.5 atmospheric/80° 13 h. yielded 3,5-dicyclohexyl-3-hydroxy-4-(2-pyridyl)-1-(1-pyrrolidinyl)cyclohexane, m. 205-8°. Hydrogenation of 3.27 g. III and 1.76 g. N,N-dimethylethylenediamine yielded an epimer of 1-(2-dimethylaminoethylamino)-3,5-diphenyl-4-(2-pyridyl)cyclohexane, m. 122-4° (n-hexane). The residue of the mother liquor treated with maleic acid gave the dimaleate monohydrate of the other epimer, m., 110°. Heating 10 g. VI in 50 mL. PhMe and 100 mL. EtCOCl 5 h. gave 3,5-diphenyl-3-propionyloxy-4-(2-pyridyl)-1-(1-pyrrolidinyl)cyclohexane, m. 127-30° (n-hexane). Hydrogenation of 3.27 g. III in 275 mL. EtOH and 4.3 g. NH3 over 0.5 g. Pd-C gave 1-amino-3,5-diphenyl-4(2-pyridyl)cyclohexane, m. 157.5-8.5° (C6H6cyclohexane). A solution of 5.2 g. XII in 25 mL. EtOH was cooled and filtered and the filtrate concentrated to give (in the form of its equatorial epimers) 3β,5β-diphenyl-1β-piperidino-4α-(2-pyridyl)-cyclohexane, m. 171-3° (n-hexane-MeCN). The mother liquor gave the corresponding axial 1α-epimer, m. 148-50° (EtOH-MeCN). Hydrogenation of XI and Me2NH gave 1ξ-dimethylamino-3β,5βdiphenyl-4α-(2-pyridyl)cyclohexane, m. 80-115°, separated into the equatorial 1β epimer, m. 132-3° (MeCN) and the axial 1α epimer, m. 122-4° (n-hexane). 1ξ-(1-Pyrrolidinyl)-3β,4α,5βtriphenylcyclohexane, m. 93.5-96°, was obtained from 3β,4α,5β-triphenylcyclohexanone (XIIa) (m. 204-6°), pyrrolidine, and p-MeC6H4SO3H in 100 mL. C6H6 (heated 12 h. under N and the product hydrogenated and worked up). Hydrogenation of XI and PrNH2 and the product treated with maleic acid gave the maleate of 3β,5β-diphenyl-1ξ-propylamino-4α-(2-pyridyl)cyclohexane monohydrate, m. 189-90°. Similarly was obtained 3β,5β-diphenyl- 1ξ-(4-methyl-1-piperazinyl)-4α-(2-pyridyl)cyclohexane dimaleate, m. 187-9°, from XI and N-methylpiperazine; and also 1α-(1-pyrrolidinyl)-3α,4α,5β-diphenylcyclohexane, m. 148-51°, and its corresponding 1β epimer, m. 124-6°, from XIIa and pyrrolidine. XI and morpholine yielded 3β,5β-diphenyl-1βmorpholino-4α-(2-pyridyl)cyclohexane, m. 166-7°, and its 1α

epimer, m. 159-61°. Hydrogenation of XI and pyrrolidine yielded 3β,5β-diphenyl-4α-(2-pyridyl)-1α-(1-pyrrolidinyl)cyclohexane (XIII), m. 107-10°, and its corresponding 1β epimer, m. 129-31°. XIII and MeI gave 3β,5β-diphenyl-4α-(2-pyridyl)-1α-(1-pyrrolidinyl)cyclohexane methiodide, m. 239-41°. XIII (3.825 g.) in 100 mL. glacial HOAc was treated with 2 mL. 30% H2O2, the mixture kept 3 h. at 75-80°, 1.6 mL. H2O2 added, the mixture kept 9 h. at 75-80°, concentrated to 15 mL., diluted with 100 mL. H2O, and evaporated, the residue treated with 5 mL. H2O, excess Na2CO3 added, the mixture extracted with CH2Cl2, the dried organic extract filtered, the filtrate cooled to -5° and filtered, and the precipitate washed with cold CH2Cl2 and dried to give the bis(N-oxide) of 3β,5β-diphenyl-4α-(2-pyridyl)-1α-(1-pyrrolidinyl)cyclohexane hemihydrate, m. 176-6.5°. A mixture of 6.9 g. XI, 3 mL. 3-pyrroline, 0.01 g. p-MeC6H4SO3H, and 125 mL. C6H6 was heated 1.5 h. and concentrated, the residue dissolved in 20 mL. C6H6 and treated with 0.82 mL. 97% HCO2H, and the solution boiled 2 h. under N, concentrated, and chromatographed to give 3β,5β-diphenyl-4α(2-pyridyl) 1α[1-(3-pyrrolinyl)]cyclohexane, m. 132 (n-hexane). Hydrogenation of 3,5-diphenyl-4-(4-pyridyl)cyclohexanone (XIV) and pyrrolidine gave 3,5-diphenyl-4-(4-pyridyl)-1-(1-pyrrolidinyl)cyclohexane, m. 162-5°. XIV, m. 236-40°, was prepared from 3,5-diphenyl-1-hydroxy-4-(4-pyridyl)cyclohexane, m. 193-4° (EtOAc), which in turn was prepared from 3,5-diphenyl-4-(4-pyridyl)-2-cyclohexen-1-one, m. 240.2°. Similarly were prepared 3,5-di(2-furyl)-4-(2-pyridyl)-1-(1-pyrrolidinyl)cyclohexane, m. 70-5°; 3,5-diphenyl-4-(4-pyrimidinyl)-1-(1-pyrrolidinyl)cyclohexane, m. 190-5°; 4-(2-quinolinyl)-3,5-diphenyl-1(1-pyrrolidinyl)cyclohexane (ν (Nujol) 1610, 1140, 825, 755, and 695 cm.-1). 3β,5β-bis(4-Methoxyphenyl)-4α-(2-pyridyl)-1β-(1-pyrrolidinyl)cyclohexane m. 129-31°; the dimaleate of the corresponding 1α epimer m. 147-50° its free base had λ (MeOH) 226, 257, 263, 269.7, 276, and 284 mμ; ε 23,330, 4140, 6270, 4670, 3210, and 2370, resp. A mixture of 3.4 g. 3β,5β-bis(4-methoxyphenyl)-4α-(2-pyridyl)cyclohexanone, 2 mL. pyrrolidine, and 100 mL. C6H6 was heated 4 h. cooled to room temperature, and hydrogenated to give 3β,5βbis(4-methoxyphenyl)-4α-(2-pyridyl)-1β-(1-pyrrolidinyl)cyclohexane, m. 129-31°. Also obtained was the corresponding 1α epimer dimaleate, m. 147-50°. The corresponding base had λ (MeOH) 226, 257, 263, 269.7, 276, and 284 mμ; ε23,330, 4140, 6270, 4670, 3210, and 2370, resp. 3β, 5β-Bis(4-methoxyphenyl)-4α-(2-pyridyl)-1α-(1-pyrrolidinyl)cyclohexane (1.08 g.) in 5 mL. concentrated HCl was heated 2 h. at 165°, the residue evaporated and dissolved in 12 mL. H2O, and the solution treated with dilute NH4OH to give 3β,5β-bis(4-hydroxyphenyl)-4α-(2-pyridyl)-1α-(1-pyrrolidinyl)cyclohexane, m. 254-64°. The corresponding 1β derivative was treated with HCl to give the hydrochloride, m. 338-40°; the free base m. 248-50°. Also prepared were: 3-hydroxy-3,5βbis(4-methoxyphenyl)-4α-(2-pyridyl)-1ξ(1-pyrrolidinyl)cyclohexane, mineral oil ν(Nujol) 1630, 1250, 1050, 840, and 760 cm.-1; 3β,5β-bis(4-chlorophenyl)-4α-(2-pyridyl)1ξ-1-pyrrolidinylcyclohexane (1590, 1150, 1085, 1005, 810, and 760 cm.-1); 3β-(4-chlorophenyl)-5β(4-methoxyphenyl)-4α-(2-pyridyl)-1ξ-(1-pyrrolidinyl)cyclohexane (1620, 1600, 1250, 825, and 750 cm.-1); 4α-(2-pyridyl)-1ξ-(1-pyrrolidinyl)-3β,5β-bis(3,4,5-trimethoxyphenyl)cyclohexane, m. 145° (EtOAc-Et2O), 4hydroxy-4-(2-pyridyl)-1-(1-pyrrolidinyl)cyclohexane (XV) m. 112-14° (n-hexane); 4-(2-pyridyl)-1-(1-pyrrolidinyl)-3-cyclohexane (XVI), m. 63-5° (n-hexane) (from XV and concentrated H2SO4 heated at 120-30°). Hydrogenation of XVI gave 4-(2-pyridyl)1-pyrrolidinyl cyclohexane, b0.1 146-8°. The latter was also obtained by the hydrogenation of the residue obtained when 4(2-pyridyl)cyclohexanone,

pyrrolidine, p-MeC6H4SO3H, and 60 mL. C6H6 was heated, concentrated, and dissolved in 150 mL. EtOH. A solution of 0.44 g. 1β-(4-bromophenylsulfonyloxy)-3β,5β-diphenyl-4α-(2-pyridyl)cyclohexane (m. 164-4.5°) in 10 mL. dioxane and 0.71 g. pyrrolidine was heated 24 h. under N and concentrated, the residue dissolved in Et2O, the solution washed with 5% NaHCO3 solution, dried, and concentrated, and the residue fractionally distilled from n-hexane to obtain 3β,5β-diphenyl-4α-(2-pyridyl)-1α-(1-pyrrolidinyl)cyclohexane, m. 107-10°. Similarly was obtained 3β,5βdiphenyl-4α-(2-pyridyl)-1-(1-pyrrolidinyl)cyclopentane, (ν 1595, 1375, 750, and 690 cm.-1) from 3β,5β-diphenyl-4α-(2-pyridyl), cyclopentanone, ν 1745 cm.-1. A mixture of 4-cyano-3,5-diphenyl4-(2-pyridyl)cyclohexanone, pyrrolidine, C6H6 and p-MeC6H4SO3H was heated 6 h. under N, concentrated, suspended in EtOH, and hydrogenated to give 4-cyano-3,5-diphenyl-4-(2-pyridyl)1ξ-(1-pyrrolidinyl)cyclohexane, m. 215-16° (CHCl3-n-hexane). Similarly were prepared 4-cyano-3,4,5-triphenyl-1-(1-pyrrolidinyl)cyclohexane, m. 182°, from 4-cyano-3,5-diphenyl-4-(2-pyridyl)cyclohexanone, m. 176-8°; 3β,5β-diphenyl-4β-(2-pyridyl)-1ξ-(1-pyrrolidinyl)cyclooctane, ν 1595, 1375, 750 and 690 cm.-1 (n-hexane) from 3β,5β-diphenyl-4α-(2-pyridyl)cyclooctanone, m. 187-90° (cyclohexane) ° 1695 cm.-1. The latter was prepared from 8-carbethoxy-3β,5β-diphenyl-4α-(2-pyridyl)cyclooctanone, m. 95-100° and H2SO4. The ketone in turn was prepared by the hydrogenation of 8-carbethoxy-3β,5β-diphenyl-4α-(2-pyridyl)-7cycloocten-1-one(XVII) obtained from 8-carbethoxy-3β,5β-diphenyl-4α-(2-pyridyl)-1-(1-pyrrolidinyl)-6,8-cyclooctadiene (XVIII) treated with AcOH, H2O, and dioxane. XVIII was prepared from 3β,5β-diphenyl-4α-(2-pyridyl)cyclohexanone, pyrrolidine, C6H6, and p-MeC6H4SO3H. XVII had λ maximum at 330 and 262 mμ, medium 282 and 269 mμ, and min. at 313 and 245 mμ. XVIII λ maximum 290 mμ, medium 272 and 262 mμ, min. 275 and 247 mμ; ν CO at 1715 cm.-1 and olefin absorption at 1670 cm.-1. A mixture of 5 g. 3,4-diphenyl-5-(4-pyridyl)-2-cyclohexenen-1-one, m. 180-3° 3.3 mL. pyrrolidine, 150 mL. C6H6, and 0.05 g. p-MeC6H4SO3H.H2O was heated 24 h., hydrogenated, and filtered, the filtrate washed with dilute NH4OH, the organic solution dried, filtered, and concentrated, and the residue chromatographed over Al2O3 (2:3:2 C6H6-CHCl3-EtOAc) to give 3,4-di-Ph 5(4-pyridyl)-1ξ-(1-pyrrolidinyl)cyclohexane, ν 1135, 1380, 1415, 1460, 1495, and 1600 cm.-1 Pharmaceutical compns. were given.

L11 ANSWER 48 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1966:59179 CAPLUS
DOCUMENT NUMBER: 64:59179
ORIGINAL REFERENCE NO.: 64:11027d
TITLE: Na tetraphenylborate as a reagent for identification and assay of organic bases
AUTHOR(S): Matta, Gerardo; Silva, M. J.; Lopes, M. M. Simoes
SOURCE: Revista Portuguesa de Farmacia (1965), 15(3), 341-57
CODEN: RPTFAU; ISSN: 0484-811X
DOCUMENT TYPE: Journal
LANGUAGE: Portuguese
AB Description of general technique for identification and determination at the 100 γ level of alkaloids and antibiotics of pharmaceutical interest, with uv and ir spectra of many of the compds.

L11 ANSWER 49 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1966:26924 CAPLUS
DOCUMENT NUMBER: 64:26924
ORIGINAL REFERENCE NO.: 64:4906g-h
TITLE: Identification of primary, secondary, and tertiary

pharmaceutical amines by the infrared spectra of their salts

AUTHOR(S): Thompson, W. E.; Warren, R. J.; Eisdorfer, I. B.; Zarembo, J. E.

CORPORATE SOURCE: Smith Kline & French Labs., Philadelphia, PA

SOURCE: Journal of Pharmaceutical Sciences (1965), 54(12), 1819-21
CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The spectra of 80 pharmaceutically active amine salts have been analyzed in the range of 4000-2000 cm.-1 The amine salts have characteristic absorption bands in this region. The wave nos. at which these absorption bands occur are specific for each given class of amine. Spectrastructure correlations and assignments of these bands are given and discussed.

L11 ANSWER 50 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:19202 CAPLUS

DOCUMENT NUMBER: 64:19202

ORIGINAL REFERENCE NO.: 64:3497d-h

TITLE: Cycloaliphatic carboxylic acid esters

PATENT ASSIGNEE(S): Biochemie G.m.b.H.

SOURCE: 10 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 243780		19651125	AT 1964-232	19640113 <--
PRIORITY APPLN. INFO.:			AT	19640113 <--

GI For diagram(s), see printed CA Issue.

AB New basic substituted cycloaliphatic carboxylic acid esters of formula I, in which A is an optionally substituted alkylene residue with more than 4 C atoms, or a substituted alkylene residue with 3 or 4 C atoms in the alkylene chain, Q is an optionally substituted alkylene residue preferably with up to 5 C atoms, Y is an optionally substituted basic residue preferably with tertiary N atom, and R is an optionally substituted aralkyl or aralkenyl residue, or an optionally substituted alkyl or alkenyl residue, or the salts of such acid, are prepared by allowing cycloalkanonecarboxylic acid esters (II) to react in the form of the alkali compds., especially the Na compound, or in the presence of a condensing agent, e.g. Na2O, with haloalkylamines (XQY). Thus were prepared 2-(β-piperidinoethyl)-cyclooctanone-2-carboxylicacid benzyl ester hydrochloride, m. 158-9°, and the hydrochloride of the resp. cyclodecanone compound, m. 139-40° and the following I: (R = PhCH2; A, QY, salt, and m.p. of salt given) were similarly prepared: CH2CHMe2CH2CH2, 2-piperidinoethyl (M), oxalate, 230-4° (decomposition); CH(Pr-iso)(CH2)3, M, oxalate, 129-41° (decomposition); CHR1(CH2)3 (R1 = cyclohexyl), M, hydrochloride, 172-4° (decomposition); CHR1(CH2)3 (R1 = 1-cyclohexenyl), M, hydrochloride, 160-6° (decomposition); (CH2)5, 2-pyrrolidin-1-ylethyl, hydrochloride, 136-7°; (CH2)5, M, hydrochloride, 153-5°; (CH2)5, 2-hexamethyleneiminoethyl, hydrochloride, 137-8°; (CH2)6, 2-pyrrolidin-1-ylethyl, hydrochloride, 161-2°; (compound = III), maleinate, 118-19°; (compound = IV), hydrochloride, 174-6°; (compound = V), hydrochloride, 185-7°. The compds. are of pharmaceutical value as antitussives.

L11 ANSWER 51 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:3932 CAPLUS

DOCUMENT NUMBER: 64:3932

ORIGINAL REFERENCE NO.: 64:658g-h,659a-b

TITLE: Compounds containing sulfur and nitrogen

INVENTOR(S): Metzger, Horst; Koenig, Horst
PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik A.-G.
SOURCE: 6 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1004660		19650915	GB 1964-12796	19640326 <--
DE 1226561			DE	
FR 1408203			FR	
PRIORITY APPLN. INFO.:			DE	19630403 <--

AB A solution of 44 g. trimethyloxosulfonium iodide (I) in 300 ml. Me2SO containing 5.34 g. 90% NaOH is added during 30 min. with ice cooling and stirring to a solution of 36 g. PhNCO in 100 ml. Me2SO. After stirring an addnl. hr., the mixture is poured onto ice to give 47 g. RNHCOC(CONHR):S(:O)Me2 (II) (R = R1 = Ph) (III), m. 174.5-75°. Desulfurization of III with Raney Ni in EtOH at 70° gave 98% (PhNHCO)2CH2, m. 223-4°. A solution of 22.0 g. I in 150 ml. Me2SO is treated at 20° with 2.67 g. 90% NaH. When H evolution ceases, 11.9 parts PhNCO is added over 30 min. and the mixture poured onto ice to give 5.4 g. ArNHCOCH2SOMe2 (IV) (Ar = Ph) (V), m. 178-9° (EtOH). Desulfurization of IV gives PhNHAc, m. 114°. Similarly, from p-ClC6H4NCO is obtained IV (Ar = p-ClC6H4), m. 183-5°, which was desulfurized to give p-ClC6H4N-HAc, m. 176-8°. Allowing a mixture of 2.11 g. V in 20 ml. Me2SO to stand with 1.19 g. PhNCO 24 hrs. at 20° then pouring onto ice gives 3 g. III. Me2NCHO or N-methylpyrrolidone are also used as solvents in this reaction. From 1.25 g. C6H11NCO and 2.11 g. V are similarly obtained 3.12 g. II (R = Ph, R1 = C6H11), m. 163° (CCl4). By the method used to prepare IV are obtained II (R = R1 = C6H11), m. 216.5° (EtOH), and II (R = R1 = Bu), m. 116-18° (C6H12). A suspension of 22 g. I and 8 g. NaH in 500 ml. tetrahydrofuran is heated 1 hr. at 70°, then treated with 24.3 g. iso-PrNHCOCl at 50° and kept at this temperature 2 hrs. Removal of the solvent gives 21.8 g. II (R = R1 = iso-Pr), m. 213.5-14° (EtOH). This product is also obtained by heating 40 g. iso-PrNCO with 60 g. iso-PrNHCOCl and a solution of Me2SO:CH2 (VI) in Me2SO. VI is formed by treating I with NaOH. Other compds. prepared according to the route used for IV are IV (Ar = tert-Bu), 30%, m. 195° (CHCl3); IV (Ar = C6H11), m. 178° (55%); IV (Ar = PhCH2CH2), m. 149 (52%). I (R = R1 = tert-Bu) (50% yield) m. 221° (MeOH); I (R = R1 = CH2Cl) (52%) m. 131°; I (R = R1 = PhCH2CH2) (60%) m. 128°. The substances prepared after removal of the Me2SO group are intermediates for pharmaceuticals, dyes, and pesticides.

L11 ANSWER 52 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1965:498364 CAPLUS
DOCUMENT NUMBER: 63:98364
ORIGINAL REFERENCE NO.: 63:18094c-g
TITLE: 6-[α-Hydroxy- and α-amino-α-pyridylacetamido]penicillanic acids and their salts
INVENTOR(S): Cheney, Lee C.; Godfrey, John C.
PATENT ASSIGNEE(S): Bristol-Myers Co.
SOURCE: 9 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3202653		19650824	US 1963-269716	19620427 <--
PRIORITY APPLN. INFO.:			US	19620427 <--

AB H2S gas is bubbled through a suspension containing 40.5 g. of the cupric salt of α-hydroxy-α-(2-pyridyl)acetic acid (I) and 200 ml. water, cooled to 0°, for 30 min. The mixture is filtered to give a solution (II) containing I. II is diluted to 660 ml. by the addition of dioxane. To a solution containing 43.2 g. 6-aminopenicillanic acid, 16.8 g. NaHCO3, and 1000 ml. water is added 1660 ml. anhydrous dioxane, the solution cooled to 14.9° and 41.2 g. dicyclohexyldiimide in 500 ml. dioxane added. After 1 min., II is added to this solution The mixture is stirred 2 hrs. at 13.5-15.7° and filtered. The filtrate is lyophilized to give dry product which is extracted with two 600 ml. portions of Et acetate and filtered. The insol. matter is extracted with three 500 ml. portions anhydrous acetone and the combined extract filtered, treated with 20 g. K 2-ethylhexanoate in ether, and worked up to give 12.8 g. of the K salt of 6-[α-hydroxy-α-(2-pyridyl)acetamido]penicillanic acid (III), m. 190-5°. N,N'-Dicyclohexylureide derivative of III, m. 100-10°; 6-[α-hydroxy-α-(3-pyridyl)acetamido]penicillanic acid Na salt, m. 213-16°; 6-[α-(3-pyridyl)propionamido]penicillanic acid K salt, m. 160-70°; 6-[α-(3-pyridyl)glycylamido]penicillanic acid-HCl (free acid m. 110-15°) K salt; 6-[α-phenyl-α-(3-pyridyl)acetamido]-penicillanic acid K salt; 6-[α-hydroxy-α-(R)-acetamido]penicillanic acid K salt, R : 5-chloro-3-pyridyl (IIIa), 4-bromo-3-pyridyl (IV), 3-chloro-4-pyridyl (V), 5-methyl-3-pyridyl (VI), 5-phenyl-3-chloro-2-pyridyl (VII), 4-o-chlorophenyl-3-pyridyl (VIII), 5-nitrophenyl-3-pyridyl (IX), 3,5-dimethyl-4-ethyl-2-pyridyl (X), 5-cyclohexyl-3-pyridyl (XI), 5-diethylamino-4-pyridyl (XII), 4-methylsulfonyl-3-pyridyl (XIII), 3-ethylthio-2-pyridyl (XIV), 4-cycloheptyloxy-3-pyridyl (XV); 6-[α-(R1)-propionamido]penicillanic acid K salt, R1 = IIIa, IV, V, VI, VII, VIII, IX, X, XI, XII, XIII, XIV, XV, 5-propylamino-4-pyridyl, 5-hexoyl-3-pyridyl; 6-[α-(R2)-glycylamido]penicillanic acid K salt, R2 = III, IV, V, VI, VII, VIII, IX, X, XI, XII, XIII, XIV, or XV; 6-(3-pyridylacetamido)penicillanic acid K salt, m. 224-7°; 6-[α-(6-methyl-3-pyridyl)acetamido]penicillanic acid K salt, m. 187-9°; 6-[α-(2-methyl-3-pyridyl)acetamido]penicillanic acid K salt, m. 186-8°; 6-[α-(R3)-acetamido]penicillanic acid K salt, R3 : 2-chloro-3-pyridyl, 5-bromo-3-pyridyl, 2-phenyl-5-chloro-3-pyridyl, VIII, IX, 3,5-dimethyl-4-ethyl-3-pyridyl, XI, 2-diethylamino-3-pyridyl, 2-propylamino-3-pyridyl, XIII, 2-hexoyl-3-pyridyl, 4-ethylthio-3-pyridyl, or 2-cycloheptyloxy-3-pyridyl are prepared and found useful as pharmaceuticals.

L11 ANSWER 53 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1965:73491 CAPLUS
DOCUMENT NUMBER: 62:73491
ORIGINAL REFERENCE NO.: 62:12979f-h,12980a-d
TITLE: Determination of organic bases by semimicrotitrimetry using sodium lauryl sulfate. III. Application in pharmaceutical preparations
AUTHOR(S): Pellerin, Fernand; Gautier, Jean Albert; Demay, Dominique
CORPORATE SOURCE: Fac. Pharm., Paris
SOURCE: Ann. Pharm. Franc. (1964), 22(8-9), 559-65
DOCUMENT TYPE: Journal
LANGUAGE: French

AB The method is applied to the determination of 0.01-0.05 millimole each of the following, in the presence of the resp. named compds.: benzethonium chloride (I), 25 mg./100 ml., chloramphenicol, urethan, NaCl, propylene glycol, and H2O; acepromazine maleate (II), 13.5 mg./5 ml.; benzododecinium chloride (III), 50 mg./100 ml., mephetedrine sulfate, chloretone (chlorbutol), extract of bergamot, NaCl, and H2O; dodecyldimethyl(carbethoxymethyl)ammonium bromide (IV), 1.5 g./100 ml., with pentaethylene glycol dichlorocresol ether and H2O; cethexonium bromide (V), 100 mg./100 ml., EtOH, Me,2CO, NaCl, and H2O; phenoxadrine citrate (VI), sucrose, essential oils, Me p-hydroxybenzoate and yellow

acid R; propiomazine maleate (VII) in tablets containing VII 45.7, meprobamate 300, Mg stearate 5, and excipients 149.3 mg. (Mg stearate, 5 mg., does not interfere); papaverine (VIII) in tablets containing VIII base 10, nicotinic acid 10, and excipients 180 mg.; cinnama-verine-HCl (IX) in tablets containing IX and excipients (Levilite 18, Mg stearate 5, talc 18, poly(methylsiloxane) S.I. 200 mg.); dicyclomine-HCl (X) in tablets containing X 10, phenobarbital 15, Ponceau S.X. trace, and excipients 275 mg. To determine X, use 1 ml. of 0.008% Methyl Yellow-0.005% methylene blue indicator (in aqueous 80% EtOH), add 5 ml. 1.8M H_2SO_4, and titrate with 0.01M Na lauryl sulfate (XI) to the rose color in the aqueous solution, and a violet color in the
$CHCl_3$ phase; propanocaine-HCl (XII) is an ointment containing XII 1.5%, eucalyptol, poly(oxyethylene) derivs. (XIII) of fatty alcs., glycerol (XIV), essential oils, and H_2O; V in an ointment containing V 0.25%, hydrocortisone, dichlordiphenoxide, XIII, XIV, corn oil (interesterified), lauryl gallate, and V in an ointment containing V 0.25%, cetyl alc., XI 1%, and H_2O. To der. V in the presence of XI, dissolve 3-4 g. of the ointment with 10 ml. of 95% EtOH, pass the solution slowly through a 6-8 cm. high column of 6 ml. of Amberlite IRA 400 resin (prepared by washing the resin with 2.5M NaOH, H_2O, N HCl, and H_2O (4 times), and with aqueous 50% EtOH), wash the column with 50% EtOH (three 5-ml. vols. + one 10-ml. volume), evaporate
the EtOH from the combined eluate in vacuo; to the aqueous solution, add 10 ml. H_2O and 20 ml. $CHCl_3$, and titrate with 0.01M XI as described. The capacity of the resin is 0.35 g. XI/g. Determine promethazine-HCl (XV) in suppositories containing XV 10, aspirin 500 mg., and glycerides (semi-synthetic) 1.49 g., by the described method without modifying. The results of the detns. of I-X,XII, and XV are quant. The precision is ±2% of the amount of I-X, XII or XV determined

L11 ANSWER 54 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1964:432184 CAPLUS
DOCUMENT NUMBER: 61:32184
ORIGINAL REFERENCE NO.: 61:5564a-h
TITLE: Preparation of new dihaloaminobenzylamines
PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.h.
SOURCE: 34 pp.
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
BE 625022		19630520	BE		<--
DE 1169939			DE		
FR M2770			FR		
GB 968254			GB		
PRIORITY APPLN. INFO.:			DE	19611120	<--

OTHER SOURCE(S): MARPAT 61:32184
GI For diagram(s), see printed CA Issue.
AB I, useful for pharmaceutical purposes, where X is Cl or Br, were prepared by (a) chlorination or bromination of aminobenzylamines, (b) amination of acylaminodihalobenzyl halide followed by hydrolysis, or (c) reduction of dihalonitrobenzylamines. Br (11.6 g.) in 50 cc. $CHCl_3$ was added dropwise to 2-aminobenzyldiethylamine in 50 cc. $CHCl_3$, the $CHCl_3$ extracted with 100 cc. 2N NaOH and concentrated, and the residue dissolved in 50 cc. EtOH,
and treated with HCl to give N-(2-amino- 3,5-dibromobenzyl)diethylamine-HCl (II), m. 214-14.5°. Br (39.5 g.) in 150 cc. AcOH was added dropwise to 12.6 g. N-(4- aminobenzyl)diethylamine in 150 cc. AcOH to give N-(4-amino- 3,5-dibromobenzyl)diethylamine-HBr (III), m. 218° (decomposition) (EtOH). N- (2 - Amino - 3,5 - dibromobenzyl)diisobutylamine-HBr, m. 165-7°, was prepared similarly. 2-Diacetylamino-3,5-dibromobenzyl bromide (24.7 g.) was boiled 24 hrs. with 11.8 g.

diallylamine in 300 cc. EtOH, the mixture distilled, the residue dissolved in 1 l. 3N HCl, refluxed 12 hrs., made alkaline, and extracted with CHCl3 to give N-(2-amino-3,5-dibromobenzyl)diallylamine- HCl, m. 109-13°. Prepared in similar manner were N-(4-amino- 3,5-dibromobenzyl)diallylamine-HCl, m. 191-5°, N-(2-Amino- 3,5-dibromobenzyl) - N - methylcyclohexylamine - HCl (IV.HCl), m. 232-5°, and N-(4-amino-3,5-dibromobenzyl) -N-methylbenzy lamine-HBr, m. 202-6°. Other IV salts were prepared (salt and m.p. given): p-MeC6H4SO3H, 218 -19°; HClO4, 132.5-4°; H3PO4, 137-8.5°; HBr, 227.5-8°; (CO2H)2, 182-3°; HCl, 240-2°; HNO3, 135-6°; H2SO4, 108-9°. Br (34 g.) in 500 cc. CHCl3 was added portionwise to 17 g. N-(2-aminobenzyl)pyrrolidine in 500 cc. CHCl3 at the b.p. to give N-(2-amino-3,5- dibromobenzyl)pyrrolidine-HCl, m. 219-20°. N-(2-Amino-3,5- dibromobenzyl)piperidine-HCl, m. 244-5°, was prepared in similar manner to II. I (2-amino) prepared by method a were (X, R, R1, salt, m.p. given): Br, Me, Me, HCl, 235-7°; Br, Pr, Pr, HCl, 153-6°; Br, iso-Pr, iso-Pr, HCl, 159-60°; Br, C5H11, C5H11, HCl, 111-13°; Br, isohexyl, isohexyl, HCl, 209-15° Br, Et, PhCH2, HBr, 179-82°; Br, PhCH2, PhCH2, HBr, 192-6°; Br, Me, Me, HCl, 252-6°; Br, Pr, Pr, HBr, 227°; Br, iso-Pr, iso-Pr, HCl, 141-4°; Br, Me, C6H11, HCl, 232-5° Br, (RR1 =) pentamethylene, HBr, 224-6°; Br, Et, PhCH2, HBr, 198-203°; Br, PhCH2, PhCH2, HCl, 233-5°. I (2-amino) prepared by method b were (X, R, R', salt, m.p. given): Br, C6H11, C6H11, HBr, 308-12°; Br, Et, Et, HCl, 123-30°; Br, (RR' =)tetramethylene, HCl, 200-5°; Br, Et, Ph, HCl, 211-15°. 3,5-Dichloro-2- acetamidotoluene (19 g.) was refluxed in 250 cc.Ac2O for 2 hrs. to give 3,5-dichloro-2-diacetylaminotoluene (V), m. 84-6° (EtOH). V (15.1 g.) was refluxed with 11.0 g. N-bromosuccinimide and 0.5 g. Bz2O in 250 cc. CCl4 to give 3,5,2-Cl2-(Ac2N)C6H2CH2Br (VI), m. 122-5°. VI (9.5 g.) was refluxed 18 hrs. with 5 g. piperidine and 250 cc. EtOH to produce N-(2- amino-3,5-dichlorobenzyl)piperidine-HCl, m. 234-5°. Prepared in similar manner were I (position of H2N, X, R, R', salt, and m.p. given): 4, Cl, Me, C6H11, -, - (free base m. 62-4°); 2, Cl, Me, C6H11, HCl, 224-5°; 2, Cl, iso-Bu, iso-Bu, HCl, 142-8°; 4, Cl, Et, Et, H2SO4, 132-4° 4, Cl, PhCH2, PhCH2, HCl, 237.5-238°; 2, Br, (RR'N =) camphidino, -, - (free base m. 109-11°); 4, Br, (RR'N =) camphidino, HCl, 238-41°. o-O2 NC6H4CHO (1.51 g.) was refluxed 5 hrs. with 0.73 g. iso-BuNH2, distilled, the residue dissolved in 40 cc. AcOH and 1.64 g. AcoNa, 3.2 g. Br in 10 cc. AcOH added dropwise, and the mixture worked up with CCl4 to give 2.56 g. N-(2-amino-3,5-dibromobenzyl)isobutylamine (VII); VII.HCl X. 211-31°. Prepared in similar manner were I (position of H2N, X, R, R', salt, m.p. given): 4, Br, H, C6H11, HCl, 259-62°; 2, Br, H, C6H11, HCl, 247-8°; 4, Br, H, iso-Bu, HCl, 180-3°; 4, Br, cyclopentyl, cyclopentyl, HCl, 189-97°. N-(2-Nitro-3,5-dibromobenzyl)-N- methylcyclohexylammonium chloride was hydrogenated to produce N-(2-amino-3,5-dibromobenzyl-N-methylcyclohexylamine, m. 235-5.5° (EtOH). Prepared in same manner was I (2-amino): Br, H, Me, HBr, m. 244-7°. N-(2-Amino-3,5-dibromobenzyl) methylamine (4.4 g.) was heated 8 hrs. with 50 cc. EtOH and 1.9 g. PhCH2Cl, treated with 100 cc. 2N NaOH, extracted with CHCl3, dried over Na2SO4, concentrated. dissolved in EtOH, treated with 2 cc. concentrated HBr and recrystd. from EtOH to give N-(2-amino-3,5-dibromobenzyl)-N-methylbenzylamine-HBr, m. 218.5-219°. I have low toxicities, abate secretions, calm coughs, inhibit monoamine oxidase, and are antipyretics. Pharmacol. tests are described.

L11 ANSWER 55 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1964:33780 CAPLUS
DOCUMENT NUMBER: 60:33780
ORIGINAL REFERENCE NO.: 60:6047c-d
TITLE: Reduction of duration of restraint for production of experimental [gastric] ulcers in the rat, and

application to the study of protective substances
AUTHOR(S): Buchel, L.; Gallaire, D.
CORPORATE SOURCE: Fac. Med., Paris
SOURCE: Comptes Rendus des Seances de la Societe de Biologie et de Ses Filiales (1963), 157, 1225-8
CODEN: CRSBAW; ISSN: 0037-9026
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB For Wistar strain rats about 50 days old, complete immobilization in a wire mesh jacket, with feet taped together, for 2.5 hrs. after a 24-hr. fast regularly produced gastric ulcers in 82-5%. This is a much shorter time than previously required for fed rats. Prior intraperitoneal injection of atropine sulfate (1.25 mg./kg.), chlorpromazine (20 mg./kg.), or dihexyverine (HCl salt of 2-piperidylethyl 1-cyclohexylcyclohexanecarboxylate, a cholinolytic) (50 mg./kg.) reduced the incidence of ulcer to about 25% of the immobilized rats.

L11 ANSWER 56 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1964:30811 CAPLUS
DOCUMENT NUMBER: 60:30811
ORIGINAL REFERENCE NO.: 60:5450c-f
TITLE: Spiranes. IV. Alkyl, cycloalkyl, alkenyl, aryl, aralkyl, and hydrazono azaspirane derivatives
AUTHOR(S): Grogan, Charles H.; Geschickter, Charles F.; Rice, Leonard M.
CORPORATE SOURCE: Georgetown Univ. Med. Center, Washington, DC
SOURCE: Journal of Medicinal Chemistry (1964), 7(1), 78-88
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 60:30811
GI For diagram(s), see printed CA Issue.
AB cf. preceding abstract The previous investigation of dialkylaminoalkyl and heterocyclic-alkyl azaspirodiones and azaspiranes has been extended to include alkyl, alkenyl, alkoxyalkyl, cycloalkyl, aryl, aralkyl, and hydrazono N-substituents of 2-azaspiro[4.4]nonanes (I), 3-azaspiro[5.5]undecanes (II), 2-azaspiro[4.5]decanes (III), 8-azaspiro[4.5]decanes (IV), 2-azaspiro[4.6]undecanes (V), 3-azaspiro[5.6]dodecanes (VI), 2-azaspiro[4.7]dodecanes (VIa), spiro-trans-decalin-2,4'-piperidines(VIb), spirotrans-decalin-2,3'-pyrrotidines (VIc), 8-oxa-2-azaspiro[4.5]dodec anes (VII), and 7-thia-2-azaspiro[4.4]-nonanes. Biol. screening and pharmacol. studies of these compds. have revealed a wide range of useful activity. Most notable were the effects produced on the peripheral and central nervous system. A number of compds. of these types exhibited, in varying degree, central nervous stimulant and depressant, local anesthetic, sedative, "tranquilizing," and hypnotic properties. Several of the azaspirodiones produced marked hypotension in dogs.

L11 ANSWER 57 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:431480 CAPLUS
DOCUMENT NUMBER: 59:31480
ORIGINAL REFERENCE NO.: 59:5685b-c
TITLE: Food additives. Plasticizers
AUTHOR(S): Anon.
SOURCE: Federal Register (1963), 28, 6679-80, 28 Jun 1963
CODEN: FEREAC; ISSN: 0097-6326
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The previous regulations under the Federal Food, Drug, and Cosmetic Act for triethylene glycol (CA 55, 19051d), bis(2-ethylhexyl) adipate (CA 56, 3854a), epoxidized linseed oil (CA 56, 7755e), and di-n-hexyl azelate (CA 57, 1332e) are combined into a single

regulation together with dicyclohexyl and diphenyl phthalate for use as plasticizers in polymeric substances used in the manufacture of articles that contact food. The latter two compds. may be used in poly(vinyl chloride) and acetate film and sheet at room temperature provided that total phthalate, calculated as phthalic acid does not exceed 10% by weight of the finished film or sheet.

L11 ANSWER 58 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:431474 CAPLUS
DOCUMENT NUMBER: 59:31474
ORIGINAL REFERENCE NO.: 59:5684d-e
TITLE: Food additives. Resinous and polymeric coatings for paper and paperboard
AUTHOR(S): Anon.
SOURCE: Federal Register (1963), 28, 6068, 14 Jun 1963
CODEN: FEREAC; ISSN: 0097-6326
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 56, 5165i. The previous regulation under the Federal Food, Drug, and Cosmetic Act is revised to permit the use of the following addnl. substances in the title material that contacts food: butadiene-styrene-itaconic acid copolymer; dibutyl phthalate; dicyclohexyl phthalate; EtOAc; EtOH; nitrocellulose (10.9-12.2% N); rosin esterified with MeOH and condensed with the reaction product of maleic anhydride, ethylene glycol, and phthalic anhydride; toluene; toluenesulion-amide-H2CO resin; and petroleum wax.

L11 ANSWER 59 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:73061 CAPLUS
DOCUMENT NUMBER: 58:73061
ORIGINAL REFERENCE NO.: 58:12458b-c
TITLE: Physiologically active compounds. V. Aminothiol esters of substituted acetic, chloroacetic, benzilic, and related acids
AUTHOR(S): Buehler, C. A.; Smith, Hilton A.; Kryger, Allen C.; Wells, Roy L.; Thames, Shelby F.
CORPORATE SOURCE: Univ. of Tennessee, Knoxville
SOURCE: Journal of Medicinal Chemistry (1963), 6, 230-3
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 58:73061
AB cf. CA 55, 25844h. Twenty-one salts of aminothiol esters of substituted acetic, chloroacetic, benzilic, and related acids were synthesized. The acetic and chloroacetic esters were prepared from the appropriate acid chlorides and the aminothiol; the hydroxy esters, by the hydrolysis of the α-chloroaminothiol esters. A method of preparing aminothiol esters of hydroxy acids from the sodium adduct of the ketone in liquid ammonia by treatment with bis(2-diethylaminoethyl)thiol carbonate proved to be satisfactory for the benzilic acid ester only. The order of increasing activity among the salts of the esters is acetic < chloroacetic < α-hydroxy. The greatest activity among the salts of the α-hydroxy esters [RR'C(OH)COS(CH2)xNR2''] is shown when x = 2 and when R and R' are unsubstituted rings. Four of these latter esters are superior to benactyzine, although the atropine-like activity of two of them exceeds that of this standard

L11 ANSWER 60 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1962:451474 CAPLUS
DOCUMENT NUMBER: 57:51474
ORIGINAL REFERENCE NO.: 57:10293f-g
TITLE: Food additives. Corrosion inhibitors for steel or tinplate

AUTHOR(S): Anon.
SOURCE: Federal Register (1962), 27, 6878, 20 Jul 1962
CODEN: FEREAC; ISSN: 0097-6326
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Dicyclohexylamine and morpholine and their salts of fatty acids derived from animal or vegetable oils may be used under the Federal Food, Drug, and Cosmetic Act, together with polyethylene glycol and propylene glycol as adjuvants, as corrosion inhibitors for steel or tinplate for use in contact with food.

L11 ANSWER 61 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1962:60319 CAPLUS
DOCUMENT NUMBER: 56:60319
ORIGINAL REFERENCE NO.: 56:11450d-f
TITLE: Chloroformamidine chlorides
INVENTOR(S): Seefelder, Matthias
PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik AG
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1119258		19611214	DE 1960-B58412	19600630 <--
PRIORITY APPLN. INFO.:			DE	19600630 <--

AB Chloroformamidine chlorides were obtained by the reaction at room temperature of COCl2 with thioureas, with separation of COS. Thus, N-tertbutylthiourea 66 was added over 0.5 hr. with stirring to COCl2 60 in tetrahydrofuran 200 parts. Brisk evolution of COS occurred. After 4 hrs. the product was filtered off and purified by repptn. from CHCl3 solution by tetrahydrofuran to yield N-tert-butylchloroformamidine hydrochloride 60 parts, m. 110-13°. Preparation details and analyses were also given for the following chloroformamidine hydrochlorides: N,N'-dimethyl, m, 138-43°; N,N''-diisopropyl, m. 100-5°; N,N'-diisobutyl, m. 60-3°; N,N'-dicyclohexyl, m. 39-41°; N,N'-diphenyl, m. 123-5°; N-phenyl-N'-benzyl, m. 141-4° (decomposition); N-phenyl-N',N'-tetramethylene, m. 166-70°; N,N'-bis(p-methoxyphenyl), m. 116-18°; and N,N'-bis(m-chlorophenyl), m. 108-9°. Also described was N,N,N',N'-tetramethylchloroformamidiniu m chloride. The compds. existed in an unionized form, represented as dichlorodiaminomethanes, the equilibrium between the two forms depending on the N-substituents. They were hygrosopic and potentially useful intermediates in the preparation of pharmaceuticals.

L11 ANSWER 62 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1962:25404 CAPLUS
DOCUMENT NUMBER: 56:25404
ORIGINAL REFERENCE NO.: 56:4880d-f
TITLE: Stable aqueous solutions of drugs difficultly soluble in water
PATENT ASSIGNEE(S): Chemische Pharmazeutische Fabrik Dr. Hermann Thiemann G.m.b.H.
SOURCE: Addn. to Ger. 1,058,697(CA 55,9797c)
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1085296		19600714	DE 1957-C15664	19571019 <--

PRIORITY APPLN. INFO.: DE 19571019 <--

AB α,β,γ,γ-Tetra-substituted crotonolactones are effective solubilizing agents; the d. substituent is an aliphatic, hydroaromatic, or an ali phatic-aromatic group of 4-15 C atoms which are attached to the lactone ring by a primary or secondary C atom; the β-substitute is a OH group, and the γ-substituents are H or C10 aliphatic groups. Thus, 30 parts 1-phenyl-2,3-dimethyl-4-dimethylamino-5-pyrazolone was dissolved in a hot solution of the Na salt of 3,5-diphenyl-4-hydroxycrotonolactone (I) (prepared from I 30 and NaCHO3 9.98), the solution cooled, and diluted to 10 parts to give a stable solution even on refrigeration.

L11 ANSWER 63 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1961:111966 CAPLUS
DOCUMENT NUMBER: 55:111966
ORIGINAL REFERENCE NO.: 55:21052b-i
TITLE: Organic nitrogen-containing phosphorus compounds
INVENTOR(S): Binder, Hans; Heinle, Rudolf
PATENT ASSIGNEE(S): Rottweiler Kunstseidefabrik Akt.-Ges.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1084716		19600707	DE 1958-R22830	19580305 <--
US 3127445		19640331	US 1960-26418	19600503 <--

AB Phosphonic acid or phosphoric acid monoester imides were treated at 110-240° with compds., containing one reactive H atom to give the title compds., useful as fungicides, insecticides, flame protection agents, lubricants, pharmaceuticals, and as intermediates in the manufacture of polymerizates, and plastics. Thus, ethylphosphonic acid cyclohexylimide (I) was heated 5 hrs. with excess anhydrous cyclohexanol at elevated pressures to 230°, the mixture concentrated, and the resulting crystals washed with EtOAc, and recrystd. from MeOH-EtOAc to give ethylphosphonic acid cyclohexylamide cyclohexyl ester, m. 117°; benzyl ester, m. 194-5°. Similarly I treated with cyclohexylamine (II) at 150° gave ethylphosphonic acid di(cyclohexyl amide), m. 161-2°; cyclohexylamide anilide, m. 182° (MeOH-EtOAc). Similarly were treated the following substituted phosphonic acid imides (PA) with the following reactive H compds. to give the following products (reagents, product, and m.p. given): ethylphosphonic acid anil (III), phenol (IV), ethylphosphonic acid Ph ester anilide, -; III, II, ethylphosphonic acid anilide cyclohexylamide, 153-5° (EtOAc); phenylphosphonic acid cyclohexylimide (V), IV, phenylphosphonic acid cyclohexylamide Ph ester, 234-6° (EtOAc-EtOH); V, II, phenylphosphonic acid di(cyclohexyl amide), 169° (aqueous MeOH); V, glacial AcOH, phenylphosphonic acid cyclohexylamide, -; V, AcCH2COMe, acetylphenylphosphonic acid cyclohexylamide, 285-9° (decomposition); V, Et malonate, phenylisoamylphosphonic acid cyclohexylamide; benzylphosphonic acid cyclohexylimide, IV, benzylphosphonic acid Ph ester cyclohexylamide, 198-200° (xylene); cyclohexylphosphonic acid cyclohexylimide (VI), MeOH, cyclohexylphosphonic acid Me ester cyclohexylamide, 260-5° (dioxane-glacial AcOH); VI, II, cyclohexylphosphonic acid di(cyclohexyl amide), 280-1° (dioxane-H2O); phosphonic acid Ph ester cyclohexylimide (VII), MeOH, phosphoric acid Ph Me ester cyclohexylamide, 268-9°; VII, iso-PrOH, phosphoric acid Ph iso-Pr ester, cyclohexylamide, 271°; VII, IV, phosphoric acid diphenyl ester cyclohexylamide, 199-200° (H2O); VII, excess glycol, diglycol ester of phosphoric acid Ph ester cyclohexylamide, 254-6° (MeCN-H2O); VII, glycol, phosphoric acid Ph monoglycol ester, cyclohexylamide, -; VII, BuNH2, phosphoric acid Ph ester cyclohexylamide butylamide, -; VII, excess II, phosphoric acid Ph ester

di(cyclohexyl amide), 202° (MeOH-EtOAc); VII, excess PhNH2, phosphoric acid Ph ester cyclohexylamide anilide, 212° (MeOH); VII, H2N(CH2)6NH2, bis(phosphoric acid Ph ester cyclohexylamide) hexamethylen amide, 209° (H2O-MeOH); VII, MeOH, phosphoric acid Ph Me ester methylamide, -; VII, glycol, diglycol ester of phosphoric acid Ph ester methylamide, -; phosphoric acid Ph ester benzylimide (VIII), IV, phosphoric acid diphenyl ester benzylamide, 105-7° (petr. ether-EtOAc); VIII, PhNH2, phosphoric acid Ph ester benzylamide anilide, 184° (EtOAc-MeOH); phosphoric acid Ph ester hexamethylenimide, MeOH, bis(phosphoric acid Ph Me ester) hexamethylenamide, -; VII, H2O, phosphoric acid monophenyl ester cyclohexylamide, 268.5° (MeOH-H2O); phosphoric acid Ph ester anil (IX), IV, phosphoric acid diphenyl ester anilide, 167-8° (H2O); IX, II, phosphoric acid Ph ester cyclohexylamide anilide, 192-4° (MeCN-MeOH); phosphoric acid Ph ester p-tolylimide, IV, phosphoric acid diphenyl ester p-toluidide, 150° (xylene); phosphoric acid cyclohexyl ester cyclohexylimide, cyclohexanol, phosphoric acid dicyclohexyl ester cyclohexylamide, 225-6°.

L11 ANSWER 64 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1961:87344 CAPLUS
DOCUMENT NUMBER: 55:87344
ORIGINAL REFERENCE NO.: 55:16485d-g
TITLE: 2,5-Dihalo-3,6-diaminobenzoquinone-N3, N6-disulfonic acid derivatives
INVENTOR(S): Neeff, Rutger; Bayer, Otto
PATENT ASSIGNEE(S): Farbenfabriken Bayer Akt.-Ges.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1083832		19600623	DE 1957-F25312	19570403 <--

AB Cyclic sulfimidic acid esters (prepared according to Ger. 1,032,253, CA 54, 19717i) were treated with primary or secondary amines to give the amino salts of 3,6-diaminobenzoquinone-N3,N6-disulfonamides, useful as intermediates in the manufacture of pharmaceuticals. Thus, I 10 in MeCN 78 was treated with Et2NH 8.8 in MeCN 19.5 parts to give the bis(diethylamino) salt of 2,5-dichloro-3,6-diamino-1,4-benzoquinone-N3,N6-disulfonic acid bis(diethylamide), m. 135.5° (decomposition), which with glacial AcOH gave the free amide, m. 83.5° (decomposition). Similarly were prepared the following bisamine salts of 2,5-dichloro-3,6-diamino-1,4-benzoquinone-N3,N6-disulfonic acid bis amides (amine radical, amide radical, m.p., and m.p. of the free amide given): piperidine, piperidide, 139.5° (decomposition), 128° (decomposition); morpholine, morpholide, 143° (decomposition), -; diallylamine, diallylamide, 117.5° (decomposition), -; dipropylamine, dipropylamide, 135° (decomposition), -; dibutylamine, dibutylamide, 126.5°, -; cyclohexylamine, cyclohexamide, above 360°, -; aniline, anilide, above 360°, -.

L11 ANSWER 65 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1960:128528 CAPLUS
DOCUMENT NUMBER: 54:128528
ORIGINAL REFERENCE NO.: 54:24533f-i,24534a-i,24535a-f
TITLE: Physiologically active compounds. III. Hydrochlorides of amino esters of phenylcyclohexylglycolic acids, of amides of benzilic, phenylcyclohexyl- and dicyclohexylglycolic, and phenylcyclohexylacetic acids; 2-methylthioethyl ester methiodides of substituted benzilic acids
AUTHOR(S): Smith, H. A.; Buehler, C. A.; Magee, T. A.; Nayak, K. V.; Glenn, D. M.

CORPORATE SOURCE: Univ. of Tennessee, Knoxville
SOURCE: Journal of Organic Chemistry (1959), 24, 1301-9
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 53, 7163e. In continuation of syntheses and tests for physiol. activity of compds. related to benzilic acid amino esters, 14 glycolic amino ester HCl salts, RR1C(OH)CO2(CH2)2NR2R3.HCl (I), 8 acid amide amino ester HCl salts, RR1C(X)CONR2(CH2)2NR3R4.HCl (II), and 3 substituted benzilic acid thioalkyl ester MeI salts, R2C(OH)CO2(CH2)2SMe.MeI (III) were prepared KOH (30 g.) in 700 ml. absolute alc. stirred with 100 g. Ph2C(OH)CO2H and the salt (107 g.) refluxed 1 hr. with 30 ml. MeI in 500 ml. HOCH2CH2OCH2CH2OBu and 80 ml. H2O, the mixture poured into 2.5 l. H2O and the product (93.5 g.) recrystd. twice from hot alc., the Ph2C(OH)CO2Me (98%, m. 76-6.5°) in AcOH hydrogenated 48 hrs. at 20°/4 atmospheric with PtO2, and the filtered solution (containing partially reduced ester from 475 g. material) distilled from a pot attached to an 8-ft. Vigreux column equipped with a total reflux variable take-off distilling head gave Ph(C6H11)C(OH)CO2Me, m. 40°, hydrolyzed to Ph(C6H11)C(OH)CO2H (IV) m. 160-2° (alc.), also produced from BzCN according to Smith, et al. (CA 44, 2354h). I (nos. 65, 66) were prepared from IV and the appropriate ClCH2CH2NR2R3 according to Smith, et al. (CA 51, 17843h). I (nos. 68-78) were obtained by partial hydrogenation of the corresponding benzilic acid ester hydrochlorides. Ph(RC6H4)C(OH)CO2(CH2)2NEt2.HCl (V, R = alkyl) (2-3 g.) in a min. of AcOH hydrogenated (3.4 moles H) over 0.4 g. prereduced PtO2 and the filtered solution evaporated in vacuo, the residue digested in hot alc., and the cooled clarified extract (Norit) diluted with Et2O gave I, recrystd. from alc.-Et2O. V (R = Ph) (1.5 g.) in 30 ml. AcOH was hydrogenated (3.0 moles) over 0.4 g. prereduced PtO2 and the product digested in 50 ml. hot EtOAc, the filtered solution cooled, and the solid salt crystallized twice from alc.-Et2O. SOCl2 (10 ml.) and 95 g. p-MeC6H4CO2H refluxed 3 hrs. on a steam bath, the excess SOCl2 evaporated, and the residue distilled in vacuo yielded 100.5 g. p-MeC6H4COCl (VI), b0.3 57°. VI (27 g.) and 26.5 g. dried Cu2CN2 refluxed 1.5 hrs. at 250-60° (metal bath) and the product distilled yielded 43.5% p-MeC6H4COCN (VII), b. 222-4°, m. 50-1°. VII (23 g.) in 100 ml. absolute alc. saturated below 10° with dry HCl and, after keeping 8 days at 0°, poured into a large volume of H2O, extracted 3 times with Et2O, and the washed and dried exts. distilled in vacuo yielded 50% p-MeC6H4CH(OH)CO2Et (VIII), b3.5 124-6°. Mg turnings (1.98 g.) covered with Et2O (Na-dried), heated 5-10 min. on a steam bath with 1.5-2.0 g. pure ClC6H11 and a crystal of iodine, the colorless solution stirred 40 min. under reflux with more Et2O and 7.63-8.13 g. ClC6H11, the Grignard solution added dropwise to 10.4 g. VIII in dry Et2O and, after refluxing 1 hr., poured onto cracked ice and dilute H2SO4, the aqueous layer washed with Et2O, and the organic solns. evaporated gave 8.9 g. ester, b3 155-8°, hydrolyzed with dilute alc. NaOH and acidified to yield 65% p-MeC6H4(C6H11)C(OH)CO2H, m. 189-90°, converted in 93.5% yield by treatment with Cl(CH2)2NEt2 to give the ester, compound number 70. Concentrated HCl (1250 ml.) and 159 g. purified 2,4-Me2C6H3NH2 stirred with cooling to 5-10° and stirred with slow addition of 70 ml. Br in 250 mg. 1:1 48% HBr-concentrated HCl below 20°, the mixture heated to 50-70° and the colorless mixture vigorously stirred at 0° with addition of ice, stirred at 0-5° with 109 g. NaNO2 in 300 ml. H2O and the completely diazotized solution added to a cold (0°) mixture of 526 g. SnCl2 in 3 l. H2O and 1313 g. NaOH in 2 l. H2O with vigorous stirring, the mixture kept overnight and the organic layer steam distilled, the washed (H2SO4, H2O, dilute NaOH, H2O) product dried, and distilled gave 136 g. 3,5-Me2C6H3Br, b6 70° treated (85 g.) with 12.16 mg. Mg in Et2O and the Grignard reagent poured gently into a slurry of solid CO2 in dry Et2O to yield 67.5% 3,5-Me2C6H3CO2H, m. 169-70° (alc.). The corresponding 3,5-Me2C6H3Cl, b3.5 90°, and 3,5-Me2C6H3CN, m.

61-2°, were similarly prepared in 89 and 61.5% yields, resp. Conversion of 7 g. cyanide yielded 4.2 g. 3,5-Me2C6H3CH(OH)CO2Et, b4.5 130°, pos. test with 2,4-(O2N)2C6H3NHNH2, and converted (6.4 g.) through the Grignard reagent to 18% 3,5-Me2C6H3(C6H11)C(OH)CO2Et, b4.5 170°, hydrolyzed to 41.5% acid, m. 170-1°, transformed in the usual manner to 63.5% ester, compound number 72, m. 217-18°. Data were tabulated for the ester hydrochlorides I (compound number, R, R1, R2, R3, % yield, and m.p. given): 65, Ph, C6H11, Me, Me, 47 (on Me ester), 219-20°; 66, Ph, C6H11, (R2R3=)(CH2)5, 47 (on Me ester), 223-4°; 67, Ph, C6H11, (R2R3=)(CH2)5, 24 (on free acid), 176-7° (MeBr salt); 68, o-MeC6H4, C6H11, Et, Et, 55 (on corresponding acid ester hydrochloride), 191-3°; 69, m-MeC6H4, C6H11, Et, Et, 66, 187-9°; 70, p-MeC6H4, C6H11, Et, Et, 75, 200-1°; 71, 2,3-Me2C6H3, C6H11, Et, Et, 38, 170-2°; 72, 3,5-Me2C6H3, C6H11, Et, Et, 83, 217-18°; 73, 2,4,6-Me3C6H2, C6H11, Et, Et, 64, 206-7°; 74, 3,4,5-Me3C6H2, C6H11, Et, Et, 85, 223-4°; 75, 2,3,5,6-Me4C6H, C6H11, Et, Et, 66, 204-5°; 76, m-MeC6H4, m-MeC6H10, Et, Et, 31, 181-2°; 77, Ph, m-C6H11C6H4, Et, Et, 34, 138-9°; 78, Ph, p-C6H11C6H4, Et, Et, 21, 148-9°.
The indicated formulas were assigned on the evidence of ultraviolet absorption curves. II (R = Me) were prepared by the method of Krapcho, et al. (CA 50, 16769g), from the appropriate acid chloride and II (R = H) obtained according to Miescher, et al. (U.S. 2,009,114). The 2-(N,N-dialkylaminoethyl)methylamines were prepared by the methods of Kermack and Wight (CA 30, 1026) and Damiens (CA 47, 2695c). BrCH2(CH2)3CH2Br (100 g.) by the method of von Alphen (CA 31, 53617) yielded 58% 2-piperidinoethylamine (IX), b. 187-9°. Ph2C(OH)CO2Me (11.0 g.) and 10.1 g. IX refluxed 2 hrs. and the cooled mixture taken up in Et2O, extracted 3 times with dilute HCl, and the extract made basic gave 41% material, m. 115-16°, taken up in Et2O, saturated with dry HCl, and the HCl salt, m. 203-4°, completely reduced at 20° in AcOH with prereduced PtO2 to yield 35% compound number 86. Ph2C(OH)CO2H (20 g.) converted according to King and Holmes (CA 41, 5121g) yielded 46% Ph2CClCOCl (X), m. 47-9°. Ph(C6H11)CHCO2H (43.6 g.) with SOCl2 gave 40 g. Ph(C6H11)CHCOCl, b3 136-9°. X (2.3 g.) in 10 ml. 3:2 C6H14-C6H6 at 20-30° treated dropwise with 1.18 g. 2-piperidinoethylmethylamine in 2 ml. C6H6 and the mixture stirred 1 hr. at 20°, refluxed 1 hr. and the cooled solution diluted with H2O, the organic layer washed with dilute HCl and the combined aqueous layers washed with Et2O, heated 10 min. at 100° and the hydrolyzation mixture made strongly basic, extracted with Et2O, and the extract saturated at 0° with HCl yielded 47% material, m. 215-17°, recrystd. from alc. and Et2O to give 46% compound number 80. The phys. properties of II were (compound number, R, R1, X, R2, R3, R4, % yield, and m.p. given): 79, Ph, Ph, OH, Me, Me, Me, 26, 272-4°; 80, Ph, Ph, OH, Me, (R3R4 =) (CH2)5, 46, 226-7°; 81, Ph, C6H11, H, Me, Me, Me, 89, 206-7°; 82, Ph, C6H11, OH, H, Me, Me, 12, 215-16°; 83, Ph, C6H11, OH, H, (R3R4 =) (CH2)5, 18, 222-3°; 84, Ph, C6H11, OH, H, Me, Me, 29, 233-4°; 85, C6H11, C6H11, OH, H, Et, Et, 50, 231-2°; 86, C6H11, C6H11, OH, H, (R3R4 =) (CH2)5, 35, 260-1°. Na (47.9 g.) in 1 l. absolute alc. boiled with 100 g. Me2S and the hot solution stirred 2 hrs. with dropwise addition of 302 g. ClCH2CH2OH, excess alc. evaporated on a steam bath and the cooled solution filtered, the precipitated NaCl washed twice with 100 ml. 95% alc., and the combined filtrate and washings concentrated in vacuo yielded 76% HOCH2CH2SMe, b20 68-70°, converted to 133 g. ClCH2CH2SMe (XI), b20 54-6°.
The appropriate benzilic acid (0.05 mole) in EtONa (0.05 mole Na in 50 ml. absolute alc.) refluxed 4 hrs. with 0.055 mole XI and the filtered solution distilled in vacuo gave thioesters, converted by keeping in the dark in a closed vessel with an equal volume of MeI to III (compound number, R, % yield, b.p./1.0 mm. of ester, and m.p. of III given): 87, o-MeC6H4, 37, 175-80°, 61-2°; 88, p-MeC6H4, 26, 155-60° 44-5°; 89, o-MeOC6H4, -, 63°, 190-4°.
Anticholinesterase screening tests, blood pressure, gut, and respiration effects, eye effects, and tests for cerebral stimulation and atropine-like

activity were made and tabulated together with results given by previous compds. Compds. 70 and 80 appeared to be more active than atropine in preventing mortality from an anticholinesterase compound Compds. 65, 66, and 67 were especially active against acetylcholine and compound 54 was particularly active against histamine. Compds. 67, 68, 70, 81, and 83 were active mydriatics, compds. 53 and 66 were active in producing miosis, and nos. 53, 67, and 70 also produced local irritation. Compound 65 was slightly more active in the cerebral stimulation test than the tranquilizer, benactyzine, and had 5 times the atropine-like activity; nos. 35 and 68 had equal benactyzine activity but only 10-20% atropine-like activity. All compds. showed less activity than atropine in tests on the eye pupil of rabbits.

L11 ANSWER 66 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1960:128527 CAPLUS
DOCUMENT NUMBER: 54:128527
ORIGINAL REFERENCE NO.: 54:24533d-f
TITLE: Hydrogenation of benzene by silent electric discharge
AUTHOR(S): Brown, G. P.; Rippere, R. E.
CORPORATE SOURCE: Gen. Elec. Co., Schenectady, NY
SOURCE: Am. Chem. Soc., Div. Petrol. Chem., Preprints (1957), 2(No. 3), 149-54
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB In the title reaction C6H6 yielded detectable amts. of 1,3-cyclohexadiene (I), 1,4-cyclohexadiene (II), cyclohexene, biphenyl, hydrogenated biphenyl, and polymer. The reaction of C6H6 in H and He, resp., and of cyclohexane and H was investigated. The hydrocarbon was distilled and the condensate passed downward through the space between the electrodes while the gas flowed upwards through the same space. In reaction of C6H6 in H, the vapor fractometer indicated the formation of traces of I and II after 1 hr. and after 24 hrs. the relative concns. of I and II were 1:2 with 0.02% II; the duration of the run was 56 hrs. After 2 weeks' storage of the mixture under N, I had essentially disappeared. After 2 months storage, the products were isolated and found to be biphenyl and a polymer consisting of a mixture of partially hydrogenated polyphenyls. In the reaction of C6H6 in He the peak concns. of I and II appeared after 18 hrs. and a lower concentration of cyclohexadiene was indicated as compared to the reaction in H. Cyclohexane formed cyclohexene and an unidentifiable compound after 25 hrs.

L11 ANSWER 67 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1960:74722 CAPLUS
DOCUMENT NUMBER: 54:74722
ORIGINAL REFERENCE NO.: 54:14276b-d
TITLE: N,N-Disubstituted sulfamide acid chlorides
INVENTOR(S): Bodenbrenner, Kurt; Wegler, Richard
PATENT ASSIGNEE(S): Farbenfabriken Bayer Akt.-Ges.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1028129		19580417	DE 1956-F21569	19561103 <--

AB The title compds., suitable as insecticides, pharmaceuticals and for dyes, were prepared by treating N-chloramines, obtained by the reaction of secondary amines with NaOCl in the presence of organic solvents, with SO2 at 5-30°. Thus, morpholine 435 in concentrated HCl 400 and H2O 500 was mixed at -5 to -2° with CCl4 2000 and hypochlorite solution 2860 by volume, containing NaOCl 372 parts by weight The organic layer was separated, extracted with dilute acid and aqueous NaHCO3, and dried over Na2SO4 to obtain 82.4% N-chloromorpholine. Into this solution was introduced SO2 360 at -5 to

5° and Cl 40 parts. This mixture was left 24 hrs. and then refluxed 1-2 hrs. under SO2, poured after cooling into H2O and extracted with NaHCO3. After drying, the solvent was evaporated to give morpholine N-sulfochloride, b0.5 85°, 649 parts. Other N-sulfochlorides similarly obtained were (N-substituent, b.p./mm., and % yield given): diethylamino, 90-3°, 70; dibutylamino, 88-90°, 73; pyrrolidino, 80-6°, 89; dicyclohexylamino, m. 117-18°, 75.

L11 ANSWER 68 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1959:51220 CAPLUS
DOCUMENT NUMBER: 53:51220
ORIGINAL REFERENCE NO.: 53:9254b-i,9255a-g
TITLE: Tertiary amines
INVENTOR(S): Seeger, Ernst; Kottler, August
PATENT ASSIGNEE(S): Dr. Karl Thomae G. m. b. H. Chemisch-pharmazeutische Fabrik
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 963424		19570509	DE 1954-T10011	19540924 <--
US 3109845		19631105	US 1960-6616	19600204 <--

AB Tertiary α-aminoacetonitriles of the general formula X(CN)CHNR'R'' where X denotes a 2,5-endomethylenecyclohex-3-en-1-yl (I), cyclohexyl (II), cyclohexenyl, 4-hydroxy-3-methoxyphenyl, 3,4-dimethoxyphenyl, naphthyl, anthranyl, furyl, thienyl, or a 5,6-dihydropyranyl radical, R' and R'' an alkyl, hydroxyalkyl, cycloalkyl, aralkyl, or aryl radical, or R' and R'' together (CH2)4, (CH2)5, or (CH2)2O(CH2)2, were treated with organomagnesium halides in the presence of solvents to give the title compds., which can be converted to quaternary ammonium compds. by known methods. Thus, 16.2 g. I piperid-1-yl-acetonitrile derivative in 30 cc. absolute Et2O was added dropwise to PhMgBr (prepared from 4.6 g. Mg and 31.4 g. PhBr), the mixture refluxed 3 hrs., cooled, decomposed with ice and 12% HCl, the Et2O layer separated, the residual aqueous solution made alkaline by aqueous NH4Cl and concentrated NH3, and the resulting oil taken up in Et2O, the Et2O solution dried over Na2SO4, the solvent evaporated, and the residue fractionated in vacuo to give I N-piperidylphenylmethane derivative, b0.2 121°, HCl salt, m. 223°. Similarly were prepared the following tertiary amines X(Y)CHZ (X, Y, and Z, b.p./mm., m.p. of the HCl salt given): I, (CH2)3Ph, NMe2, 138°/0.15, 153°; I, (CH2)4Ph, N-piperidyl, 198-200°/0.7, -; I, α-naphthyl, NBu2, 180°/0.3, -; I, CH2-p-C6H4, N-piperidyl, 151-2°/0.5, 195°; I, (CH2)9Me, N-piperidyl, 163°/0.2, -; I, II, NMe2, 63°/0.5, -; I, Ph, N-morpholinyl, 146-7°/0.2, -; I, m-C6H4Me, N-piperidyl, 138°/0.2, -; I, p-C6H4Me, N-piperidyl, 141°/0.1, m. (base) 70-1°, -; II, (CH2)2Ph, NEt2, 142°/0.8, 141-2°; II, (CH2)8Me, NMe2, 137°/0.3, 157-8°; II, CH2CH(Me)Ph, N-pyrrolidyl, 150°/0.4, 150-1°, cyclohex-1-en-1-yl, (CH2)3Ph, NEt2, 157-8°/0.6, -; 4-hydroxy-3-methoxyphenyl, (CH2)3Ph, N-morpholinyl, -, 176°; 4-hydroxy-3-methoxyphenyl, II, N-piperidyl, -, 114°; 4-hydroxy-3-methoxyphenyl, (CH2)7Me, N-piperidyl, -, 140°; 3,4-dimethoxyphenyl, (CH2)3Ph, NBu2, 210°/0.4, 139-40°; α-naphthyl, (CH2)3Ph, NEt2, 197°/0.5, -; 9-anthranyl, (CH2)3Ph, NMe2, -, 77-8°; 2-furyl, CH2-p-C6H4Me, NMe2, 123-5°/0.8, 188-90°; 2-furyl, α-naphthyl, NMe2, 123°/0.2, -; 2-furyl, (CH2)6Me, NMe2, 80°/0.25, -; 2-thienyl, (CH2)3Ph, N-piperidyl, 182°/0.4, 162°; 2-thienyl, Et, NMe2, 47-8°/0.25, 121°; 5,6-dihydropyran-3-yl, (CH2)3Ph, NMe2, 134°/0.2, 152°; I,

CH2Ph, N(CH2CH2OH)2, -, -; I, Ph, NMe2, 103°/0.35, 191-2°;
I, CH2Ph, NMe2, 119°/0.5, 182°; I, (CH2)2Ph, NMe2,
135°/0.8, 184°; I, Ph, NEt2, 140-3°/1, 183°;
I, CH2Ph, NEt2, 153°/1, 163-4°; I, (CH2)2Ph, NEt2,
146°/0.15, 146°; I, (CH2)3Ph, NEt2, 165°/1,
152-3°; methiodide, m. 158°; I, Pr, NEt2, 78°/0.15,
122°; I, (CH2)3Ph, NBu2, 154-6°/0.6, -; I, Ph, N(Me)CH2Ph,
183°/0.6, -; I, CH2Ph, N(Me)CH2Ph, 188°/0.9, 182-3°;
I, (CH2)2Ph, N(Me)CH2Ph, 188°/0.7, 179°; I, (CH2)3Ph,
N(Me)CH2Ph, 178°/0.9, 190-2°; I, (CH2)3Ph, N(C6H11)2,
205°/0.25, -; I, Ph, N-pyrrolidyl, 133°/0.6, 221°;
methiodide, m. 162-3°; I, CH2Ph, N-pyrrolidyl, 151-2°/0.5,
181°; I, (CH2)2Ph, N-pyrrolidyl, 154°/0.5, 112°; I,
(CH2)3Ph, N-pyrrolidyl, 165°/0.6, -; I, II, N-pyrrolidyl,
78°/0.5, 229°; I, Et, N-pyrrolidyl, 82°/0.2,
95°; I, CH2Ph, N-piperidyl, 150°/0.3, 157°; I,
(CH2)2Ph, N-piperidyl, 152°/0.6, 144-5°; I, (CH2)3Ph,
N-piperidyl, 156°/1, -; I, II, N-piperidyl, 60°/0.4,
162°; I, Et, N-piperidyl, 102-3°/0.5, 130-2°; I,
Pr, N-piperidyl, 110-12°/0.5, 132-3°; I, Bu, N-piperidyl,
106°/0.1, 152-3°; I, (CH2)6Me, N-piperidyl,
140°/0.3, -; I, iso-PrPh, N-piperidyl, 156-8°/0.3, -; I, Ph,
N(Me)Ph, 159-60°/0.35, -; I, (CH2)3Ph, N(Me)Ph, 180-3°/0.5,
-; I, p-C6H4Me, N(Me)Ph, 170-2°/0.2, -; II, CH2Ph, NMe2,
135-6°/0.7, 207°; II, (CH2)2Ph, NMe2, 142-3°/0.65,
169-70°, bromobenzylate, m. 188-9°; II, (CH2)3Ph, NMe2,
153°/0.7, 152-3°, methiodide, m. 195-6°; II,
(CH2)9Me, NMe2, 146-8°/0.4, 147-8°; II, CH2Ph, NEt2,
131-2°/0.8, 110°; II, (CH2)3Ph, NEt2, 150-2°/0.7, -;
II, p-C6H4Me, NEt2, 122°/0.2, 167-9°; II, CH2-p-C6H4Me,
NEt2, 135-7°/0.5, 140-2°; II, (CH2)4Ph, N-pyrrolidyl,
162°/0.2, -; II, Ph, N-piperidyl, 118-20°/0.9, -; II, CH2Ph,
N-piperidyl, 135°/0.7, 206°; II, (CH2)2Ph, N-piperidyl,
133-4°/0.15, 178°; II, (CH2)3Ph, N-piperidyl,
145°/0.1, 171°; II, α-naphthyl, N-piperidyl,
185°/0.4, 119-21°; cyclohex-1-ene-1-yl, (CH2)3Ph,
N-pyrrolidyl, 160-2°/0.5, 157-8°; cyclohex-1-en-1-yl,
(CH2)3Ph, N-piperidyl, 170-2°/0.5, 196-7°;
4-hydroxy-3-methoxyphenyl, (CH2)3Ph, NMe2, m. (base) 106°, -,
146°; 4-hydroxy-3-methoxyphenyl, Et, NMe2, m. (base), 124°,
-, 160°; 4-hydroxy-3-methoxyphenyl, CH2-p-C6H4Me, NMe2, -,
126°; 4-hydroxy-3-methoxyphenyl, (CH2)3Ph, N-pyrrolidyl, -, about
160°; 4-hydroxy-3-methoxyphenyl, Et, N-pyrrolidyl, m. (base)
96°, -, -; 4-hydroxy-3-methoxyphenyl, Ph, N-piperidyl, -,
207-9°; 4-hydroxy-3-methoxyphenyl, CH2Ph, N-piperidyl, m. (base)
141°, -, 165°; 4-hydroxy-3-methoxyphenyl, (CH2)2Ph,
N-piperidyl, m. (base) 111°, -, 186°; 4-hydroxy-3-
methoxyphenyl, (CH2)3Ph, N-piperidyl, m. (base) 103-4°, -,
120°; 4-hydroxy-3-methoxyphenyl, (CH2)4Ph, N-piperidyl, m. (base)
113-14°, -, -; 4-hydroxy-3-methoxyphenyl, α-naphthyl,
N-piperidyl, m. (base) 160°, -, 172° (decomposition);
4-hydroxy-3-methoxyphenyl, II, N-piperidyl, 140-5°/0.4, -;
4-hydroxy-3-methoxyphenyl, Et, N-piperidyl, m. (base) 118°, -,
174°; 3,4-dimethoxyphenyl, Ph, NMe2, -, 110°;
3,4-dimethoxyphenyl, (CH2)3Ph, NMe2, 166-8°/0.1, 146-8°;
3,4-dimethoxyphenyl, II, NMe2, 118-20°/0.4, -; 3,4-dimethoxyphenyl,
α-naphthyl, NMe2, m. (base) 98-100°, -, -;
3,4-dimethoxyphenyl, iso-PrPh, NMe2, 156°/0.3, -;
3,4-dimethoxyphenyl, (CH2)3Ph, N-pyrrolidyl, 215°/0.6, -;
3,4-dimethoxyphenyl, Ph, N-piperidyl, m. (base) 82°, -,
137°; 3,4-dimethoxyphenyl, (CH2)3Ph, N-piperidyl, 200°/0.1,
158°; α-naphthyl, Et, NEt2 177°/0.2, -;
α-naphthyl, Ph, N-pyrrolidyl, 157-8°/0.1, -;
α-naphthyl, (CH2)2Ph, N-piperidyl, 207°/0.4, -;
α-naphthyl, (CH2)3Ph, N-piperidyl, 187°/0.2, -; 9-anthranyl,

(CH2)3Ph, N-piperidyl, -, 130°; 2-furyl, Ph, NMe2, 89°/0.4, 188°; 2-furyl, (CH2)3Ph, NMe2, 145°/0.7, 136°; 2-furyl, (CH2)4Ph, NMe2, 112-14°/0.15, -; 2-furyl, p-C6H4Me, NMe2, 85°/0.15, -; 2-furyl, iso-PrPh, NMe2, 96-7°/0.2, 148-9°; 2-furyl, (CH2)3PhNEt2, 142°/0.7, -; 2-furyl, CH2Ph, NBu2, 145°/0.3, -; 2-furyl, (CH2)3Ph, NBu2, 162°/0.3, -; 2-furyl, Ph, N-piperidyl, 125°/0.3, -; 2-furyl, (CH2)3Ph, N-piperidyl, 149°/0.3, 151°; 2-thienyl, Ph, NMe2, 93-4°/0.2, -; 2-thienyl, (CH2)3Ph, NMe2, 149°/0.5, 110°; 2-thienyl, iso-PrPh, NMe2, 120°/0.2, -; 2-thienyl, Ph, N-piperidyl, -, 211°; 2-thienyl, (CH2)3Ph, N-pyrrolidyl, 175°/0.2, 120°; 2-thienyl, Et, N-piperidyl, 88-90°/0.25, -; 5,6-dihydropyran-3-yl, Ph, NMe2, 110°/0.2, 232°; 5,6-dihydropyran-3-yl, Et, NMe2, 50°/0.4, -; 5,6-dihydropyran-3-yl, (CH2)6Me, NMe2 101°/0.2, -; 5,6-dihydropyran-3-yl, α-naphthyl, NMe2, 157-8°/0.4, -; 5,6-dihydropyran-3-yl, p-C6H4Me, N-piperidyl, 153-6°/0.4, -; 5,6-dihydropyran-3-yl, II, N-piperidyl, 138-9°/0.5, -; cyclohex-1-en-1-yl, CH2Ph, N-pyrrolidyl, 142-3°/0.3, 212°; cyclohex-1-en-1-yl, CH2Ph, N-piperidyl, 170-2°/0.9, -; I, (CH2)3Ph, N-morpholinyl, 165-6°/0.3, -; II, (CH2)3Ph, N-morpholinyl, 166-7°/0.35, -; I, CH2Ph, N(Me)CH2CH2OH, 137-8°/0.1, -. The compds. thus prepared are useful as pharmaceuticals.

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ACCESSION NUMBER: 1959:40022 CAPLUS
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ORIGINAL REFERENCE NO.: 53:7206i,7207a-i,7208a-h
TITLE: Diquaternary compounds
INVENTOR(S): Coker, Geoffrey G.; Billing-Hurst, John E. W.; Phillips, Denys A. B.
PATENT ASSIGNEE(S): Wellcome Foundation Ltd.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
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PATENT INFORMATION:

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GB 800695		19580903	GB 1955-23822	19550818 <--

AB To 7.2 g. N1-(2-hydroxyethyl)-N2-methylpiperazine in 20 ml. C6H6 is added during 20 min. with stirring 11.5 g. diphenylacetyl chloride (I) in 40 ml. C6H6. N2-Methyl-N1-(2-diphenylacetoxyethyl)piperazine (II), m. 85-6° (EtOH), is obtained from the mixture, which is stirred and kept at 70° 3 hrs., cooled, excess aqueous NH3 added, and then the benzene layer separated, washed with H2O, dried, and evaporated II (2 g.), 2 ml. MeI, and 15 ml. acetone allowed to stand at room temperature several hrs. gives N1,N2,N2-trimethyl-N1-(2-diphenylacetoxyethyl)piperazinium diiodide, m. 194° (decomposition) (aqueous MeOH). I (4.6 g.) and 3.7 g. N-(2-hydroxyethyl)-N-methyl-N-(2-piperidinoethyl)amine allowed to stand at room temperature 16 hrs., warmed on steam bath 1 hr., the product dissolved in cold dilute HCl, the solution washed with ether, and excess aqueous NH3 added to the acid solution which is extracted with CHCl3 gives 2-[N-methyl-N-(2-piperidinoethyl)-amino]-1-(diphenylacetoxy)ethane (III), oil, on evaporation of the CHCl3. III (5 g.), 5 ml. MeI, and 25 ml. acetone refluxed several hrs. gives a solid on cooling, N1,N1,N2-trimethyl-N1-(2-diphenylacetoxyethyl)ethylene-1-ammonium-2-piperidinium diiodide, m. 205° (MeOH). Similarly prepared are: 2-[N-(2-di-n-butylamino)ethyl-N-methylamino]-1-diphenylacetoxyethane, b0.2 210-20° (di-MeI salt, hygroscopic gum); 4-[N-methyl-N-(3-morpholinopropyl)amino]-1-(diphenylacetoxy)butane (IV), an oil; 4-[N-methyl-N-(6-morpholinohexyl)-amino]-1-(diphenylacetoxy)butane (V), an oil; 1-dicyclohexylacetoxy-4-[N-methyl-N-(2-piperidinoethyl)amino]butane, b0.05 185-8° [oxalate, m.

188° (decomposition); di-MeI salt, m. 198° (EtOH)];
6-[N-methyl-N-(2-piperidinoethyl)amino]-1-(diphenylacetoxy)hexane, b0.05
200-6°; oxalate, m. 202° (decomposition); di-MeI salt, m.
147°]; and N1-[4-bis(4-methoxyphenyl)acetoxybutyl]-N1,N1,N2-
trimethylethylene-1-ammonium-2-piperidinium diiodide. K
bis(4-chlorophenyl)acetate (6.4 g.) in 3.25 g. N1-2-chloroethyl-N2-
methylpiperazine and 50 ml. xylene refluxed 24 hrs., the mixture extracted with
dilute HCl, excess aqueous NaOH added to the acid extract, and the liberated
base
extracted with C6H6 gives N1-[2-bis(4-chlorophenyl)acetoxyethyl]-N2-
methylpiperazine (VI), an oil, after evaporation of the benzene from the dried
solution, finally in vacuo; VI.2MeI, m. 189° (decomposition) (acetone).
Bis(4-methylphenyl)acetyl chloride (27 g.), 8 g. trimethylenechlorohydrin,
and 150 ml. C6H6 refluxed several hrs., the solvent evaporated (finally in
vacuo), the residue dissolved in ether, the ether solution washed with
saturated
NaHCO3 solution, dried over anhydrous MgSO4, and the solvent evaporated gives
3-bis(4-methylphenyl)acetoxypropyl chloride (VII), b0.1 170°. VII
(6.33 g.) and 6.25 g. N-methyl-N-(2-piperidinoethyl)amine in 25 ml. dry
C6H6 with 2.0 g. NaI refluxed several hrs., ether added to the cooled
mixture, the mixture extracted with dilute HCl, excess aqueous NH3 added to
the acid
extract, the liberated base extracted with ether, the ether extract washed with
water, dried, and the solvent evapd, gives 3-[N-methyl-N-(2-
piperidinoethyl)amino]-1-bis(4-methylphenyl)acetoxy]propane (VIII):
VIII.2MeI, m. 185-7° (EtOH). Similarly are prepared:
N2-methyl-N1-[3-bis(4-methylphenyl)acetoxypropyl]piperazine [di-MeI salt,
m. 189-190° (decomposition) (MeOH)]; 4-[N-methyl-N-(2-
pyrrolidinoethyl)amino]-1-(diphenylacetoxy)butane (di-MeI salt,
hygroscopic gum); di-MeI salt of V, hygroscopic gum; 4-bis(4-methylphenyl)-
acetoxybutyl chloride, b0.07 176°, n21D 1.5479;
N2-methyl-N1-[4-bis(4-methylphenyl)acetoxybutyl]piperazine (oil);
N1,N2,-N2-trimethyl-N1-[4-bis(4-methylphenyl)acetoxybutyl]piperazinum
diiodide, m. 224-6° (decomposition) (MeOH); 4-[N-methyl-N-(2-
pyrrolidinoethyl)amino]-1-[bis(4-methylphenyl)acetoxy]butane di-MeI salt,
m. 166-8° (decomposition) (EtOH)]; 4-[N-methyl-N-(2-
piperidinoethyl)amino]-1-[bis(4-methylphenyl)acetoxy]butane [di-MeI salt,
m. 166-8° (EtOH)]; 4-[N-methyl-N-(2-morpholinoethyl)amino]-1-[bis(4-
methylphenyl)acetoxy]butane [di-MeI salt, m. 186-8° (decomposition)
(EtOH)]. 1-(Dicyclohexylacetoxy)-4-[N-methyl-N-(2-
piperidinoethyl)amino]butane (2 g.) in 5 ml. EtI refluxed 4 hrs., the
excess solvent distilled in vacuo, and the pasty residue crystallized from
EtOH-EtOAc gives N1,N2-diethyl-N1-(4-dicyclohexylacetoxybutyl)-N1-
methylethylene-1-ammonium-2-piperidinium diiodide, hygroscopic needles, m.
165°. N1-Methyl-N1-(6-diphenylacetoxyhexyl)-N1,N2-dipropylethylene-
1-ammonium-2-piperidinium diiodide, hygroscopic gum, is similarly prepared
6-(Diphenylacetoxy)hexyl bromide (11 g.) and 4 g. N-(2-
diethylaminoethyl)methylamine, warmed on a steam bath 2 hrs., cooled,
dissolved in dilute HCl, the solution washed with ether, treated with crushed
ice and excess aqueous NH3, and extracted with CHCl3 gives 6-[N-(2-
diethylaminoethyl)-N-methylamino]-1-(diphenylacetoxy)hexane; di-MeI salt,
hygroscopic gum. Ethylene bromohydrin (10 g.) and 15 g.
N-methyl-N-(2-piperidinoethyl)amine warmed to 60° 5 min., then
heated on the steam bath 1 hr., the product dissolved in dilute HCl, the
solution washed with ether, made alkaline with NaOH, and extracted with CHCl3
gives
on distillation of the dried extract N-(2-hydroxyethyl)-N-methyl-N-(2-
piperidinoethyl)amine (IX), b10 133-5°; oxalate, m. 185°
(decomposition). Et 3,3-diphenylpropionate (6 g.) added to 6 g. IX in which 0.1
g. Na has been dissolved, the mixture heated at 180° 4 hrs., cooled,
dissolved in dilute HCl, the solution washed with ether, treated with crushed
ice and excess aqueous NH3, and rapidly extracted with CHCl3 gives on
evaporation of
the dried exts. 1-[N-methyl-N-(2-piperidinoethyl)amino]-2-(3,3-
diphenylpropionoxy)ethane, b0.05 185-90°; oxalate, m. 232°

(decomposition); di-MeI salt, m. 221-3°. Similarly are prepared: 1-[N-methyl-N-(3-morpholinopropyl)amino]-2-(3,3-diphenylpropionoxy)ethane, b0.06 220° [oxalate, m. 212° (decomposition) (EtOH)] (di-MeI salt, hygroscopic gum); 1-[N-(2-diethylaminoethyl)-N-methylamino]-6-(3,3-diphenylpropionoxy)hexane, b0.1 210° (picrate, m. 130°; di-MeI salt, hygroscopic gum); 1-[N-methyl-N-(2-piperidinoethyl)amino]-2-(4,4-diphenylbutyroxy)ethane, b0.1 204-6° [oxalate, m. 219° (decomposition); di-MeI salt, m. 217-18°]. Et bromoacetate (10 g.) added dropwise to 10 g. N-(2-diethylaminoethyl)-methylamine with stirring and cooling, the exothermic reaction completed by warming on the steam bath 30 min., the mixture dissolved in dilute HCl, the solution washed with ether, made alkaline at 0° with NH3, extracted with CHCl3, and distilled gives Et N-(2-diethylaminoethyl)-N-methylaminoacetate (X), b15 124-5°; oxalate, m. 168° (decomposition). Na (0.1 g.) in small pieces dissolved in 3 g. 2,2-diphenylethanol at 160°, 2.5 g. X added, the mixture heated on an oil bath 6 hrs. at 160°/50 mm., the cooled product dissolved in cold dilute HCl, the acid solution washed with ether, the aqueous phase made alkaline with NH3 at 0°, and rapidly extracted with CHCl3 gives on distillation of the dried exts. 2,2-diphenylethyl N-(2-diethylaminoethyl)-N-(methylamino)acetate, b0.2 165-70°; oxalate, m. 159°; di-MeI salt m. 148° (EtOH). Similarly are prepared: 3,3-diphenylpropyl N-(2-diethylaminoethyl)-N-(methylamino)acetate, b0.1 182-4° (oxalate, m. 164; di-MeI salt, m. 152°); 2,2-diphenylethyl N-methyl-N-(2-piperidinoethyl)aminoacetate, b0.1 192°, m. 199° (decomposition) (di-MeI salt, m. 127°); 3,3-diphenylpropyl N-methyl-N-(2-piperidinoethyl)aminoacetate, b0.05 195° [oxalate, m. 207° (decomposition); di-MeI salt, m. 161-2°]; diphenylmethyl 4-[N-methyl-N-(2-morpholinoethyl)amino]butyrate, b0.04 185-90° [oxalate, m. 182° (EtOH); di-MeI salt, m. 218° (decomposition)]; 2,2-diphenylethyl 4-[N-methyl-N-(2-morpholinoethyl)amino]butyrate, b0.05 207-8° [oxalate, m. 185° (decomposition); di-MeI salt, m. 220° (decomposition]; 3,3-diphenylpropyl 4-[N-methyl-N-(2-morpholinoethyl)amino]butyrate, b0.05 211-12° [oxalate, m. 182-3° (decomposition); di-MeI salt, m. 175°]; 2,2-diphenylethyl 5-[N-methyl-N-(2-piperidinoethyl)amino]pentanoate, b0.05 202-6° [oxalate, m. 197°; di-MeI salt, m. 190° (EtOH)]; 3,3-diphenylpropyl 5-[N-methyl-N-(2-piperidinoethyl)amino]pentanoate, b0.05 220°, m. 176-8° (decomposition) (di-MeI salt, m. 169-70°); di-Ph 6-[N-methyl-N-(2-piperidinoethyl)amino]hexanoate, b0.025 206° [oxalate, m. 184°; di-MeI salt, m. 136-6° (acetone)]; 2,2-diphenylethyl 6-[N-methyl-N-(2-piperidinoethyl)amino]hexanoate, b0.04 200-5° [oxalate, m. 183°; di-MeI salt, m. 213° (EtOH)]; 3,3-diphenylpropyl 6-[N-methyl-N-(2-piperidinoethyl)amino]hexanoate, b0.05 210° [oxalate, m. 175°; di-MeI salt, hygroscopic plates, m. 145° (acetone)]. These compds. have pharmacol. properties resembling those of known ganglion blocking agents. They lower blood pressure and block the hypertensive action of N1,N1-dimethyl-N2-phenylpiperazinium iodide, increase the hypertensive effects of adrenaline and noradrenaline, inhibit gastric secretion and bradycardia of vagal origin, and cause mydriasis; in vitro they inhibit the peristaltic reflex of the isolated guinea pig ileum.

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AUTHOR(S):	Buehler, C. A.; Smith, H. A.; Glenn, D. M.; Nayak, K. V.
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LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 53:39918

AB cf. C.A. 51, 17843h. Aminoester hydrochlorides of 39 substituted benzilic and glycolic acids were synthesized; 2 of them appear to be more active in exptl. animals than atropine in preventing mortality from an anticholinesterase compound, and 4 of them exhibit the highest anticholinergic activity. One compound previously reported offers some advantage over these as an anticholinergic. β-Aminoethyl chlorides were prepared by the procedures given in the previous paper. Tetrahydrofurfuryl alc. with SOCl2 gave 73% tetrahydrofurfuryl chloride (I). I, NHEt3, and NaI gave 53% N,N-diethyltetrahydrofurfurylamine (II). II was converted by HBr to 80% N-ethyl-3-hydroxypiperidine (III). III with SOCl2 gave N-ethyl-3-chloropiperidine-HCl which with aqueous NaOH gave the free N-ethyl-3-chloropiperidine. The following RR'C(OH)CO2(CH2)xR''.HCl were prepared by refluxing the proper benzilic acid with the aminoethyl chloride in dry iso-PrOH (R, R', R'', X, % yield, and m.p. given): 2-MeC6H4, 2-MeC6H4, N-ethyl-3-piperidyl (IV), 0, 69, 186-7°; 3-MeC6H4, 3-MeC6H4, N-ethyl-3-piperidyl, 0, 81, 150-1°; 4-iso-PrC6H4, 4-iso-PrC6H4, Et4N, 2, 64, 181-2°; 2-MeOC6H4, 2-MeOC6H4, Et2N, 2, 65, 171-2°; 4-MeOC6H4, 4-MeOC6H4, Et2N, 2, 77, 167-8.5°; 4-MeOC6H4, 4-MeOC6H4, pyrrolidino, 2, 92, 181-2°; 4-MeOC6H4, 4-MeOC6H4, pyrrolidino (MeBr derivative), 2, 53, 147-8°; 2,3-(MeO)2C6H3, 2,3-(MeO)2C6H3, Et2N (V), 2, 83, 184-5°; 3,4-(MeO)2C6H3, 3,4-(MeO)2C6H3, Et2N, 2, 79, 167.5-8.5°; 3,4-methylenedioxyphenyl, Ph, Et2N (VI), 2, 73, 164-5.5°; 3-PhC6H4, Ph, Et2N, 2, 73, 136-7°; 3-PhC6H4, Ph, Et2N (VII), 2, 60, 178-9°; 4-PhC6H4, Ph, piperidyl, 2, 70, 189-90°; 4-PhC6H4, Ph, N-ethyl-3-piperidyl (VIII), 0, 65, 149-50°; 3-PhC6H4, 3-PhC6H4, Et2N (IX), 2, 59, 158-9°; 3-PhC6H4, 3-PhC6H4, piperidino, 2, 68, 197-8°; 4-PhC6H4, 4-PhC6H4, Et2N, 2, 72, 183-5°; 4-PhC6H4, 4-PhC6H4, piperidino (X), 2, 47, 192-3°; 4-PhC6H4, 4-PhC6H4, N-ethyl-3-piperidyl (XI), 0, 74, 190-1°. 2-Phenylbenzilic acid could be prepared neither by an analogous procedure from 2-bromobiphenyl through the action of 2-biphenylmagnesium iodide on isonitrosoacetophenone nor through a mixed benzoin condensation of BzH and 2-PhC6H4CHO (XIa). The Grignard reagent of 3-bromobiphenyl (XII) reacted with N-methylformanilide to form 3-phenylbenzaldehyde (XIII) which was subjected to the benzoin condensation to give 3,3'-diphenylbenzoin (XIV). XIV was oxidized with CuSO4 in C5H5N to the corresponding benzil (XV) which on rearrangement with KOH gave 3,3'-diphenylbenzilic acid (XVI). 2,2'-Diphenylbenzilic acid could not be produced because of the failure of XIa to undergo the benzoin condensation. XII and Et phenylglyoxylate (XVII) were prepared by known methods. XII (23.4 g.) in 300 ml. Et2O added dropwise to 2.51 g. Mg and Et2O under N, the solution refluxed 2 hrs., the Grignard solution added dropwise to 17.8 g. XVII in 200 ml. Et2O, the solution refluxed 2 hrs., 250 ml. dilute HCl added, the Et2O layer separated, the H2O portion extracted with more Et2O, the exts. combined, and distilled gave 18 g. Et 3-phenylbenzilate (XVIII), b1 213-18°. XVIII (18 g.) in 30 ml. alc. refluxed 3 hrs. with 20 g. KOH in 100 ml. H2O, diluted with H2O, acidified, and the precipitate collected gave 11 g. 3-phenylbenzilic acid, m. 127-8° (C6H6). XII (23.4 g.) in 250 ml. Et2O treated with 2.51 g. Mg, then 13.5 g. N-methylformanilide added during 2 hrs., stirred 1 hr., decomposed, and separated gave 14 g. XIII, b2 138-44°; 2,4-dinitrophenylhydrazone, m. 234-5°. XIII (8 g.), 3 g. KCN, 40 ml. H2O, and 80 ml. alc. refluxed. 10 hrs., cooled, diluted with H2O, extracted with Et2O, dried, and distilled gave 6 g. orange oil. This oil, 14 g. CuSO4, 100 ml. C5H5N, and 30 ml. H2O refluxed 6 hrs., the mixture poured onto ice and H2O, the liquid decanted, and the solid dissolved in alc. gave 2.7 g. XV, m. 119-20° (MeOH); quinoxaline, m. 156°. XV (8 g.) in 300 ml. Et2O left 24 hrs. with frequent shaking with 4 g. Na in 50 ml. 95% alc. and 25 ml. absolute alc., the solution extracted with H2O, the aqueous solution extracted with

Et2O, heated to 90°, and acidified gave 3 g. crude XVI, m. 155-7° (C6H6). RR'C(OH)CO2CH2CH2NEt2.HCl (XIX) were prepared by dissolving 0.01 mole corresponding benzilate in AcOH, hydrogenating at 3 atmospheric over 0.1 g. Pt catalyst until reduction was complete, removing the catalyst and AcOH, and crystallizing the solid to give pure XIX. The following XIX were thus prepared (R, R', % yield, and m.p. given): C6H11, C6H11, 72, 258-9°; C6H11, C6H11, 35, 212-13°; 2-MeC6H10, C6H11, 76, 165-6.5°; 3-MeC6H10, C6H11, 86, 181-2°; 4-MeC6H10, C6H11 (XX), 87, 190.5-2.0°; 2-MeC6H10, 2-MeC6H10, 80, 163.5-4.5°; 2,3-Me2C6H9, C6H11, 79, 174-5°; 2,4-Me2C6H9, C6H11, 79, 155-6°; 2,6-Me2C6H9, C6H11, 81, 181-2°; 3,4-Me2C6H9, C6H11, 80, 177.5-8.5°; 3,5-Me2C6H9, C6H11, 73, 171.5-3.0°; 3-MeC6H10, 3-MeC6H10, 84, 178.5-9.5°; 4-MeC6H10, 4-MeC6H10, 82, 187-8°; 2,3,5-Me3C6H8, C6H11, 76, 193-4°; 3,4,5-Me3C6H8, C6H11 (XXI), 90, 216.5-18.0°; 3,5-Me2C6H9, 3,5-Me2C6H9, 84, 183-4°; 4-iso-PrC6H10, 4-iso-PrC6H10, 84, 185-7°; 3-C6H11C6H10, C6H11, 43, 133-4°; 4-C6H11C6H10, C6H11, 74, 174.5-5.5°; 2,3,6-Me3C6H8, C6H11, 76, 199-200°. The above method was used to prepare all of the above XIX except with the di-C6H11 member in which the unreduced ester was prepared by the method of Hill and Holmes (U.S. 2,294,770) wherein the Me ester was refluxed with the appropriate amino alc. These compds. were tested for anticholinesterase activity, blood pressure, gut, respiration, and eye effects. VII and VIII appeared to be more active than atropine in preventing mortality from an anticholesterase compound The most active anticholinergic compds. are VI, XX, and XXI. VI and XXI are surpassed in activity by a previously prepared compound; this compound has much more marked effects on blood pressure and respiration than any of the 4 new compds. Compds. effective in dilating the pupil of the eye without significant irritant action are IV, V, VI, VIII, X, and XI. 3-PhC6H4CPh(OH)CO2(CH2)2NEt2.HCl and IX, which resemble V and VI in being diethylaminoethanol derivs., are as active as the latter 2 compds. in dilating the pupil, but are definitely irritating.

L11 ANSWER 71 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1958:50926 CAPLUS
DOCUMENT NUMBER: 52:50926
ORIGINAL REFERENCE NO.: 52:9226i,9227a-c
TITLE: Condensation products containing γ-carbonyl radicals
INVENTOR(S): Wolf, Anton
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 876237		19530511	DE 1942-W2640	19420626 <--

AB Treatment of compds. containing 1 CO radical and 1 or more H at the adjacent C with PbO2 in a neutral medium, perhaps in the presence of solvents or diluents (alc., C6H6, cyclohexane), yields the title compds., especially γ-di-ketones. Heating 300 g. MeCOEt with 100 g. PbO2 under reflux over 15 hrs., filtering off the yellow PbO2, and fractionating the filtrate in vacuo yield 40% 3,4-dimethylhexane-2,5-dione, b18 90-105°. The following compds. are similarly prepared (starting material, product, m.p. or b.p., and derivs. given): Et2CO, 4,5-dimethyloctane-3,6-dione, b8 85-95°; MeCOC9H19, 3,4-di-n-octylhexane-2,5-dione, m. 74° (from alc.) (dioxime, m. 138°) (the mother liquor contains 3-n-octyl-4-methyltridec-3-en-2-one, b7 200-10°); 2-methyl-hept-2-en-6-one, 3,4-di(2-methylbut-2-enyl)hexane-2,5-dione, yellowish oil, b10 156-60°; EtCOPh, 1,4-diphenyl-2,3-dimethylbutane-1,4-dione, m. 67° (dioxime, m. 245°); cyclohexanone, 1,1'-bicyclohexanonyl, yellowish liquid, b10 155-65° (dioxime, m. 226°) (by-product 1,1-dicyclohexenylhexan-2-one, colorless liquid, b10 130-35°);

5-isopropyl-N-methylbarbituric acid, 5,5'-di(5-isopropyl-N-methylbarbituric acid), m. 230°. The products are useful intermediates in the preparation of pharmaceuticals, odor reagents, and plastics.

L11 ANSWER 72 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1957:36583 CAPLUS
DOCUMENT NUMBER: 51:36583
ORIGINAL REFERENCE NO.: 51:6945g
TITLE: Tablet identification by spot tests on paper. II. Reactions with ferric iron and dimethylaminobenzaldehyde
AUTHOR(S): Cooper, Peter
SOURCE: Pharmaceutical Journal (1956), 177, 495-6
CODEN: PHJOAV; ISSN: 0031-6873
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. C.A. 50, 17317h. Color reaction of 96 drugs with the two reagents are tabulated.

L11 ANSWER 73 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1957:35011 CAPLUS
DOCUMENT NUMBER: 51:35011
ORIGINAL REFERENCE NO.: 51:6696b-e
TITLE: Dehydroabietylethylenediamine
INVENTOR(S): Cheney, Lee C.
PATENT ASSIGNEE(S): Bristol Laboratories, Inc.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2767161		19561016	US 1956-595093	19560702 <--

AB N,N'-Disubstituted ethylenediamines (I) are prepared, and with the CHO group of streptomycin (II), give 1,3-disubstituted 2-streptomycyltetrahydroimidazoles (III). Dehydroabietylamine (190 g.), 59.3 g. (CH2Br)2, 92 g. K2CO3, and 2.5 l. PhMe boiled overnight, filtered, washed with dilute NaOH and with H2O, concentrated, and the 175.3 g. crude product distilled gave N,N'-bis(dehydroabietyl)ethylenediamine (IV), b1 275°. Similarly prepared are 92% di-tert-octyl analog, b0.5 120°, and the bis(α-methylbenzyl) analog, b4 176-85°. 1,2,5,6-Tetrahydrobenzaldehyde (30 g.), 50 ml. MeOH, and 7.5 g. (CH2NH2)2 (V) reduced at 60° with H (50 lb./sq. in.) and 40 g. Raney Ni gave with concentrated HCl N,N'-bis(cyclohexylmethyl)ethylenediamine-2HCl (VI), m. 318-19° (from H2O); VI with NaOH gives the oily base. V (30 g.) and 122 g. 4,1,3-HOC6H4Me2 in 250 ml. MeOH was treated dropwise with 75 ml. formalin, the mixture boiled 19 hrs., and 200 ml. concentrated HCl added; the cooled product with PhMe precipitated [3,5,2-Me2(HO)C6H2NHCH2]2.2HCl, m. 225.5-8.5° (from 1:1 H2O-MeOH containing 0.05 part concentrated HCl). II.1.5-H2SO4 (7.3 g.) in 50 ml. H2O, 5.4 g. (CH2NHCH2Ph)2 (VII) in 25 ml. MeOH, and 45 ml. MeOH steamed 10 min. precipitated 7.2 g. 1,3-dibenzyl-2-streptomycyltetrahydroimidazole-1.5-H2SO4 (VIII), m. 243-7°, H2O solubility 4980 units/ml. (8 mg./ml.), potency 512 units/mg. (87% of theory). Also prepared were the following III (1,3-substituents given): PhCH2CH2, m. 195-205° (decomposition, darkens above 160°); dehydroabietyl; cyclohexyl. VIII boiled 3 hrs. with 6N HCl gives II and VII.2HCl, m. 305-6°. The III are useful in repository prepns.

L11 ANSWER 74 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1957:25797 CAPLUS
DOCUMENT NUMBER: 51:25797

ORIGINAL REFERENCE NO.: 51:5127f-i,5128a-f
TITLE: 1,3-Disubstituted-2-streptomycyltetrahydroimidazole, its acid addition salts, and therapeutic compositions therefrom
INVENTOR(S): Cheney, Lee C.
PATENT ASSIGNEE(S): Bristol Laboratories Inc.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2767116		19561016	US 1953-357620	19530526 <--

GI For diagram(s); see printed CA Issue.

AB The names "streptomycyl" and "hydrostreptomycyl" represent the radicals attached to the CHO group in the antibiotics. The series of compds. prepared from streptomycin (I) or hydrostreptomycin and equimolar amts. of an N,N'-substituted-α,β-diaminoalkane with 2-4 C atoms in the alkane chain and acid addition salts of these compds. are nontoxic, therapeutically effective, relatively insol. in H2O, stable in aqueous alkali, and easily regenerated by aqueous acid to the original soluble, active I. The products are useful therapeutic agents providing prolonged, therapeutic blood levels, and are of particular value in the com. production of I. Streptomycin sulfate (Ia) (7.3 g.) in 50 ml. H2O treated with 5.4 g. (PhCH2NHCH2)2 (II) in 25 ml. MeOH, diluted with 45 ml. MeOH, and the clear solution heated on a steam bath 10 min. at 45-50°, the 8.4 g. crude product slurried with 50 ml. H2O, filtered, and air-dried gave 7.2 g. 1,3-dibenzyl-2-streptomycyltetrahydroimidazole sulfate (III), m. 243-7° (decomposition), solubility 4980 u./ml.; potency 512 u./mg. (u. = units determined by bioassay on Bacillus subtilis and Escherichia coli), hydrolyzed by heating 3 hrs. at 100° with 6N HCl to II.2HCl, m. 305-6°, and active regenerated I. Similarly were prepared the sulfates of R'N.CHX.NR3.CHR4.CHR5 (IIIA), where X is the radical X in streptomycin, OHCX. IIIA (R4 and R5 = H; R1 = R3 given): PhCH2CH2, m. 195-205° (decomposition), solubility 4400 u./ml., potency 396 u./mg.; dehydroabietyl, solubility 352 u./ml., potency 185 u./mg.; C6H11; Me3C; Me3CCH2CMe2; C7H15; Ph2CH; Ph; piperonyl; furfuryl; 2-heptyl; Ph(CH2)3; Me2CHCH2CHMe; Me3CCH2CHMeCH2CH2; p-ClC6H4CH2; 2,4-Cl2C6H3CH2; p-O2NC6H4CH2; p-H2N C6H4CH2; p-MeOC6H4CH2; 2-thenyl; 2-, 3-, and 4-MeC6H10CH2; 4- and 3-MeOC6H10CH2; "2-methylthenyl"; "2(quinolylethyl)"; p-, m-, and o-MeC6H4CH2; lauryl; 3-, 2-, and 4-MeC6H10; 3-O2NC6H4; 6-, 5-, 4-, and 3-methyl-2-pyridyl; 2-thiazolyl; 5-methyl-2-furyl; p-HOC6H4CH2; C5H9; C11H23; 4-MeOC6H10; vanillyl; Bu; iso-Bu; sec-Bu; 1- and 2-C10H7; 2-pyridyl; 3,4-(MeO)2C6H3CH2; o-ClC6H4CH2. IIIA (R4 and R5 = H, R1 and R3 shown): PhCH2, PhCHEt; C6H11, Et; PhCH2, vanillyl; PhCH2, 3,4-EtO(HO)C6H3CH2. IIIA (R1 = R3, R4 = R5; R1 and R4 shown): PhCH2, Me; C7H15, Me; C6H11CH2, Me. IIIA (R1 = R2; R1, R4, and R5 shown): C7H15, H, Me. I liquor (50 ml.) assaying 182,000 u./ml., obtained by elution of broth on an ion-exchange column, added to 10 ml. II in 65 ml. MeOH, and the clear solution heated 1 hr. at 50° and kept overnight yielded 4.1 g. III, potency 528 u./mg., and 39 ml. filtrate, potency 2480 u./ml. III (4 g.) in dilute H2SO4 at pH 2.0 evaporated to 50% volume in vacuo, the precipitated II sulfate filtered off, and 5 vols. MeOH added to the filtrate precipitated 2.53 g. Ia, potency 560 u./mg. The filtrate (925 ml.) assayed 122 u./ml. III (2%) in 4% aqueous acacia suspension has LD50 342 ± 21 mg./kg. by intraperitoneal injection in mice. Ia, III, and 1,3-diphenethyl-2-streptomycyltetrahydroimidazole sulfate (IV) in vitro have min. inhibitory concns. of 0.0002 mg./ml. against streptomycin-sensitive strain H37Rv of Mycobacterium tuberculosis, and all fail to inhibit streptomycin-resistant strain H37RvR. Aqueous suspensions of Ia, III, and IV containing Na carboxymethylcellulose at pH 7 have CD50 (curative dose) values of 6.8, 45, and 60 mg./kg. (intraperitoneal injection in mice), resp. The

invention also includes all acid addition salts for processing purposes and all nontoxic addition salts for therapeutic purposes, including HCl, citric, AcOH, PhOH, ascorbic, and the like. For therapeutic purposes the compds. may be used in aqueous suspensions or in injectable oils. Micronized III (1.592 g.) thoroughly mixed with up to 20 cc. peanut oil gelled with 2% Al monostearate (cf. U.S. 2,507,193, C.A. 44, 8056f) gave a suspension containing 50 mg. I/cc. Micronized III (300 g.) in 35 cc. CHCl3 containing 3.99 g. lecithin, 1.88 g. Span 40, and 5.30 g. Tween 40 mixed 7 hrs. in a 35 steelball mill, the CHCl3 aspirated at room temperature, the coated product passed through a 250-mesh screen, sterilized 40 hrs. with HCHO gas, placed in 20 cc. silicone-coated vials, and reconstituted by addition of 7.22 cc. H2O gave 10 cc. suspension containing the equivalent of 200 mg. I/cc. Various comparison examples of tests on mice previously injected with 100 LD50 doses of Diplococcus pneumoniae show that products containing III are less toxic and exert far greater repository action than similar products containing Ia.

L11 ANSWER 75 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1956:82218 CAPLUS
DOCUMENT NUMBER: 50:82218
ORIGINAL REFERENCE NO.: 50:15582h-i,15583a-g
TITLE: Polymethylene- and phenylenebis(carbamic acid esters)
INVENTOR(S): Schmied, Otto; Bilek, Ludwig; Seifried, Walter
PATENT ASSIGNEE(S): Osterreichische Stickstoffwerke A.-G.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 185371		19560425	AT	<--

AB New polymethylenebis(carbamic acid esters) or phenylenebis(carbamic acid esters) of amino alcs. or aminophenols having a quaternary N atom, of the general formula: XRR'R''NAO2CNHBNHCO2ANRR'R''X, wherein R and R' are alkyl groups having 1-4 C atoms, R'' the same or a cyclohexyl or benzyl group, A = -CH2CH2- or - C6H4 -, B = a polymethylene group having more than 2 and preferably 4-10 CH2 groups, or a C6H4 group, X = an acid group e.g. Cl, Br, I, OSO3Me, are prepared by the reaction of organic diisocyanates of the polymethylene series or of phenylene isomers of the general formula O:C:NBN:C:O, or compds. capable of being converted into such compds., e.g. phenylene- or polymethylenedicarbamic acid dichlorides or phenylenedicarboxylic acid diazides, with amino hydroxy compds. of the general formula RR'NAOH, and quaternization of the ditertiary bases of the general formula RR'NAO2CNHBNHCO2ANRR' thus formed with compds. of the general formula R''X. Preferably, the following compds. are made by aid of this method: salts of: hexamethylenebis(carbamic acid choline esters),p-phenylenebis(carbamic acid choline esters), octamethylenebis(carbamic acid m-trimethylaminophenyl esters), octamethylenebis(carbamic acid 2-dimethylaminoethyl esters), p-phenylenebis[carbamic acid β-(methyldiethylamino)ethyl esters], octamethylene[biscarbamic acid β-(dimethylbenzylamino) Et esters], hexamethylenebis [carbamic acid.-(methyldicyclohexylamino)ethyl esters], decamethylenebis[carbamic acid m-trimethylamino)phenyl esters]. Thus, 9.5 parts OCN(CH2)4NCO mixed with cooling with 14.6 parts Me2NCH2OH, the mixture allowed to stand 24 h., the resulting crystalline mass dissolved in 36 parts Me2CO, filtered, low-boiling petr. ether added at 0° to the clear solution, and the crystalline product sucked off and washed with a cold petr. ether-Me2CO mixture yields 19.6 parts (91%) [CH2CH2NHCO2(CH2)NMe2]2 (I), white crystalline flakes, m. 58°. I (5) in Me2CO 8 treated portionwise with cooling with MeI 10, and the crystals which soon form from the precipitated oil sucked off and recrystd. from 96% EtOH 120 give 9.3 parts (98%) of the choline iodide ester, white crystals, m. 190-3°. Similarly are obtained the following compds. (% yield and m.p. given):

[(CH2)4NHCO2CH2CH2NMe2]2 (II), silky crystals, 83, 78° (choline chloride ester, white crystalline powder, 91, 205-8°; II.2EtBr, 96, 135-47°); [(CH2)4NHCO2CH2CH2NEt2]2 (III), 83, 58° (III.2EtBr, 95, colorless viscous oil); [(CH2)5NHCO2CH2CH2NMe2]2, 81, 77-9° (choline iodide ester, 90, 135-6.5°); [(CH4)4NHCO2C6H4NMe2-m]2 (IV), 53, 93-5° (IV.2MeI, 89, 150-2°); p-C6H4(NHCO2CH2CH2NMe2)2 (V), 92, 165-7° (V.2MeI, 87, decompose 260°); [(CH2)3NHCO2CH2CH2NMe2, 82, 68-70° [choline chloride ester, quant., approx. 187°; choline bromide ester, 87, 174-6°; choline iodide ester dihydrate, -, approx. 118° (anhydrous, 173°)]; I.2EtI, -, 109-10°); (CH2CH2CO2CH2CH2NEt2)2 (VI), -, 39-41° (VI.2EtI, 95, 163.5-66°); III. 2(p-MeC6H4SO3Et), -, 125-6.5°; [(CH2)3NHCO2CH2CH2 NEt2]2 (VII), 87, 60-2° [VII.2(p-MeC6H4SO3Et), -, 130 1°]; p-C6H4(NHCO2CH2CH2NEt2)2 (VIII), 84, 138-40° (VIII.2EtI, 99, 98. 237.5-42°; VIII.2MeI, approx. quant., 227-9°); m-C6H4(NHCO2CH2CH2NMe2)2 (IX), -, oil [IX.2MeI, -, 232-3° (dihydrate, quant., -)]; m-C6H4 (NHCO2CH2CH2NEt2)2 (X) (X..2EtI.H2O, 97, 223-5°); (m-Me2NC6H4O2CNHCH2), (XI), -, 130-5° [XI.2MeI, -, 175-9° (decomposition)]; (m-Me2NC6H4O2CNHCH2CH2CH2)2 (XIII), 81, 141-4° [XII.2MeI, 63, 130-5° (unsharp): XII. 2(p-MeC6H4SO2Me), 96,257-61°)]; [(m-Me2N C6H4O2 CNH-.(CH2)5]2 (XIII), 66, 90-101° [XIII.2MeI, 71, 115° (decomposition)]; II.2Ph2Cl, 78, 166-8°); [(C6H11)2NCH2CH2 O2CNHC2CH2CH2CH2]2 (C6H11 = cyclohexyl) (XVI), 87, 122-4° (XIV.2MeI, 96, 180-1°). (Bu2NCH2CH2OCONHCH2CH2)2 and its methiodide can be similarly obtained. The new compds. are useful as pharmaceuticals (muscle-paralyzing agents) and as pesticides.

L11 ANSWER 76 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1956:21775 CAPLUS
DOCUMENT NUMBER: 50:21775
ORIGINAL REFERENCE NO.: 50:4456h-i
TITLE: Exemption of dicyclomine hydrochloride and neomycin sulfate from prescription requirements
AUTHOR(S): Anon.
SOURCE: Federal Register (1956), 21, 420, 20 Jan 1956
CODEN: FEREAC; ISSN: 0097-6326
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The restrictions of usage, dosage, and labeling are proscribed whereby preparation of dicyclomine-HCl (1-cyclohexylcyclohexanecarboxylic acid 2-diethylaminoethyl ester-HCl) and of neomycin sulfate can be dispersed without prescription under jurisdiction of the Federal Food, Drug, and Cosmetic Act.

L11 ANSWER 77 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1955:46428 CAPLUS
DOCUMENT NUMBER: 49:46428
ORIGINAL REFERENCE NO.: 49:9039g-i,9040a-c
TITLE: Basic tertiary alcohols
INVENTOR(S): Adamson, Donald W.; Wilkinson, Samuel
PATENT ASSIGNEE(S): Wellcome Foundation Ltd.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 708805		19540512	GB 1950-14348	19500608 <--

AB Ph2C(OH)CH2CHR'NR''R''', where R' is H or an alkyl having 1-3 C atoms and R'' and R''' are identical or different and are Me or Et groups, or where N''R''' is morpholino, pyrrolidino, or piperidino, can be catalytically

hydrogenated to the fully saturated (C6H11)2C(OH)CH2CHR'NR''R''' or to the partially saturated Ph(C6H11)C(OH)CH2CHR'NR''R'''. Thus, 5 g. of 1,1-diphenyl-3-piperidinopropan-1-ol was dissolved in 50 ml. glacial HOAc, 1.3 g. Pt oxide (Adams catalyst) was added and the mixture shaken in an atmospheric of H until an equivalent of 3.4 moles of H was absorbed. The catalyst was filtered off, the filtrate diluted with 150 ml. H2O, made alkaline with aqueous KOH, cooled in an ice-bath and extracted with ether. The ether extract was H2O-washed, dried over anhydrous Na2SO4, and concentrated The 4.96 g. residue was crystallized twice from light petroleum to give 4.3 g. 1-cyclohexyl-1-phenyl-3-piperidinopropan-1-ol (I), m. 112°. The following compds. were similarly prepared: 1-cyclohexyl-1-phenyl-3-pyrrolidinopropan-1-ol (II), m. 85.5-86.5° (hydrochloride, m. with decomposition at 226-7°); 1-cyclohexyl-1-phenyl-3-dimethylaminopropan-1-ol, m. 44-5° [hydrochloride, m. 213-14° (decomposition)]; 1-cyclohexyl-1-phenyl-3-piperidinobutan-1-ol hydrochloride (III), m. 244-5°; 1-cyclohexyl-1-phenyl-3-diethylaminopropan-1-ol, m. 50.5-52° (hydrochloride, m. 184-5°); 1-cyclohexyl-1-phenyl-3-morpholinopropan-1-ol, m. 114-16° (hydrochloride, m. 271-2°); 1-cyclohexyl-1-phenyl-3-dimethylaminobutan-1-ol (IV) (hydrochloride, m. 198°); 1-cyclohexyl-1-phenyl-3-dimethylaminohexan-1-ol (V) (hydrochloride, m. 243-4°; 1-cyclohexyl-1-phenyl-3-piperidinohexan-1-ol (VI) (hydrochloride, m. 258-9°). 1,1-dicyclohexyl-3-piperidinopropan-1-ol (hydrochloride, m. 241-2°), were obtained by the semi- and complete reduction, resp., of 1-cyclohexyl-1-phenyl-3-piperidinopropan-1-ol and the 1,1-diphenyl-3-piperidinopropan-1-ol hydrochloride. These compds. are of pharmaceutical value, I a therapeutic agent in cases of paralysis agitans, and II-VI showing local anaesthetic properties.

L11 ANSWER 78 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1950:24984 CAPLUS
DOCUMENT NUMBER: 44:24984
ORIGINAL REFERENCE NO.: 44:4925e
TITLE: Improvements in or relating to the preparation of 1,2-disubstituted-3-cyanoguanidines
PATENT ASSIGNEE(S): American Cyanamid Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 626663		19490719	GB 1946-27994	19460918 <--

AB See U.S. 2,455,894 (C.A. 42, 5468d) and U.S. 2,479,498 (C.A. 44, 4027e).

L11 ANSWER 79 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1950:20186 CAPLUS
DOCUMENT NUMBER: 44:20186
ORIGINAL REFERENCE NO.: 44:4027e-h
TITLE: 1,2-Disubstituted 3-cyanoguanidines
INVENTOR(S): Lecher, H. Z.; Parker, R. P.; Long, R. S.
PATENT ASSIGNEE(S): American Cyanamid Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2479498		19490816	US 1946-689201	19460808 <--

AB 1,2-Disubstituted 3-cyanoguanidines suitable for use in the fields of

synthetic resins, pharmaceuticals, textile assistants, and dyestuff assistants are prepared by treating the appropriately disubstituted carbodiimide, RN:C:NR', with NH2CN (I). Thus CS(NHEt)2 13.2 in Et2O 145 is treated with anhydrous Na2SO4 22, and HgO 43.2 parts, the HgS filtered, the Et2O evaporated, and the EtN:C:NEt (II) distilled at 35-40°/1 mm. To II 9.8 in Et2O is added I 4.2, followed by MeONa 0.15 in MeOH 1.6 parts, the mixture stirred 3 days at room temperature, and the Et2O evaporated; the crude 1,2-diethyl-3-cyanoguanidine, recrystd. from H2O, m. 129-9.2°. Similarly, 1,2-diphenyl-3-cyanoguanidine, m. 195-5.8°, is prepared from PhN:C:NPh, b1 95-100°, and I. BuN:C:NBu, b0.5 55-60°, and I form 1,2-dibutyl-3-cyanoguanidine, m. 63.5-4.5° (from MeOH). (Iso-PrN:)2C, b. 155-60°, and I yield 80% 1,2-diisopropyl-3-cyanoguanidine, m. 193-5° (from 50% MeOH). p-ClC6H4N:C:NCHMe2, b0.5 85-7°, and I yield 1-p-chlorophenyl-2-isopropyl-3-cyanoguanidine, m. 148-9.5°. From dicyclohexylcarbodiimide, m. 35-6°; and I is obtained 1,2-dicyclohexyl-3-cyanoguanidine, m. 189-92° (from MeOH-H2O). p-MeOC6H4N:C:-NPr, b1 110-15°, and I form 1-p-methoxyphenyl-2-propyl-3-cyanoguanidine, m. 130-1° (from C6H6). 1-Naphthyl(3-methoxypropyl)carbodiimide, yellow oil, in 100 parts EtOH and I in EtOH containing a small piece of Na, permitted to stand 24 h., yield on cooling crude 1-(1-naphthyl)-2-(3-methoxypropyl)-3-cyanoguanidine, m. 180-3°; recrystd. from MeOH, it m. 185-6°. Cf. C.A. 43, 1799c.

L11 ANSWER 80 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1949:38982 CAPLUS
DOCUMENT NUMBER: 43:38982
ORIGINAL REFERENCE NO.: 43:7040i,7041a-b
TITLE: α-Phenylcyclohexaneacetic acid esters
PATENT ASSIGNEE(S): Soc. pour l'ind. chim. a Bale
SOURCE: Addn. to Swiss 227,885 (C.A. 43, 3453e)
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 235488		19450501	CH	<--

AB C6H11PhCHCOOH 22 was converted to the acid chloride with SOCl2, treated with 1-piperidineethanol 13, water, K2CO3 solution, and Et2O, shaken vigorously, and the Et2O layer separated, washed with water, dried over K2CO3, and concentrated to yield 2-(1-piperidyl)ethyl α-phenylcyclohexaneacetate, b0.15 180-2°. In a similar manner the following esters of α-phenycyclohexaneacetic acid were prepared: Swiss 235,489, tropine, b0.15 186°; Swiss 235,490, dimethylaminoethyl, b0.1 150-5°; and Swiss 235,491, dimethylaminopropyl, b0.1 169°. Also prepared were: Swiss 235,492, diethylaminoethyl α-phenyl-2-cyclohexene-1-acetate-HCl, m. 153-4°; and Swiss 235,493, diethylaminoethyl dicyclohexylacetate, b0.2 154-7°. The compds. are therapeutically useful.

L11 ANSWER 81 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1949:2806 CAPLUS
DOCUMENT NUMBER: 43:2806
ORIGINAL REFERENCE NO.: 43:679e-g
TITLE: Phenylcyclohexyl acetic esters
PATENT ASSIGNEE(S): Soc. pour l'ind. chim. a Bale
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

CH 220972		19420801	CH	<--

AB Phenylcyclohexylacetic acid (I) 22, (chloroethyl)piperidine-HCl 19, and K2CO3 35 in Me2CO 300 parts were heated on a steam bath 24 h., filtered, the filtrate concentrated, the residue dissolved in Et2O, washed with water, dried over K2CO3, concentrated, and the residue distilled for an almost quant. yield of 2-(1-piperidyl)ethyl phenylcyclohexylacetate, b0.15 180-2°; HCl salt, m. 166-7°; the product is therapeutically useful. Similarly prepared with the appropriate halogenated alc. were the following esters of I: Swiss 220,973, tropine, b0.15 186° (HCl salt, m. 231-2°). Swiss 220,974, 2-dimethylaminoethyl b0.1 150-5°. Swiss 220,975, uses an identical procedure with dicyclohexylacetic acid and ClCH2CH2NEt2.HCl to yield 2-diethylaminoethyl dicyclohexylacetate, b0.2 154-7°; HCl salt, m. 167-9°; allobromide, m. 152-3°; methobromide, m. 176-7.5°; ethobromide, m. 178-80°; and PhCH2 Br compound, m. 155-6°.

L11 ANSWER 82 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1948:21447 CAPLUS
DOCUMENT NUMBER: 42:21447
ORIGINAL REFERENCE NO.: 42:4606i,4607a-b
TITLE: Basic amides of 1-aryl-1-cycloalkanecarboxylic acids
INVENTOR(S): Martin, Henry; Hafliger, Franz
PATENT ASSIGNEE(S): J. R. Geigy A.-G.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2437545		19480309	US 1945-607274	19450726 <--

AB Compds. of the type R:CArCONX(CH2)2N(alkyl)2, where Ar is Ph or Me-substituted Ph, R is -(CH2)n- (n = 4 or 5), and X is H or a small alkyl group, possess valuable therapeutic properties. The compds. may be prepared by reaction of a diamine with an appropriate acid derivative, or by reaction of the R:CArCONH2 with the (alkyl)2N(CH2)2Cl in the presence of NaNH2. Examples are given of the preparation of N-(2-diethylaminoethyl)-1-phenylcyclopentanecarboxamide (I) b0.03 140-2°; the N-(2-diethylaminoethyl)-N-Me analog. of I, b0.05 138-40°; N-(2-diethylaminoethyl)-N-ethyl-1-(3,4-dimethylphenyl) cyclohexanecarboxamide, b0.04 159-61°; N-(2-diethylaminoethyl)-1-phenylcyclohexanecarboxamide, b0.03 148-50°. Cf. C.A. 40, 6500.8.

L11 ANSWER 83 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1946:17843 CAPLUS
DOCUMENT NUMBER: 40:17843
ORIGINAL REFERENCE NO.: 40:3474b-i,3475a-e
TITLE: Amino ethers
INVENTOR(S): MacMullen, Clinton W.; Bruson, Herman A.
PATENT ASSIGNEE(S): Rohm and Haas Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2395336		19460219	US 1942-445452	19420602 <--

AB Aminomethyl ethers of the general formula (ZCH2)nArXAY in which Z is a secondary or tertiary amine or amine salt or a quaternary NH4 group, n is 1 or 2, Ar is a carbocyclic aromatic nucleus, X is O or S, A is an alkylene group, the chain of which may be interrupted by O or S, and Y is a polar group based upon the elements C, H, O, N, and halogens, useful as textile finishing agents, disinfectants, bactericides, wetting agents,

detergents, insecticidal prepns., drugs, fungicides, etc., are prepared by the reaction of an amine with a halomethyl aryl aliphatic ether in the presence of a strong base. Thus a cold solution of 40 g. NaOH in 120 g. H2O was treated with 73 g. Et2NH and the resulting mixture at -6 to -11° was treated with 70 g. (chloromethyl-o-toloxy)ethoxyethyl chloride. The viscous mixture was stirred 25 hrs. while the temperature rose to 27°. The aqueous layer was removed and the oil was taken up in C6H6 and washed with H2O. The crude amine was acidified with aqueous HCl and steam-distilled The aqueous residue was clarified with absorbent clay, neutralized with NaOH, extracted with C6H6, and washed. Removal of the C6H6 and treatment of the oil with active carbon yielded 42 g. (diethylaminomethyl-o-toloxy)ethoxyethyl chloride (I), Et2NCH2C6H3MeOC2H4OC2H2Cl, yellow oil. Refluxing 10 g. I with 11 g. EtBr 1 hr. at 54° and distilling the excess EtBr gave [(2-chloroethoxyethoxy)methylbenzyl]triethylammonium bromide, viscous paste which did not crystallize. Reaction of 10 g. 1 with 5 g. PhCH2Cl 8 hrs. at 70° gave [(2-chloroethoxyethoxy)methylbenzyl]diethylbenzyla mmonium chloride, viscous orange oil. Similar heating of 6 g. I with 4 g. decyl chloromethyl ether 4.5 hrs. at 90° gave [(2-chloroethoxyethoxy)methylbenzyl] (decyloxymethyl) diethylammonium chloride, viscous red paste, soluble in H2O with suds. A mixture of 156 g. (p-tert-octylphenoxyethoxy)ethyl chloride, 30 g. paraformaldehyde, and 200 g. ClCH2CH2Cl was stirred and saturated with gaseous HCl for 7 hrs. at 50-3°. The mixture was washed with ice-H2O, dried with Na2SO4, and filtered. Distillation of the solvent yielded 140 g. crude (chloromethyl-p-tert-octylphenoxy)ethoxyethyl chloride, clear amber oil, which was added during 35 min. to a mixture of 360 g. 25% Me2NH solution and 80 g. NaOH at 3-5°, and the mixture stirred 9 hrs. at 5-18°. The HCl salt was prepared as above and then the mixture was steam-distilled and purified as before to yield (dimethylaminomethyl-p-tert-octylphenoxy)ethoxyethyl chloride (II), clear amber, viscous oil. Reaction of 7.5 g. II with 3 g. methallyl chloride at 90° for 5 hrs. gave [(2-chloroethoxyethoxy)-p-tert-octylbenzyl]dimethylmethallylammonium chloride, clear yellow viscous oil, soluble in H2O with suds. A mixture of 145 g. II, 180 g. 25% Me2NH, 1000 g. H2O, and 20 g. NaOH was stirred and heated in an autoclave 6.5 hrs. at 95-159°. After cooling overnight, the oil layer was separated, washed with H2O, and distilled in vacuo to give [(dimethylaminomethyl-p-tert-octylphenoxy)ethoxyethyl]dimethylamine (III), bl 170-90°, clear yellow oil. Reaction of III with MeI in the regular manner gave the quaternary NH4 salt, [(dimethylaminomethyl-p-tert-octylphenoxy)-ethoxyethyl]dimethylamine dimethiodide, colorless crystals, soluble in H2O. The diquaternary salt of III with diethyl sulfate having the formula EtMe2N(OSO2OEt) CH2C6H3(C8H17)OC2H4OC2H4N(OSO2OEt)Me2Et, viscous paste, soluble in H2O with suds. By essentially similar procedures were prepared (morpholinomethyl-p-tert-octylphenoxy)ethoxyethyl chloride (IV), viscous amber oil; [(2-chloroethoxyethoxy)-p-tert-octylbenzyl](decyloxymethyl)morp holinium chloride (from IV and decyl chloromethyl ether), viscous clear amber oil, soluble in H2O with suds; [(2-chloroethoxyethoxy)-p-tert-octylbenzyl](carbethoxymethyl)morpholinium chloride (from IV and ClCH2CO2Et), clear amber viscous oil; [(2-chloroethoxyethoxy)-p-tert-octylbenzyl](nitrobenzyl)morpholinium chloride (from IV and O2NC6H4CH2Cl, dark viscous oil, soluble in H2O with suds; (dicyclohexylaminomethyl-p-tertoctylphenoxy) ethoxyethyl chloride (V), viscous yellow oil; [(2-chloroethoxyethoxy)-p-tert-octylbenzyl]dicyclohexyl (carbethoxymethyl)ammonium chloride (from V and ClCH2CO2Et), yellow paste; [bis(dimethylaminomethyl)phenoxy]ethylene, yellow oil, bl 110-40°; [bis(morpholinomethyl)phenoxy]ethoxyethyl chloride (VI), clear amber oil; quaternary salt of VI with PhCH2Cl, soluble in H2O; quaternary salt of VI with ClCH2C6H3MeO C2H4Cl, solid, soluble in H2O; mixed[(dimethylaminomethyl)phenoxy]ethanol and [bis(dimethylaminomethyl)phenoxy] ethanol (VII), viscous oil, bl-2 133-165°; quaternary salt of VII with hexyl bromide, sticky solid, soluble in H2O; mixed[(dimethylaminomethyl)phenoxy]acetone and [bis(dimethylaminomethyl)phenoxy]acetone, clear yellow oil, b2

120-55°, soluble in dilute HCl; mixed{[(morpholinomethyl)phenoxy]acetyl} morpholine and {[bis(morpholinomethyl)phenoxy]acetyl}morpholine, viscous deep yellow oil, b1 237-75°; [(anilinomethyl)phenoxy]ethyl laurate, brown oil which crystallized on standing; [(dodecylaminomethyl)phenoxy]ethyl acetate, clear amber oil, b3 170-245°; mixed{[(2-ethylhexylamino)methyl]phenoxy}ethyl acetate and {bis[(2-ethylhexylamino)methyl]phenoxy}ethyl acetate, clear amber oil, b2 120-260°; [(cyclohexylaminomethyl)-p-tert-octylphenoxy]ethoxyethoxyethyl dodecyl ether, brown oil, b2 180-280°; and [(isopropylaminomethyl)-p-tert-octylphenoxy]ethoxyethoxyethyl dodecyl ether, clear red oil, b2 150-250°. The variations of the process are discussed at length.

L11 ANSWER 84 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1942:42955 CAPLUS
DOCUMENT NUMBER: 36:42955
ORIGINAL REFERENCE NO.: 36:6814d-g
TITLE: Substituted dihydroxybiphenyls
INVENTOR(S): Britton, Edgar C.; Livak, John E.
PATENT ASSIGNEE(S): The Dow Chemical Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2285563		19420609	US 1941-391510	19410502 <--

AB Compds. are formed which may be used as dye intermediates, plasticizers, wetting agents, "pharmaceuticals, toxicants, etc." and which have the general formula 4-HO-3-RC6H3C6H3R-3'-OH-4', where R represents a cycloalkyl group, such compds. being formed by preparing the p-iodo derivative of the corresponding o-alkyl- or -cycloalkylphenol and thereafter condensing 2 mols. of such iodo derivative to form the desired dihydroxybiphenyl compound Since the free hydroxyl group of the phenol is reactive under the conditions employed for these reactions, it is necessary to protect the hydroxyl group, e. g., by etherification, during the iodination and condensation reactions and thereafter regenerate the free phenol. Details are given for the production of: 3,3'-dicyclohexyl-4,4'-dihydroxybiphenyl, m. 209-13°; 3,3'-diisobutyl-4,4'-dihydroxybiphenyl, m. 136-8°; and 3,3' dibenzyl-4,4'-dihydroxybiphenyl, m. 151-8°; and general mention is made of the similar possible production of other 4,4'-dihydroxybiphenyl compds. such as the 3,3'ditert-butyl, 3,3'-diheptyl, 3,3'-diisoamyl, 3,3'-di-tert-octyl, 3,3'-di-βphenylethyl, 3,3'-dicyclopentyl, 3,3'-di-γ-phenylpropyl, 3,3'-dilauryl, etc., derivs. Cf. C. A. 36, 911.4.

L11 ANSWER 85 OF 88 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1942:24856 CAPLUS
DOCUMENT NUMBER: 36:24856
ORIGINAL REFERENCE NO.: 36:3811d-e,3812a-b
TITLE: Phthalimide-4-sulfonamides
INVENTOR(S): Koberle, Karl; Braun, Willy; Hanusch, Fritz
PATENT ASSIGNEE(S): General Aniline & Film Corp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2273444		19420217	US 1939-299974	19391018 <--

AB A process is employed for producing a phthalimide-4-sulfonamide which

comprises treating a 2-halobenzoic acid with chlorosulfonic acid, thereby converting it into the corresponding 5-sulfonyl chloride, then treating the sulfonyl chloride with NH3 or a primary or secondary alkylamine, aralkylamine, cycloalkylamine, arylamine, heterocyclic amine or secondary cyclic nitrogenous base to form the corresponding 5-sulfonamide, and heating this amide with cuprous cyanide. Details are given of the production of phthalimide-4-sulfonamide, m. about 275°, and the anilide, m. 199°, piperidide, m. 234-5°, methylphenylamide, diphenylamide, m. 248°, dicyclohexylamide, m. 327-8°, 1',2',3',4'-tetrahydroquinolylamide, m. 335°, methylamide, m. 213-14°, (N-ethyl-3'-carbazolyl)amide, m. 238°, and benzylamide, m. 237-9°, and a disulfonic acid dimethylamide of 2,3-naphthalenedicarboxylic acid imide, m. 300°. Various of the compds. formed may be used as intermediates or therapeutic agents.

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
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